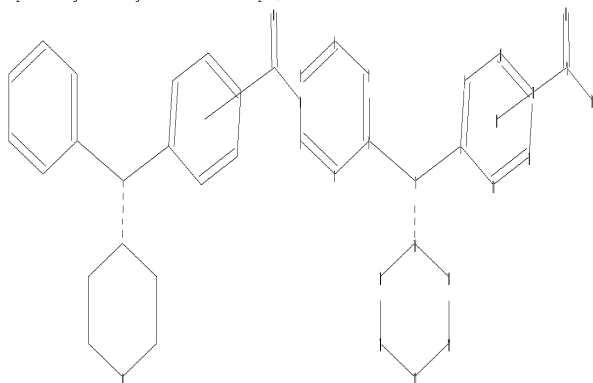


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7 20  
ring nodes :  
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ring/chain nodes :  
21 22  
chain bonds :  
6-7 7-8 7-14 20-22 20-21  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-19  
15-16 16-17 17-18 18-19  
exact/norm bonds :  
7-14 14-15 14-19 15-16 16-17 17-18 18-19 20-22 20-21  
exact bonds :  
6-7 7-8  
normalized bonds :  
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isolated ring systems :  
containing 1 : 8 :

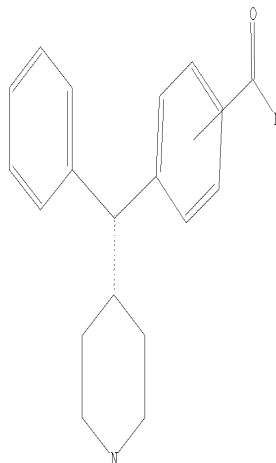
Match level :  
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11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:CLASS 21:CLASS  
22:CLASS 23:Atom

L7 STRUCTURE UPLOADED

=> que L7

Print selected from 10541656.trn

L8 QUE L7  
=> d 17  
L7 HAS NO ANSWERS  
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 17  
SAMPLE SEARCH INITIATED 14:43:46 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 972 TO ITERATE  
100.0% PROCESSED 972 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 17570 TO 21310  
PROJECTED ANSWERS: 624 TO 1496

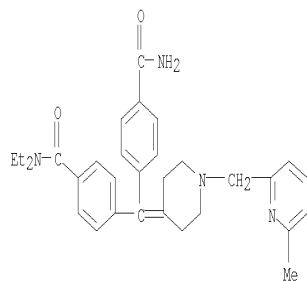
L9 50 SEA SSS SAM L7

=> d scan

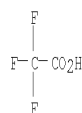
L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benamide, 4-[[4-(aminocarbonyl)phenyl][1-[(6-methyl-2-pyridinyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI)  
MF C31 H36 N4 O2 . 17/10 C2 H F3 O2

Print selected from 10541656.trn

CM 1

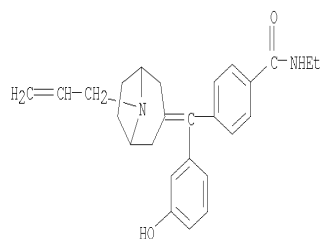


CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzamide, N-ethyl-4-[(3-hydroxyphenyl)[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI)  
MF C26 H30 N2 O2

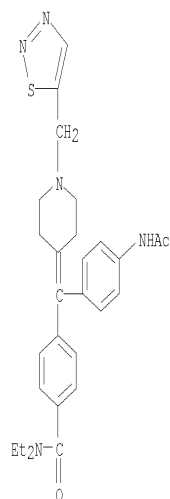


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Print selected from 10541656.trn

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzamide, 4-[[4-(acetylamino)phenyl][1-(1,2,3-thiadiazol-5-ylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-  
MF C28 H33 N5 O2 S



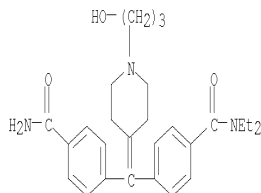
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

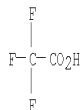
L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(3-hydroxypropyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:13) (salt) (9CI)  
MF C27 H35 N3 O3 . 13/10 C2 H F3 O2

CM 1

Print selected from 10541656.trn

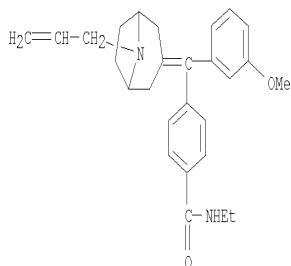


CM 2



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI)  
MF C27 H32 N2 O2



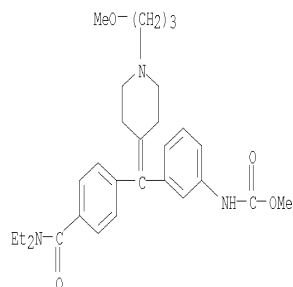
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L9 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI)

Print selected from 10541656.trn

MF C29 H39 N3 O4  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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(FILE 'HOME' ENTERED AT 14:26:46 ON 14 APR 2008)

FILE 'CHEMCATS' ENTERED AT 14:26:58 ON 14 APR 2008

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L2 0 S L1 AND PD<=2003  
L3 0 S L1 AND PD<2004

FILE 'REGISTRY' ENTERED AT 14:42:19 ON 14 APR 2008

L4 STRUCTURE UPLOADED  
L5 QUE L4  
L6 50 S L4  
L7 STRUCTURE UPLOADED  
L8 QUE L7  
L9 50 S L7

=> s 17 full

FULL SEARCH INITIATED 14:44:16 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 19438 TO ITERATE

100.0% PROCESSED 19438 ITERATIONS  
SEARCH TIME: 00.00.01

930 ANSWERS

L10 930 SEA SSS FUL L7

=> file caplus

FILE 'CAPLUS' ENTERED AT 14:44:20 ON 14 APR 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907 - 14 Apr 2008 VOL 148 ISS 16  
FILE LAST UPDATED: 13 Apr 2008 (20080413/ED)

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=> s L10  
L11 39 L10

=> d cbib abs hitstr 1-39

L11 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2007:299061 Document No. 146:350605 Quantitative Conformationally Sampled

Pharmacophore for  $\delta$  Opioid Ligands: Reevaluation of Hydrophobic Moieties Essential for Biological Activity. Bernard, Denizil; Coop, Andrew; MacKerell, Alexander D., Jr. (Department of Pharmaceutical Sciences, School of Pharmacy, University of Maryland Baltimore, Baltimore, MD, 21201, USA). Journal of Medicinal Chemistry, 50(8), 1799-1809 (English) 2007. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

AB Recent studies have indicated several therapeutic applications for  $\delta$  opioid agonists and antagonists. To exploit the therapeutic potential of  $\delta$  opioids developing a structural basis for the activity of ligands at the  $\delta$  opioid receptor is essential. The conformationally sampled pharmacophore (CSP) method (Bernard et al. J. Am. Chemical Society). (2003, 125, 3103-3107) Is extended here to obtain quant. models of  $\delta$  opioid ligand efficacy and affinity. Quantification is performed via overlap integrals of the conformational space sampled by ligands with respect to a reference compound Iterative refinement of the CSP model identified hydrophobic

groups other than the traditional phenylalanine residues as important for efficacy and affinity in DSLET and ICI 174 864. The obtained models for a structurally diverse set of peptidic and nonpeptidic  $\delta$  opioid ligands offer good predictions with R2 values >0.9, and the predicted efficacy for a set of test compds. was consistent with the exptl. values.

IT 209807-40-9 209808-01-5

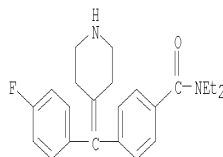
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Quant. Conformationally Sampled Pharmacophore for  $\delta$  Opioid Ligands: Reevaluation of Hydrophobic Moieties Essential for Biol. Activity)

RN 209807-40-9 CAPLUS

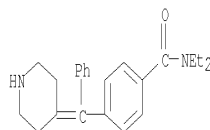
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CN Benzamide, N,N-diethyl-4-[(4-fluorophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 209808-01-5 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylidenemethyl)- (CA INDEX NAME)



L11 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2006:898356 Document No. 145:449074 The novel, orally active, delta opioid RWJ-394674 is biotransformed to the potent mu opioid RWJ-413216. Codd, E. E.; Carson, J. R.; Colburn, R. W.; Dax, S. L.; Desai-Krieger, D.; Martinez, R. P.; McKown, L. A.; Neilson, L. A.; Pitis, P. M.; Stahle, P. L.; Stone, D. J.; Streeter, A. J.; Wu, W. N.; Zhang, S. P. (Research and Early Development, Johnson and Johnson Pharmaceutical Research and Development, Spring House, PA, USA). Journal of Pharmacology and Experimental Therapeutics, 318(3), 1273-1279 (English) 2006. CODEN: JPETAB. ISSN: 0022-3565. Publisher: American Society for Pharmacology and Experimental Therapeutics.

AB Although the mu opioid receptor is the primary target of marketed opioid analgesics, several studies suggest the advantageous effect of combinations of mu and delta opioids. The novel compound RWJ-394674 [N,N-diethyl-4-[(8-phenethyl-8-azabicyclo[3.2.1]oct-3-ylidene)-phenylmethyl]-benzamide]; bound with high affinity to the delta opioid receptor (0.2 nM) and with weaker affinity to the mu opioid receptor (72 nM). 5'-O-(3-[35S]-thio)triphosphate binding assay demonstrated its delta agonist function. Surprisingly given this pharmacol. profile, RWJ-394674 exhibited potent oral antinociception (ED50 = 10.5  $\mu$ mol/kg or 5 mg/kg) in the mouse hot-plate (48) test and produced a moderate Straub tail. Antagonist studies in the more stringent 55 hot-plate test demonstrated the antinociception produced by RWJ-394674 to be sensitive to the nonselective opioid antagonist naloxone as well as to the delta- and mu-selective antagonists, naltrindole and  $\beta$ -funaltrexamine, resp. In vitro studies demonstrated that RWJ-394674 was metabolized by hepatic microsomes to its N-desethyl analog, RWJ-413216 [N-ethyl-4-[(8-phenethyl-8-

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azabicyclo[3.2.1]oct-3-ylidene)-phenylmethyl]-benzamide], which, in contrast to RWJ-394674, had a high affinity for the mu rather than the delta opioid receptor and was an agonist at both. Pharmacokinetic studies in the rat revealed that oral administration of RWJ-394674 rapidly gave rise to detectable plasma levels of RWJ-413216, which reached levels equivalent to those of RWJ-394674 by 1 h. RWJ-413216 itself demonstrated a potent oral antinociceptive effect. Thus, RWJ-394674 is a delta opioid receptor agonist that appears to augment its antinociceptive effect through biotransformation to a novel mu opioid receptor-selective agonist.

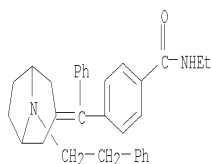
IT 359862-90-1, RWJ 413216

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); BIOL (Biological study)

(RWJ-394674 is biotransformed to RWJ-413216)

RN 359862-90-1 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



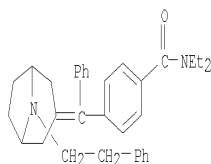
IT 359862-85-4, RWJ 394674

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(RWJ-394674 is biotransformed to RWJ-413216)

RN 359862-85-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



L11 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2006:364076 Document No. 144:398335 Polymorph of N,N-diethyl-4-(3-fluorophenylpiperidin-4-ylidenemethyl)benzamide hydrochloride. Black, Simon Nicholas; Cook, Steve; Diorazio, Louis Joseph; Hulsizer, James; Moore, Gary; Quigley, Kathryn (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2006041381 A1 20060420, 37 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK,

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AB Polymorphs of N,N-diethyl-4-(3-fluorophenylpiperidin-4-ylidenemethyl)benzamide hydrochloride, methods of making these polymorphs, and uses thereof are described.

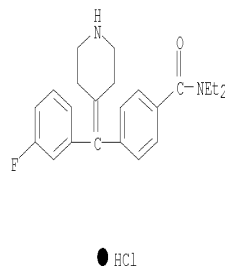
IT 209808-44-6P

RL: PEP (Physical, engineering or chemical process); PNU (Preparation, unclassified); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(polymorph of diethyl(fluorophenylpiperidinylidenemethyl)benzamide)

RN 209808-44-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)-4-piperidinylidenemethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2005:638846 Document No. 143:153295 Preparation of diarylmethylidenepiperidines for the management of pain. Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2005066128 A1 20050721, 56 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-SE13 20050105. PRIORITY: SE 2004-25 20040109.

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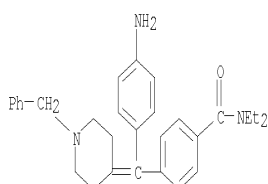
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3 = H, COR4, SO2R4, etc.; R4 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, N-acetylation of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of diarylmethylidenepiperidine II (R2 = COCH3) in 100% yield. In human  $\delta$  receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).

IT 859911-39-0P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of diarylmethylidenepiperidines for the management of pain)

RN 859911-39-0 CAPLUS

CN Benzamide, 4-[[4-(aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



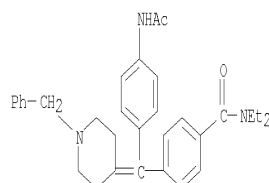
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859911-42-5P, 4-[[4-(Acetylaminophenyl)[1-(pyridin-2-ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide  
859911-43-6P 859911-44-7P 859911-45-8P  
859911-46-9P 859911-47-0P 859911-48-1P,  
4-[[4-(Acetylaminophenyl)[1-(1,3-thiazol-4-ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 859911-49-2P  
859911-50-5P, 4-[[1-(Benzylpiperidin-4-ylidene)[4-[(methylsulfonyl)amino]phenyl]methyl]-N,N-diethylbenzamide  
859911-51-6P 859911-52-7P 859911-53-8P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of diarylmethylidenepiperidines for the management of pain)

RN 859911-40-3 CAPLUS

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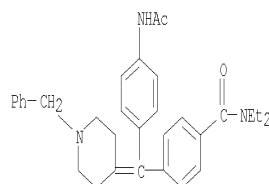
RN 859911-41-4 CAPLUS

CN Benzamide, 4-[[4-(acetylaminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 859911-40-3

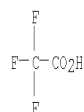
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CM 2

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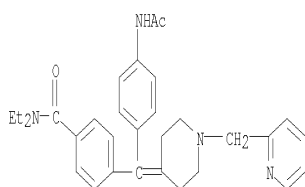
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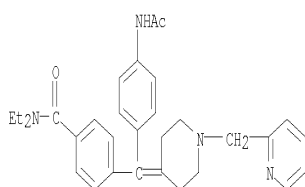
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RN 859911-43-6 CAPLUS  
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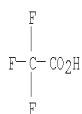
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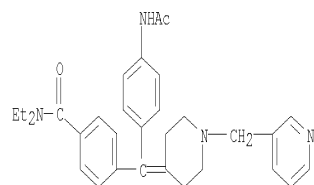
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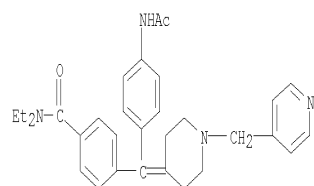


RN 859911-44-7 CAPLUS  
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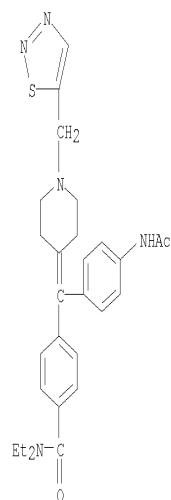
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RN 859911-45-8 CAPLUS  
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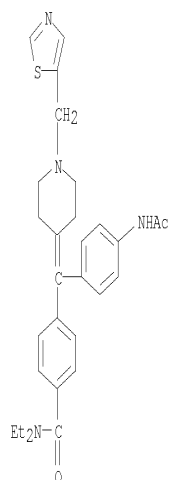
RN 859911-46-9 CAPLUS  
CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(1,2,3-thiadiazol-5-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

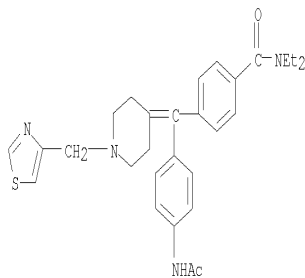
RN 859911-47-0 CAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 859911-48-1 CAPLUS

CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 859911-49-2 CAPLUS

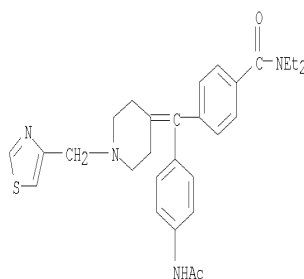
CN Benzamide, 4-[[4-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

Print selected from 10541656.trn

CRN 859911-48-1

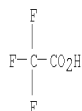
CMF C29 H34 N4 O2 S



CM 2

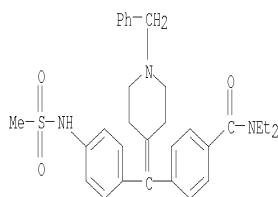
CRN 76-05-1

CMF C2 H F3 O2



RN 859911-50-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene)methyl]- (CA INDEX NAME)



RN 859911-51-6 CAPLUS

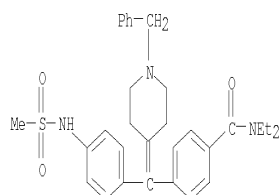
CN Benzamide, N,N-diethyl-4-[[4-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1



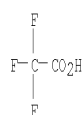
Print selected from 10541656.trn

CRN 859911-50-5  
CMF C31 H37 N3 O3 S

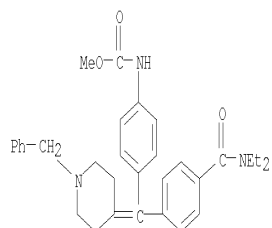


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-52-7 CAPLUS  
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

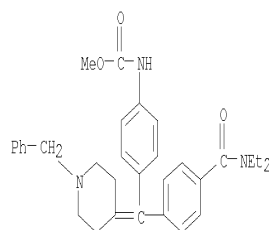


RN 859911-53-8 CAPLUS  
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

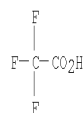
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CRN 859911-52-7  
CMF C32 H37 N3 O3

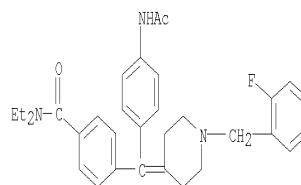


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-54-9 CAPLUS  
CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



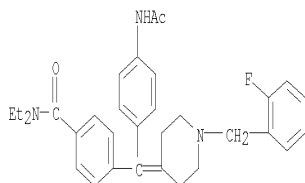
RN 859911-55-0 CAPLUS  
CN Benzamide, 4-[[4-(acetylamino)phenyl][1-[(2-fluorophenyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-54-9

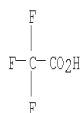
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CMF C32 H36 F N3 O2

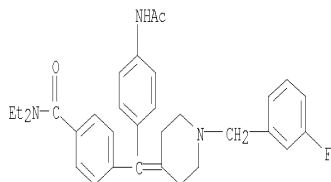


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

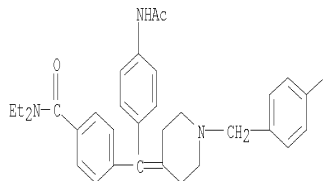


RN 859911-56-1 CAPLUS  
CN Benzamide, 4-[[4-(acetamino)phenyl][1-[(3-fluorophenyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

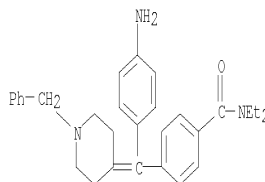


RN 859911-57-2 CAPLUS  
CN Benzamide, 4-[[4-(acetamino)phenyl][1-[(4-fluorophenyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

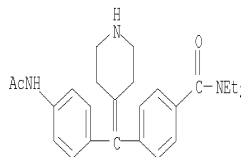
Print selected from 10541656.trn



RN 859911-58-3 CAPLUS  
CN Benzamide, 4-[[4-(aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

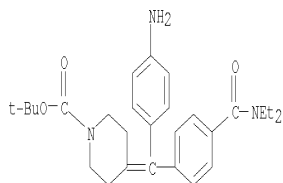


IT 859911-59-4P, 4-[[4-(Acetylamino)phenyl]-4-piperidinyldene]methyl]-N,N-diethylbenzamide 859911-60-7P 859911-61-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidenepiperidines for the management of pain)  
RN 859911-59-4 CAPLUS  
CN Benzamide, 4-[[4-(acetamino)phenyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



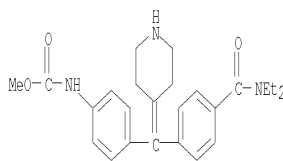
RN 859911-60-7 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-(aminophenyl)[4-(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



RN 859911-61-8 CAPLUS

CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldienemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2005:638845 Document No. 143:153294 Preparation of diarylmethylidenylpiperidines for the management of pain. Brown, William; Griffin, Andrew (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2005066127 A1 20050721, 67 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-SE12 20050105. PRIORITY: SE 2004-26 20040109.

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1, R3 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of piperidine II (R2 = H) with 1-iodopropane afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = CH2CH2CH3) in 54% yield. In human  $\delta$  receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.18-3.7 nM, with an average of 0.56 nM (sic).

IT 859911-03-8P 859911-04-9P 859911-05-0P

Print selected from 10541656.trn

859911-06-1P 859911-07-2P 859911-08-3P

859911-09-4P 859911-10-7P 859911-11-8P

859911-12-9P 859911-13-0P 859911-14-1P

859911-15-2P 859911-16-3P 859911-17-4P

859911-18-5P 859911-19-6P 859911-20-9P

859911-21-0P 859911-22-1P 859911-23-2P

859911-24-3P 859911-25-4P 859911-26-5P

859911-27-6P 859911-28-7P 859911-29-8P

859911-30-1P 859911-31-2P 859911-32-3P

859911-33-4P 859911-34-5P 859911-35-6P,

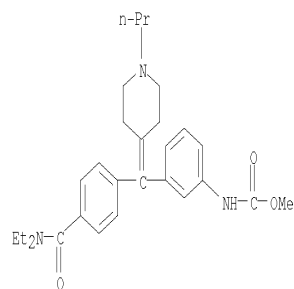
[3-[[4-[(Diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinyldiene]methyl]phenyl]carbamic acid methyl ester

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 859911-03-8 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinyldiene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-04-9 CAPLUS

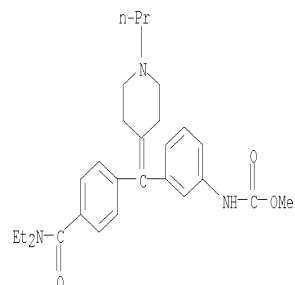
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinyldiene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-03-8

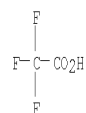
CMF C28 H37 N3 O3

Print selected from 10541656.trn

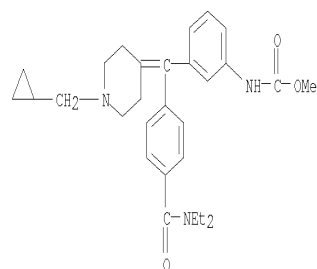


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-05-0 CAPLUS  
CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

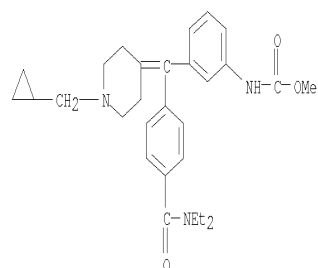


RN 859911-06-1 CAPLUS  
CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

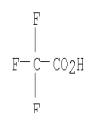
CM 1

CRN 859911-05-0  
CMF C29 H37 N3 O3

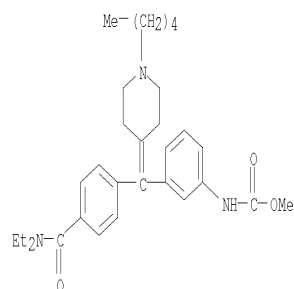


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-07-2 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinyldene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



Print selected from 10541656.trn

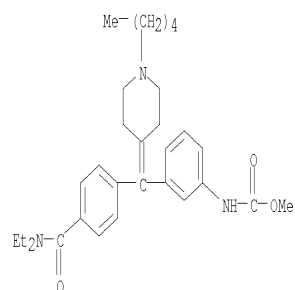
RN 859911-08-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-07-2

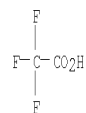
CMF C30 H41 N3 O3



CM 2

CRN 76-05-1

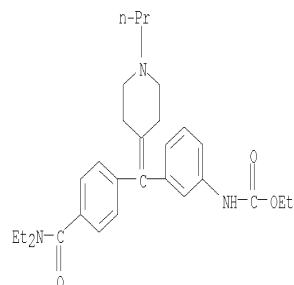
CMF C2 H F3 O2



RN 859911-09-4 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



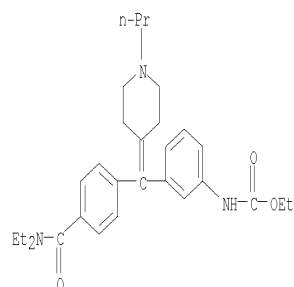
RN 859911-10-7 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-propyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-09-4

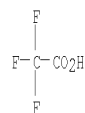
CMF C29 H39 N3 O3



CM 2

CRN 76-05-1

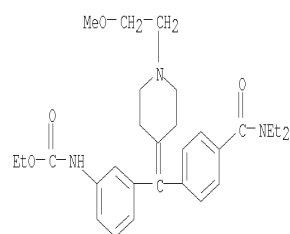
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 859911-11-8 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



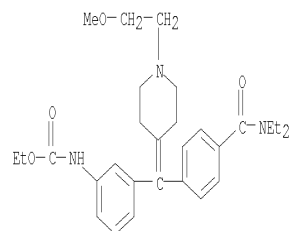
RN 859911-12-9 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-11-8

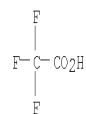
CMF C29 H39 N3 O4



CM 2

CRN 76-05-1

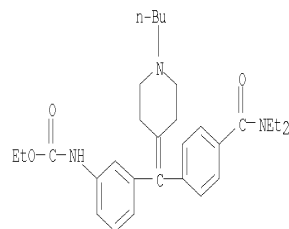
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 859911-13-0 CAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



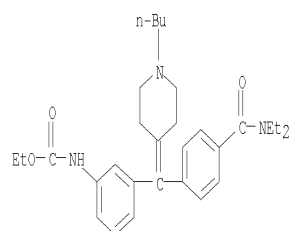
RN 859911-14-1 CAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-13-0

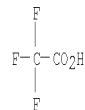
CMF C30 H41 N3 O3



CM 2

CRN 76-05-1

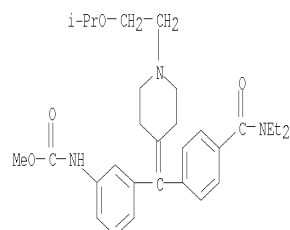
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 859911-15-2 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-(1-methylethoxy)ethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



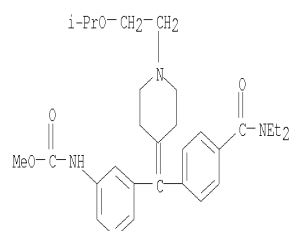
RN 859911-16-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-(1-methylethoxy)ethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-15-2

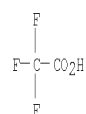
CMF C30 H41 N3 O4



CM 2

CRN 76-05-1

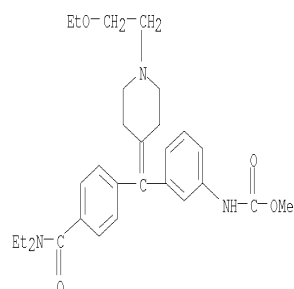
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 859911-17-4 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



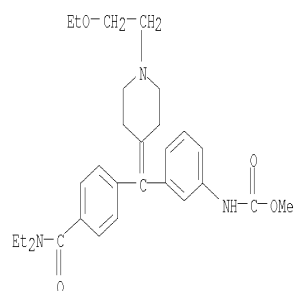
RN 859911-18-5 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-17-4

CMF C29 H39 N3 O4

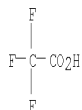


CM 2

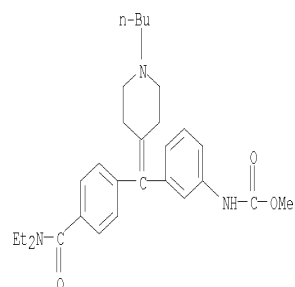
CRN 76-05-1

CMF C2 H F3 O2

Print selected from 10541656.trn



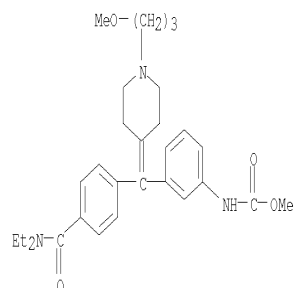
RN 859911-19-6 CAPLUS  
CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

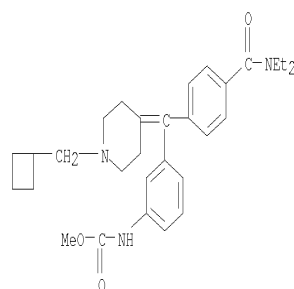
RN 859911-20-9 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



● HCl

RN 859911-21-0 CAPLUS  
CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



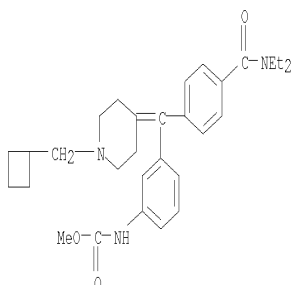
RN 859911-22-1 CAPLUS  
CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-21-0  
CMF C30 H39 N3 O3

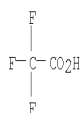


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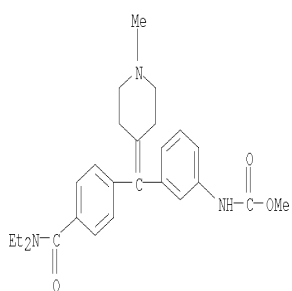


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-23-2 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

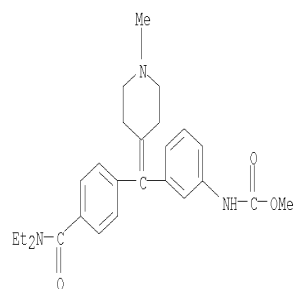


RN 859911-24-3 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

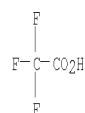
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CRN 859911-23-2  
CMF C26 H33 N3 O3

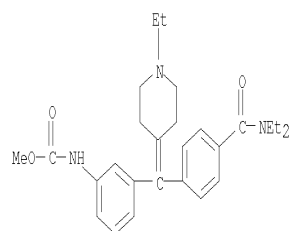


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-25-4 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-26-5 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate)

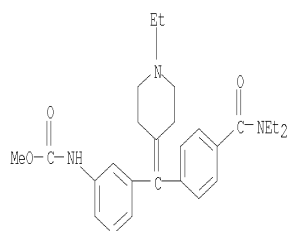
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(9CI) (CA INDEX NAME)

CM 1

CRN 859911-25-4

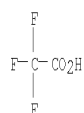
CMF C27 H35 N3 O3



CM 2

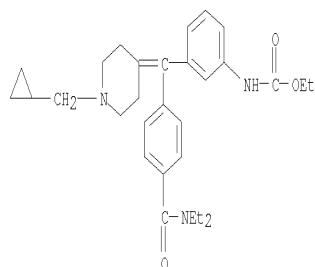
CRN 76-05-1

CMF C2 H F3 O2



RN 859911-27-6 CAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



Print selected from 10541656.trn

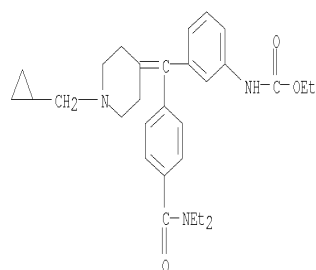
RN 859911-28-7 CAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-27-6

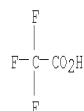
CMF C30 H39 N3 O3



CM 2

CRN 76-05-1

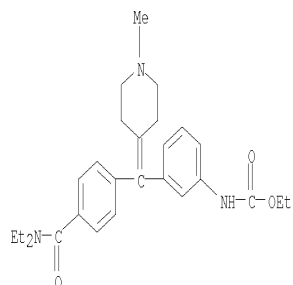
CMF C2 H F3 O2



RN 859911-29-8 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinyldene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

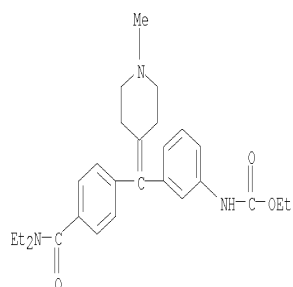
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RN 859911-30-1 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

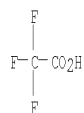
CM 1

CRN 859911-29-8  
CMF C27 H35 N3 O3



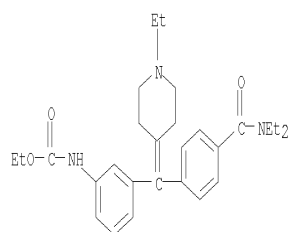
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



Print selected from 10541656.trn

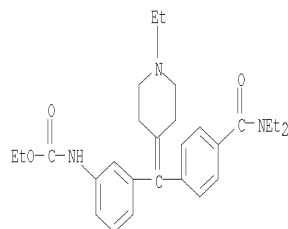
RN 859911-31-2 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 859911-32-3 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

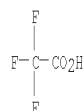
CM 1

CRN 859911-31-2  
CMF C28 H37 N3 O3



CM 2

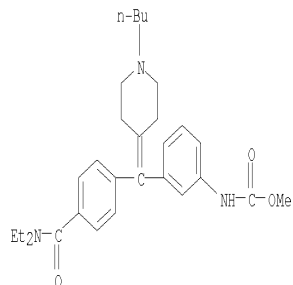
CRN 76-05-1  
CMF C2 H F3 O2



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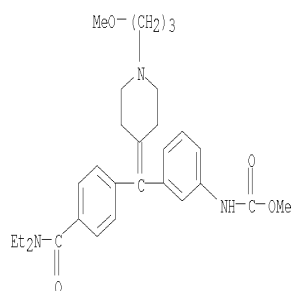
RN 859911-33-4 CAPLUS

CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-34-5 CAPLUS

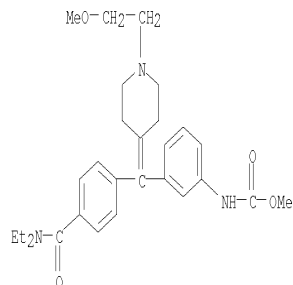
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-35-6 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



IT 725229-84-5P, 4-[(3-Aminophenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-1-piperidinecarboxylic acid 1,1-dimethylethyl ester

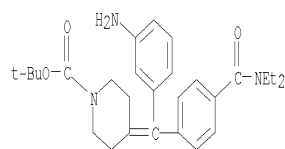
725229-85-6P 859911-37-8P 859911-38-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidenylpiperidines for the management of pain)

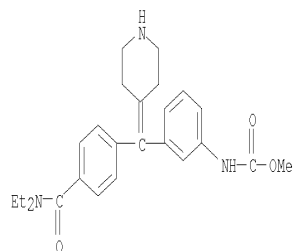
RN 725229-84-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 725229-85-6 CAPLUS

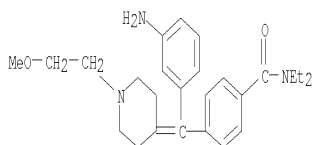
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-37-8 CAPLUS

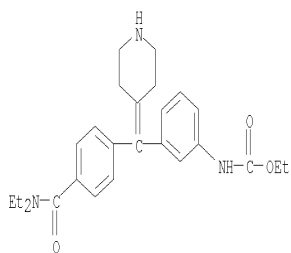
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CN Benzamide, 4-[(3-aminophenyl)[1-(2-methoxyethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 859911-38-9 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidene]methyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)



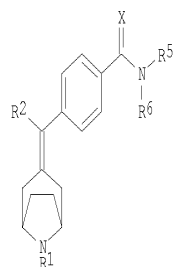
L11 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2005:15941 Document No. 142:113912 Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as  $\delta$ - or  $\mu$ -opioid receptor modulators.

Coats, Steven J.; Carson, John R.; Neilson, Lou Anne; Pitis, Philip M.; Schulz, Mark J. (USA). U.S. Pat. Appl. Publ. US 20050004163 A1 20050106, 42 pp., Cont.-in-part of U.S. Ser. No. 360,859, abandoned. (English). CODEN: USXXCO. APPLICATION: US 2004-767712 20040129. PRIORITY: US 2000-186778P 20000303; US 2001-791246 20010222; US 2003-360859 20030207.

GI

Print selected from 10541656.trn



I

AB The title compds. [I; R<sub>1</sub> = H, alkyl, cycloalkylalkyl, heterocyclylalkyl, aralkyl, etc.; R<sub>2</sub> = H, halo, CN, benzodioxolyl, etc.; X = O, S; R<sub>5</sub>-R<sub>6</sub> = H, alkyl] and their pharmaceutically acceptable enantiomers, diastereoisomers and salts, were prepared Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl<sub>4</sub> and Zn

in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150  $\mu$ mole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction. Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

IT 360563-54-8P 360563-56-0P 360563-59-3P 360563-60-6P

RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)

RN 360563-54-8 CAPLUS

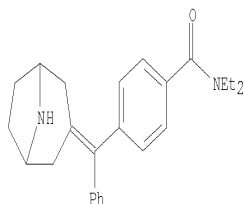
CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl-, (+)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 360563-53-7

CMF C25 H30 N2 O

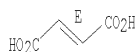
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CM 2

CRN 110-17-8  
CMF C4 H4 O4

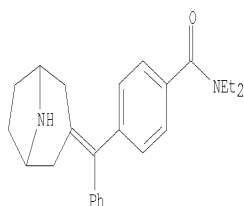
Double bond geometry as shown.



RN 360563-56-0 CAPLUS  
CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N,N-diethyl-, (-)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 360563-55-9  
CMF C25 H30 N2 O

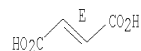


CM 2

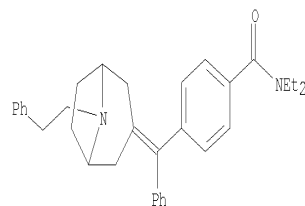
CRN 110-17-8  
CMF C4 H4 O4

Double bond geometry as shown.

Print selected from 10541656.trn

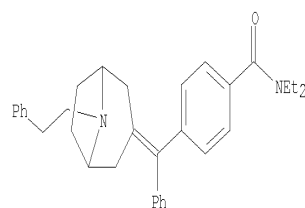


RN 360563-59-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)



● HCl

RN 360563-60-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

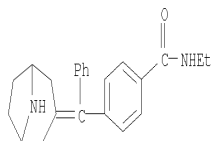


● HCl

IT 359863-14-2P 359863-16-4P 359863-18-6P  
823812-97-1P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)  
RN 359863-14-2 CAPLUS  
CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N-ethyl- (CA

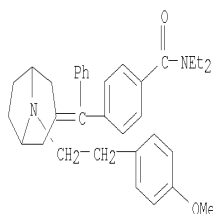
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INDEX NAME)



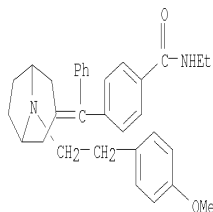
RN 359863-16-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-(4-methoxyphenyl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359863-18-6 CAPLUS

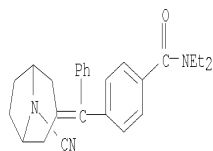
CN Benzamide, N-ethyl-4-[[8-(2-(4-methoxyphenyl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 823812-97-1 CAPLUS

CN Benzamide, 4-[(8-cyano-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



IT 359862-70-7P 359862-71-8P 359862-72-9P  
359862-73-0P 359862-74-1P 359862-75-2P  
359862-76-3P 359862-77-4P 359862-78-5P  
359862-79-6P 359862-80-9P 359862-81-0P  
359862-82-1P 359862-83-2P 359862-84-3P  
359862-85-4P 359862-86-5P 359862-87-6P  
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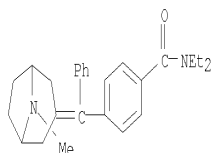
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid  
receptor modulators)

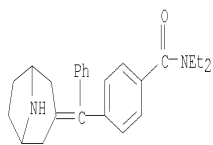
RN 359862-70-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-methyl-8-azabicyclo[3.2.1]oct-3-  
ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-71-8 CAPLUS

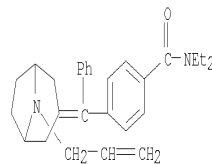
CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N,N-diethyl-  
(CA INDEX NAME)



RN 359862-72-9 CAPLUS

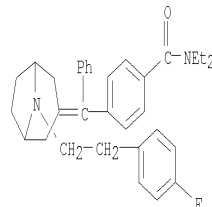
CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-  
ylidene]methyl]- (9CI) (CA INDEX NAME)

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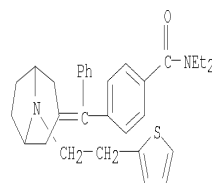
RN 359862-73-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-(4-fluorophenyl)ethyl)-8-  
azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-74-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-(2-thienyl)ethyl)-8-  
azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359862-75-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-(1H-indol-3-yl)ethyl)-8-  
azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

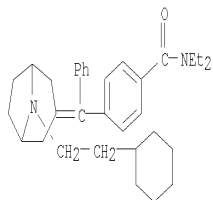
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 359862-76-3 CAPLUS

CN Benzamide, 4-[[8-(2-cyclohexylethyl)-8-azabicyclo[3.2.1]oct-3-  
ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

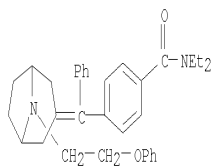


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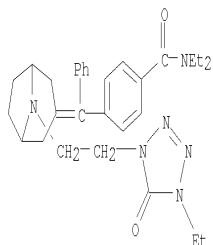
RN 359862-77-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-phenoxylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-78-5 CAPLUS

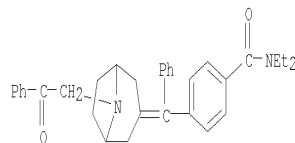
CN Benzamide, N,N-diethyl-4-[[8-[2-(4-ethyl-4,5-dihydro-5-oxo-1H-tetrazol-1-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-79-6 CAPLUS

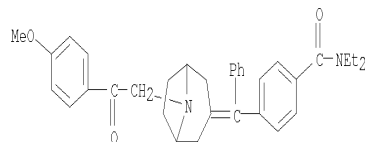
CN Benzamide, N,N-diethyl-4-[[8-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



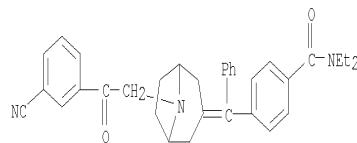
RN 359862-80-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-[2-(4-methoxyphenyl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



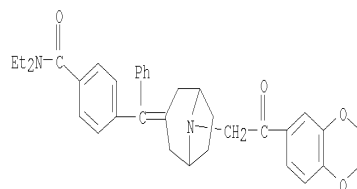
RN 359862-81-0 CAPLUS

CN Benzamide, 4-[[8-[2-(3-cyanophenyl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 359862-82-1 CAPLUS

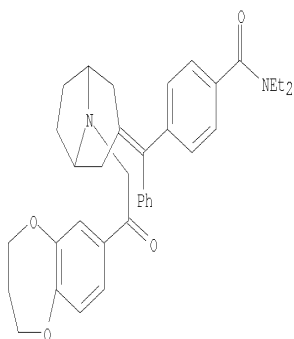
CN Benzamide, 4-[[8-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 359862-83-2 CAPLUS

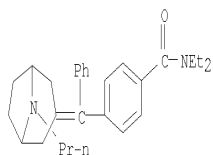
CN Benzamide, 4-[[8-[2-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



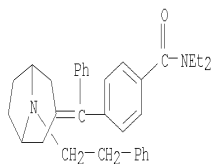
RN 359862-84-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(8-propyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359862-85-4 CAPLUS

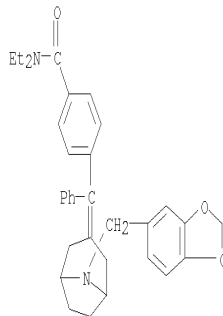
CN Benzamide, N,N-diethyl-4-[phenyl(8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359862-86-5 CAPLUS

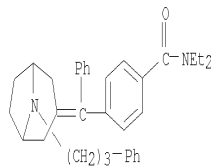
CN Benzamide, 4-[[8-(1,3-benzodioxol-5-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



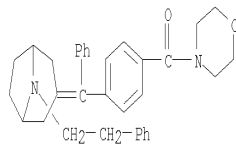
RN 359862-87-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(8-(3-phenylpropyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359862-92-3 CAPLUS

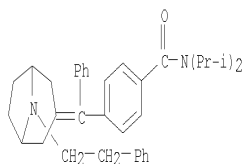
CN Morpholine, 4-[4-[phenyl(8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359862-93-4 CAPLUS

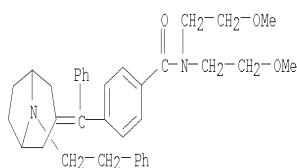
CN Benzamide, N,N-bis(1-methylethyl)-4-[phenyl(8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



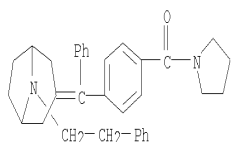
RN 359862-94-5 CAPLUS

CN Benzamide, N,N-bis(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



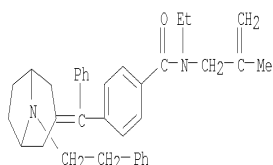
RN 359862-95-6 CAPLUS

CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359862-97-8 CAPLUS

CN Benzamide, N-ethyl-N-(2-methyl-2-propenyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

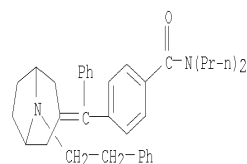


RN 359862-98-9 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-

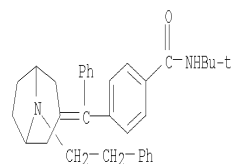
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ylidene]methyl]-N,N-dipropyl- (CA INDEX NAME)



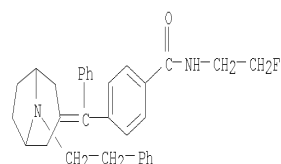
RN 359862-99-0 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



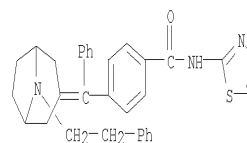
RN 359863-00-6 CAPLUS

CN Benzamide, N-(2-fluoroethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-02-8 CAPLUS

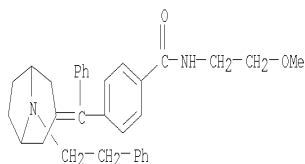
CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-2-thiazolyl- (CA INDEX NAME)



Print selected from 10541656.trn

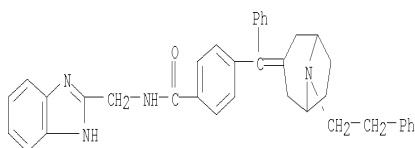
RN 359863-03-9 CAPLUS

CN Benzamide, N-(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



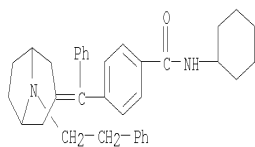
RN 359863-04-0 CAPLUS

CN Benzamide, N-(1H-benzimidazol-2-ylmethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-05-1 CAPLUS

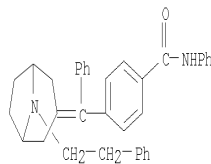
CN Benzamide, N-cyclohexyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-06-2 CAPLUS

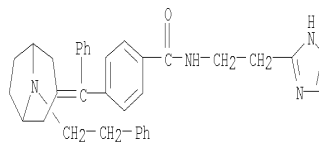
CN Benzamide, N-phenyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



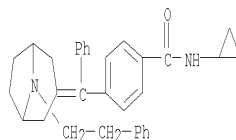
RN 359863-07-3 CAPLUS

CN Benzamide, N-[2-(1H-imidazol-2-yl)ethyl]-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



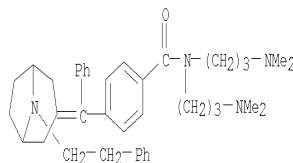
RN 359863-08-4 CAPLUS

CN Benzamide, N-cyclopropyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-09-5 CAPLUS

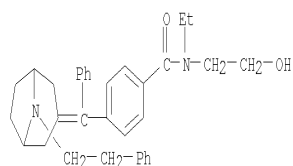
CN Benzamide, N,N-bis[3-(dimethylamino)propyl]-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-10-8 CAPLUS

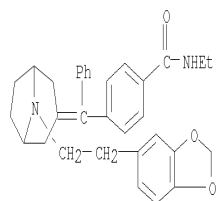
CN Benzamide, N-ethyl-N-(2-hydroxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



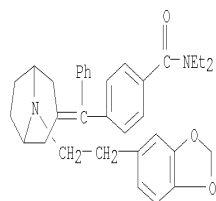
RN 359863-11-9 CAPLUS

CN Benzamide, 4-[[8-[2-(1,3-benzodioxol-5-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-ethyl- (CA INDEX NAME)



RN 359863-12-0 CAPLUS

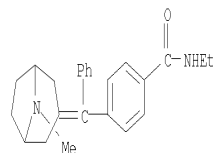
CN Benzamide, 4-[[8-[2-(1,3-benzodioxol-5-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 359863-13-1 CAPLUS

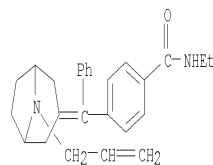
CN Benzamide, N-ethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



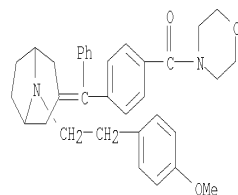
RN 359863-15-3 CAPLUS

CN Benzamide, N-ethyl-4-[(phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl)- (9CI) (CA INDEX NAME)



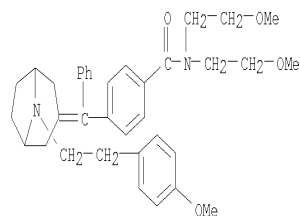
RN 359863-17-5 CAPLUS

CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-19-7 CAPLUS

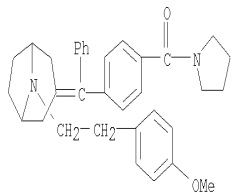
CN Benzamide, N,N-bis(2-methoxyethyl)-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



Print selected from 10541656.trn

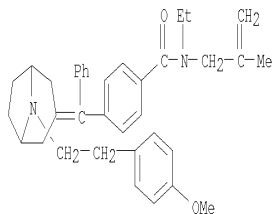
RN 359863-20-0 CAPLUS

CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



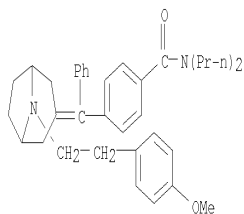
RN 359863-22-2 CAPLUS

CN Benzamide, N-ethyl-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)



RN 359863-23-3 CAPLUS

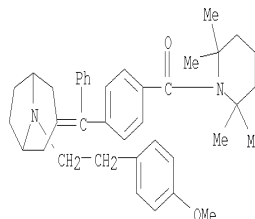
CN Benzamide, 4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-dipropyl- (CA INDEX NAME)



RN 359863-24-4 CAPLUS

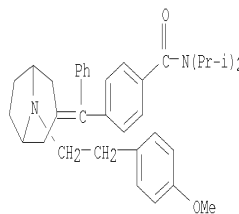
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



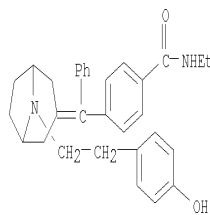
RN 359863-25-5 CAPLUS

CN Benzamide, 4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 359863-26-6 CAPLUS

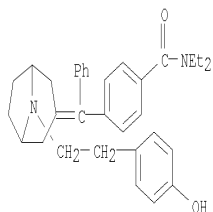
CN Benzamide, N-ethyl-4-[[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359863-27-7 CAPLUS

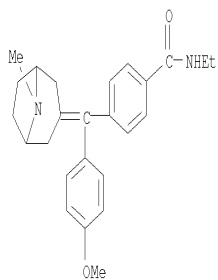
CN Benzamide, N,N-diethyl-4-[[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



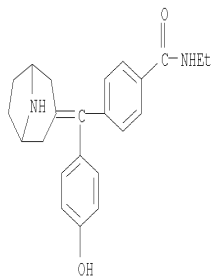
RN 359863-28-8 CAPLUS

CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-29-9 CAPLUS

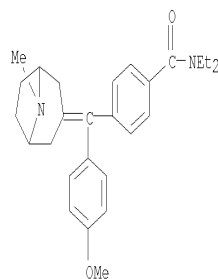
CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)



RN 359863-30-2 CAPLUS

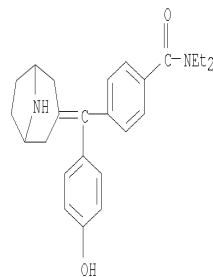
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



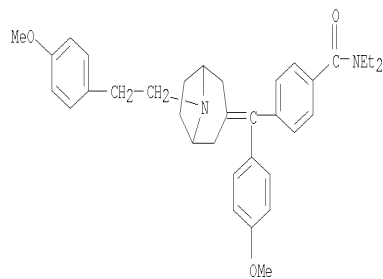
RN 359863-31-3 CAPLUS

CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 359863-32-4 CAPLUS

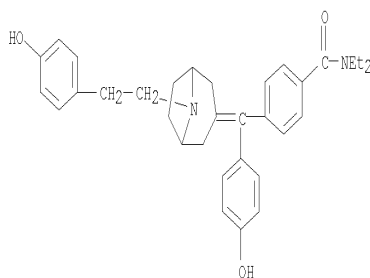
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

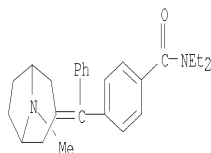
RN 359863-33-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-hydroxyphenyl)[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-34-6 CAPLUS

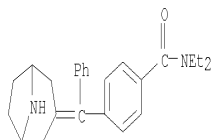
CN Benzamide, N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 359863-35-7 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

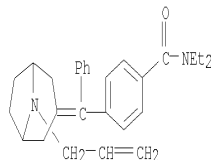


● HCl

Print selected from 10541656.trn

RN 359863-36-8 CAPLUS

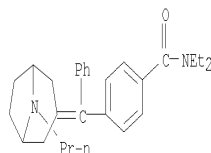
CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 359863-37-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-propyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

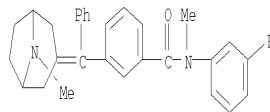
RN 359863-39-1 CAPLUS

CN Benzamide, N-(3-fluorophenyl)-N-methyl-3-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 359862-88-7

CMF C29 H29 F N2 O





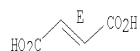
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CM 2

CRN 110-17-8

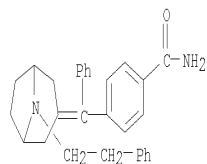
CMF C4 H4 O4

Double bond geometry as shown.



RN 359863-43-7 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

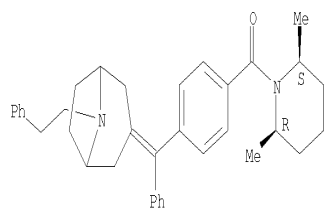


● HCl

RN 359863-44-8 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

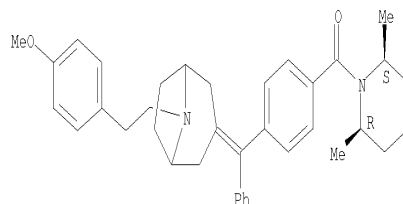


RN 359863-52-8 CAPLUS

CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

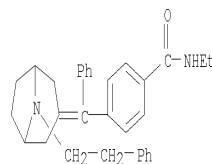
Print selected from 10541656.trn

Relative stereochemistry.



RN 359863-72-2 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

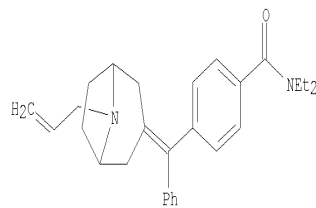
RN 360563-58-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, (-)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 360563-57-1

CMF C28 H34 N2 O

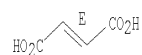


Print selected from 10541656.trn

CM 2

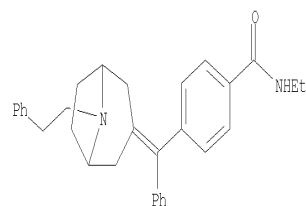
CRN 110-17-8  
CME C4 H4 O4

Double bond geometry as shown.



RN 360563-62-8 CAPLUS

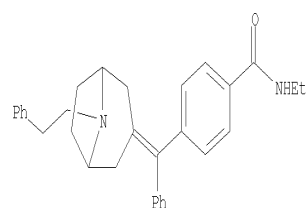
CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)



● HCl

RN 360563-63-9 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

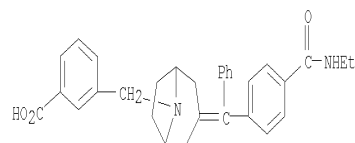


● HCl

RN 809275-33-0 CAPLUS

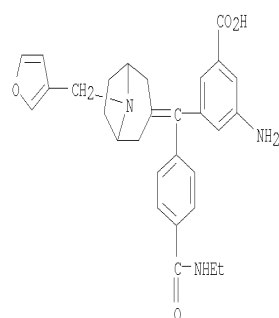
Print selected from 10541656.trn

CN Benzoic acid, 3-[[[3-[[[4-[(ethylamino)carbonyl]phenyl]phenylmethylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (CA INDEX NAME)



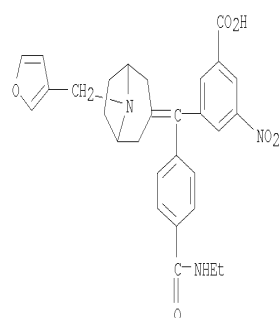
RN 809275-38-5 CAPLUS

CN Benzoic acid, 3-amino-5-[[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 809275-41-0 CAPLUS

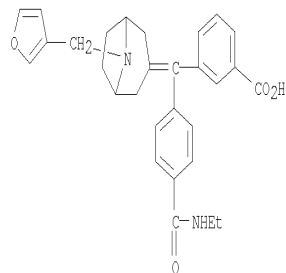
CN Benzoic acid, 3-[[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)



Print selected from 10541656.trn

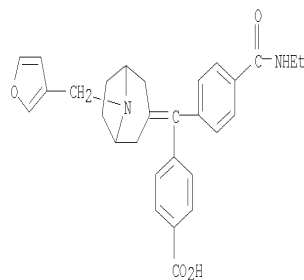
RN 809275-43-2 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 809275-44-3 CAPLUS

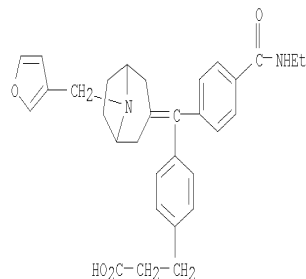
CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 809275-45-4 CAPLUS

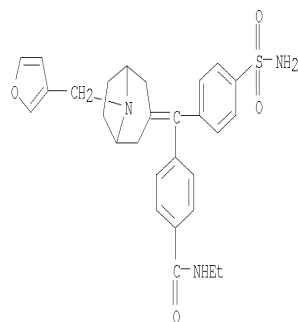
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



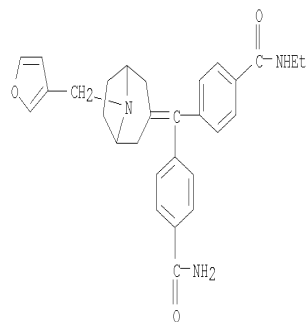
RN 809275-47-6 CAPLUS

CN Benzamide, 4-[[4-(aminosulfonyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 809275-55-6 CAPLUS

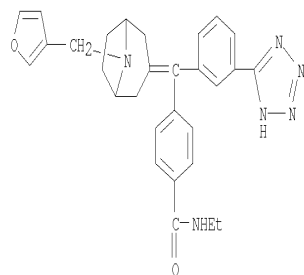
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



Print selected from 10541656.trn

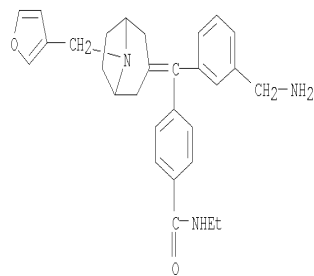
RN 809275-57-8 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl)methyl]- (9CI) (CA INDEX NAME)



RN 809275-59-0 CAPLUS

CN Benzamide, 4-[[3-(aminomethyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)

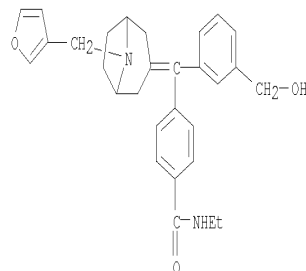


RN 809275-60-3 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(hydroxymethyl)phenyl)methyl]- (CA INDEX NAME)

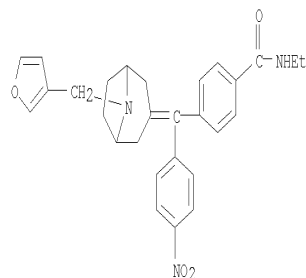


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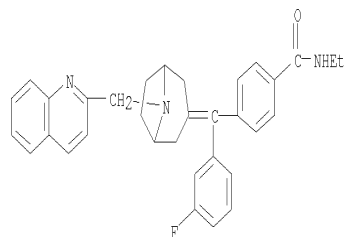
RN 809275-69-2 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][4-nitrophenyl)methyl]- (CA INDEX NAME)



RN 823810-95-3 CAPLUS

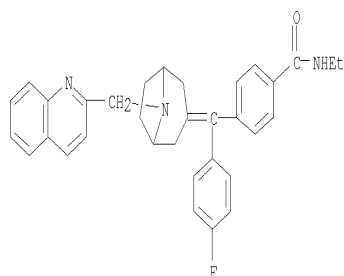
CN Benzamide, N-ethyl-4-[(3-fluorophenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 823810-98-6 CAPLUS

CN Benzamide, N-ethyl-4-[(4-fluorophenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

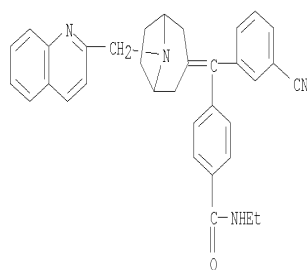
Print selected from 10541656.trn



RN 823811-15-0 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

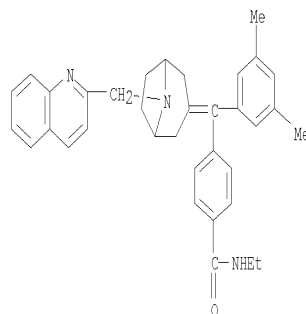
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 823811-16-1 CAPLUS  
CN Benzamide, 4-[(3-cyanophenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

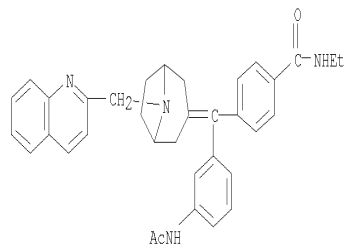


RN 823811-24-1 CAPLUS  
CN Benzamide, 4-[(3,5-dimethylphenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

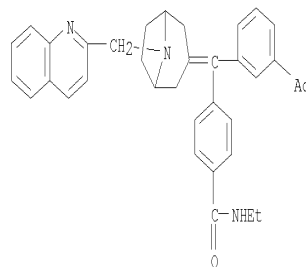
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RN 823811-53-6 CAPLUS  
CN Benzamide, 4-[(3-(2-methyl-4-(N-ethylbenzamide)phenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



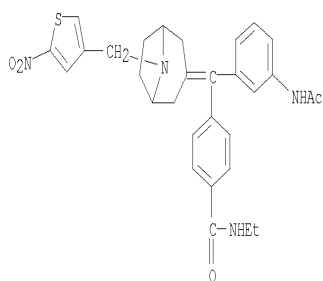
RN 823811-54-7 CAPLUS  
CN Benzamide, 4-[(3-(N-ethylbenzamide)phenyl)[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



Print selected from 10541656.trn

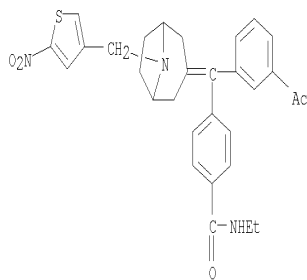
RN 823811-56-9 CAPLUS

CN Benzamide, 4-[[[3-(acetylamino)phenyl][8-[(5-nitro-3-thienyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)



RN 823811-57-0 CAPLUS

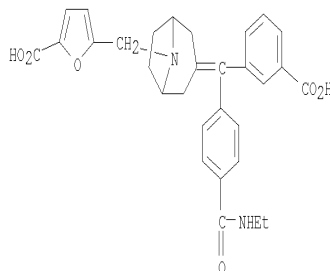
CN Benzamide, 4-[[[3-(acetylphenyl)[8-[(5-nitro-3-thienyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)



RN 823811-60-5 CAPLUS

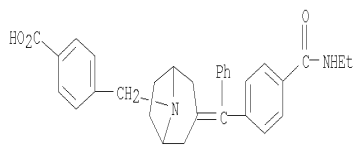
CN 2-Furancarboxylic acid, 5-[[[3-[(3-carboxyphenyl)[4-[(ethylamino)carbonyl]phenyl]methylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



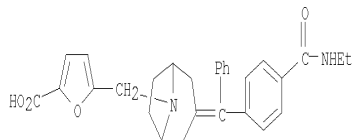
RN 823811-61-6 CAPLUS

CN Benzoic acid, 4-[[[3-[[4-[(ethylamino)carbonyl]phenyl]phenylmethylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (CA INDEX NAME)



RN 823811-62-7 CAPLUS

CN 2-Furancarboxylic acid, 5-[[[3-[[4-[(ethylamino)carbonyl]phenyl]phenylmethylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (CA INDEX NAME)



RN 823811-65-0 CAPLUS

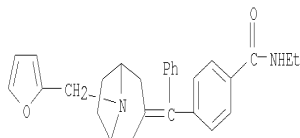
CN Benzamide, N-ethyl-4-[[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 823811-64-9

CMF C28 H30 N2 O2

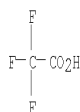
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CM 2

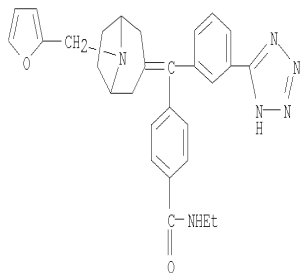
CRN 76-05-1

CMF C2 H F3 O2



RN 823811-66-1 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 823811-67-2 CAPLUS

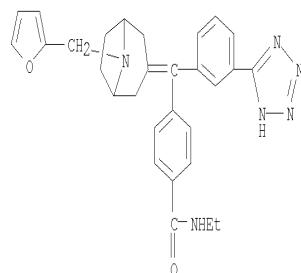
CN Benzamide, N-ethyl-4-[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 823811-66-1

CMF C29 H30 N6 O2

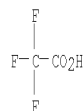
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CM 2

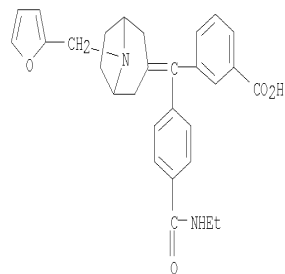
CRN 76-05-1

CMF C2 H F3 O2



RN 823811-68-3 CAPLUS

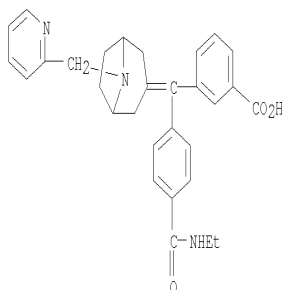
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



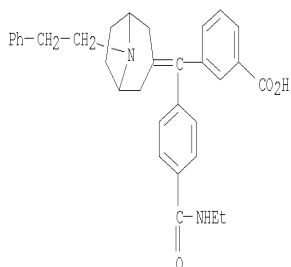
RN 823811-69-4 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

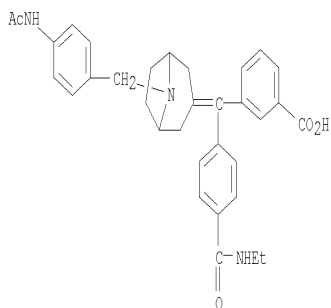
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RN 823811-70-7 CAPLUS  
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

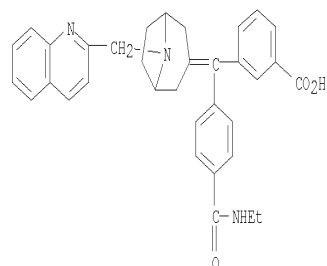


RN 823811-71-8 CAPLUS  
CN Benzoic acid, 3-[[8-[[4-(acetylamino)phenyl]methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]4-[(ethylamino)carbonyl]phenyl]methyl]- (CA INDEX NAME)

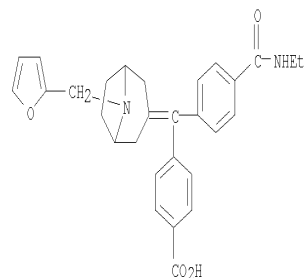


Print selected from 10541656.trn

RN 823811-72-9 CAPLUS  
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



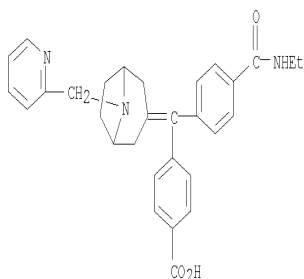
RN 823811-73-0 CAPLUS  
CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-74-1 CAPLUS  
CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

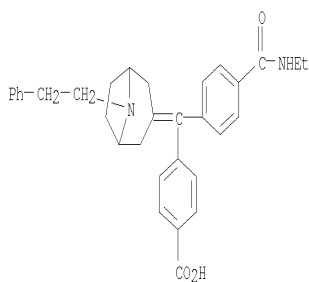


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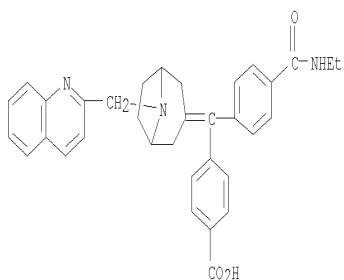
RN 823811-75-2 CAPLUS

CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-76-3 CAPLUS

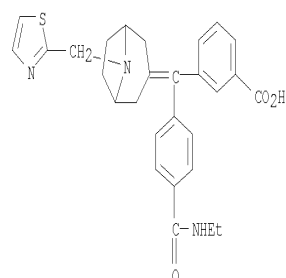
CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-78-5 CAPLUS

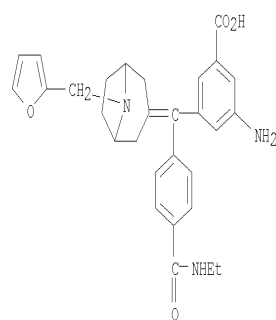
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CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-79-6 CAPLUS

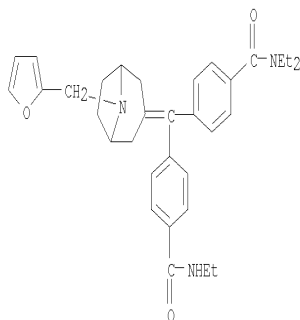
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-80-9 CAPLUS

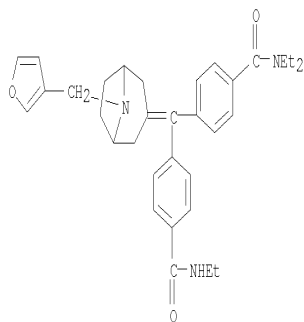
CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-81-0 CAPLUS

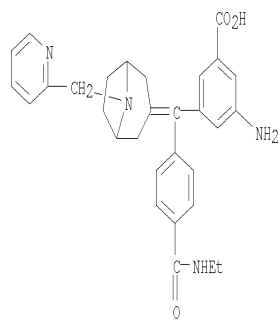
CN Benamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-82-1 CAPLUS

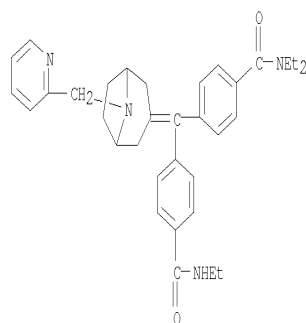
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-84-3 CAPLUS

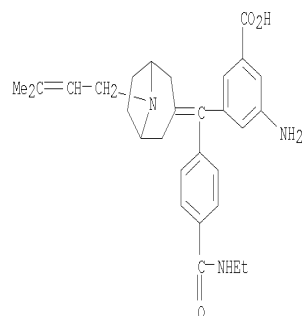
CN Benamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-85-4 CAPLUS

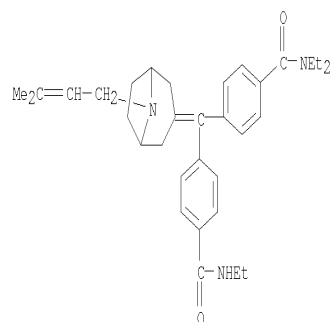
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-86-5 CAPLUS

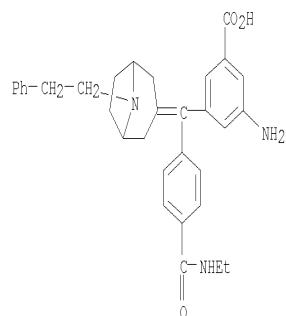
CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 823811-87-6 CAPLUS

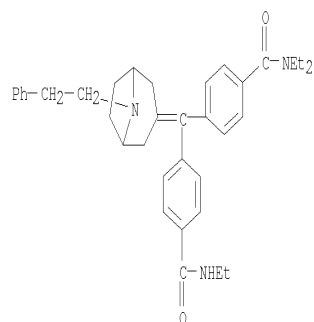
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-88-7 CAPLUS

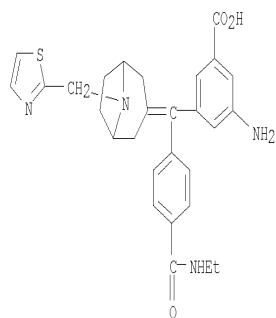
CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-89-8 CAPLUS

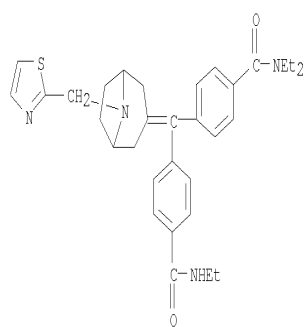
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-90-1 CAPLUS

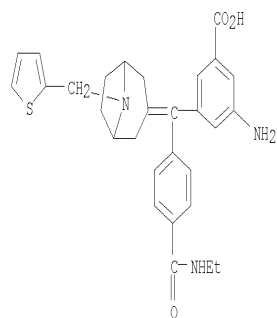
CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823811-91-2 CAPLUS

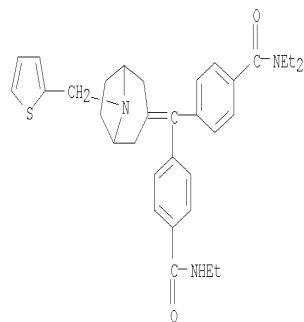
CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823811-92-3 CAPLUS

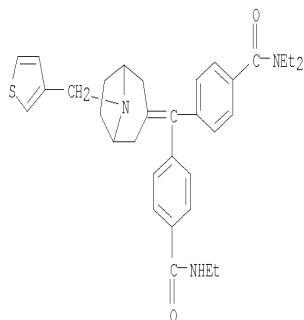
CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



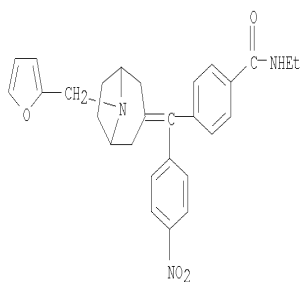
RN 823811-93-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

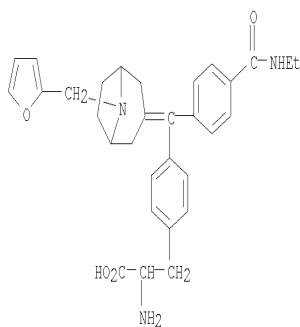
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RN 823811-94-5 CAPLUS  
CN Benzanide, N-ethyl-4-[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](4-nitrophenyl)methyl]- (CA INDEX NAME)

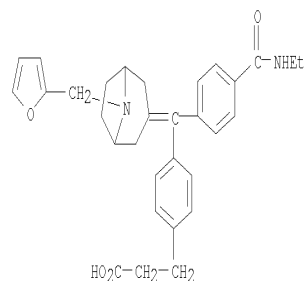


RN 823811-95-6 CAPLUS  
CN Phenylalanine, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

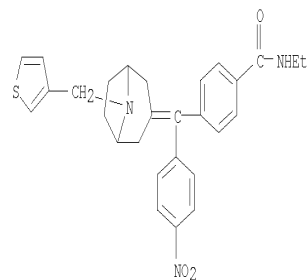


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RN 823811-96-7 CAPLUS  
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

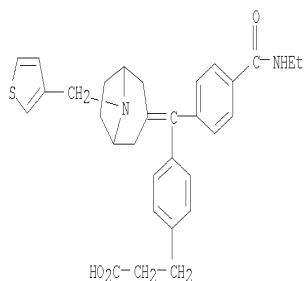


RN 823811-97-8 CAPLUS  
CN Benzanide, N-ethyl-4-[[4-nitrophenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

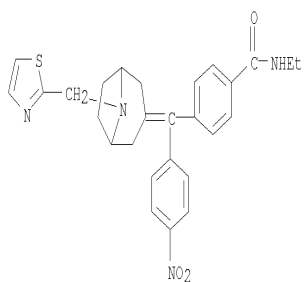


RN 823811-98-9 CAPLUS  
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

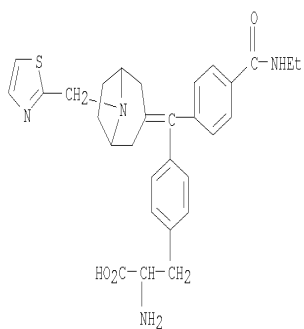
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RN 823811-99-0 CAPLUS  
CN Benzenamide, N-ethyl-4-[(4-nitrophenyl)[8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

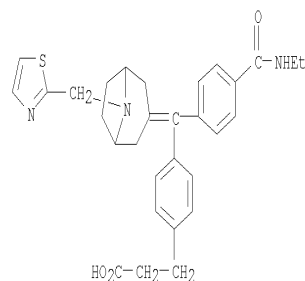


RN 823812-00-6 CAPLUS  
CN Phenylalanine, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

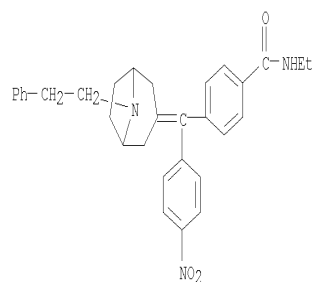


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RN 823812-01-7 CAPLUS  
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

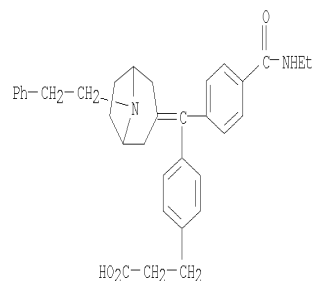


RN 823812-03-9 CAPLUS  
CN Benzenamide, N-ethyl-4-[(4-nitrophenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



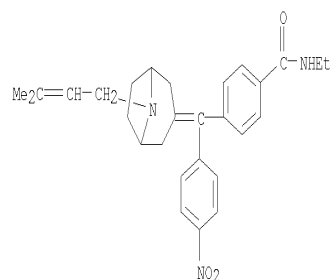
RN 823812-04-0 CAPLUS  
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



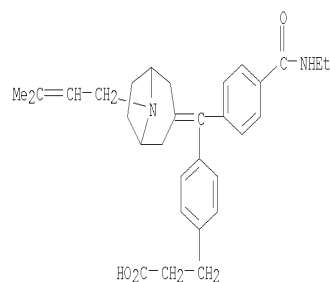
RN 823812-05-1 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene](4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 823812-06-2 CAPLUS

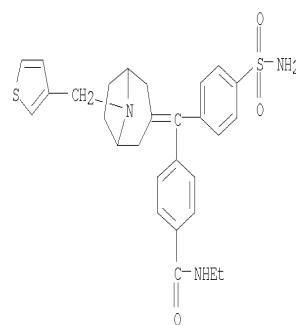
CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 823812-07-3 CAPLUS

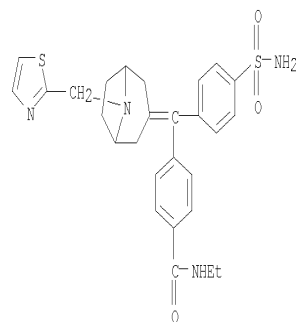
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CN Benzamide, 4-[[4-(aminosulfonyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-08-4 CAPLUS

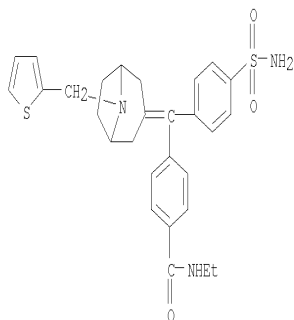
CN Benzamide, 4-[[4-(aminosulfonyl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-09-5 CAPLUS

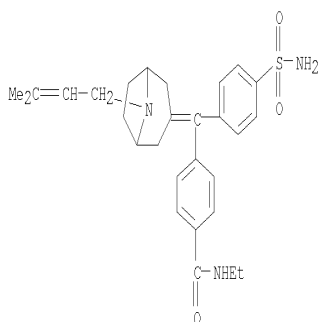
CN Benzamide, 4-[[4-(aminosulfonyl)phenyl][8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 823812-10-8 CAPLUS

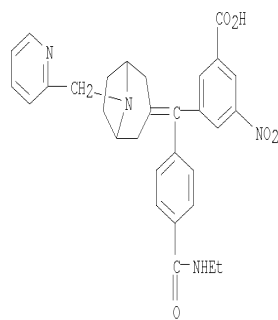
CN Benamide, 4-[[4-(aminosulfonyl)phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 823812-17-5 CAPLUS

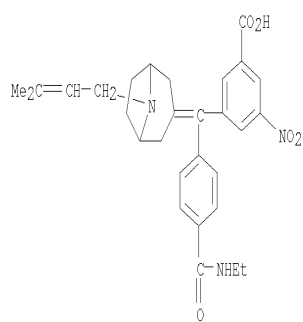
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)

Print selected from 10541656.trn



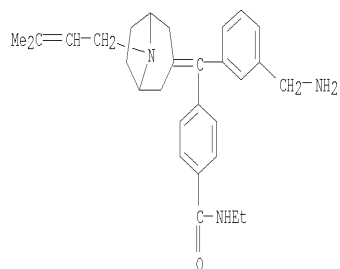
RN 823812-18-6 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (9CI) (CA INDEX NAME)



RN 823812-19-7 CAPLUS

CN Benamide, 4-[[3-(aminomethyl)phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

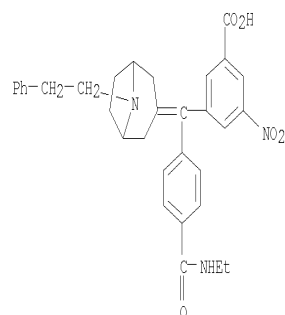




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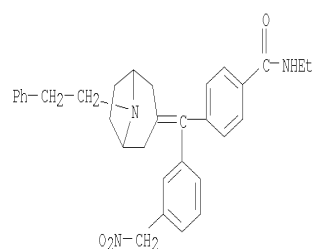
RN 823812-20-0 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)



RN 823812-21-1 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(nitromethyl)phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

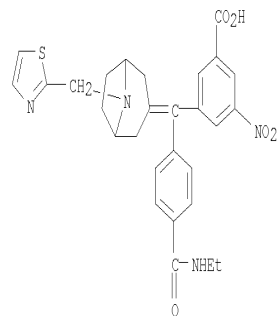


RN 823812-22-2 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)

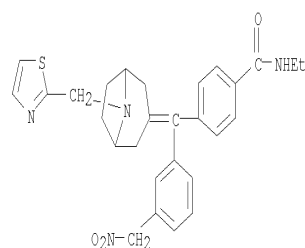


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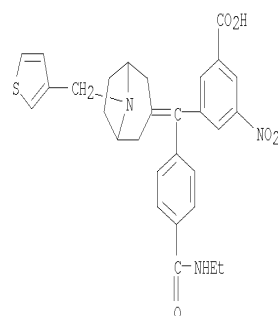
RN 823812-23-3 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(nitromethyl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



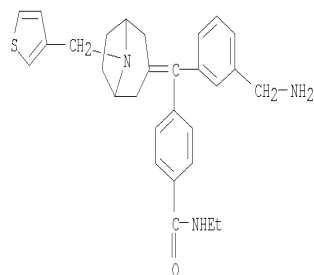
RN 823812-24-4 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)

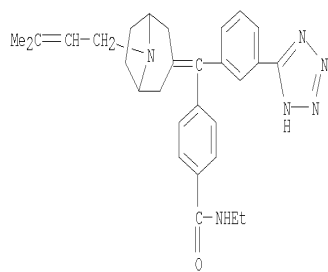


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RN 823812-25-5 CAPLUS  
CN Benzamide, 4-[[3-(aminomethyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

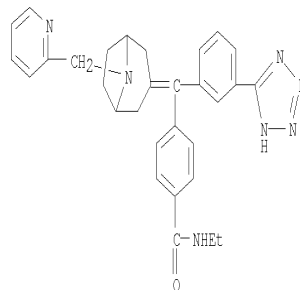


RN 823812-26-6 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

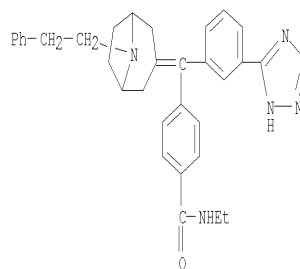


RN 823812-27-7 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)

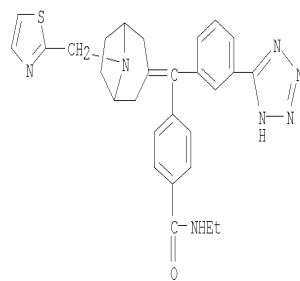
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RN 823812-28-8 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl]methyl]- (9CI) (CA INDEX NAME)



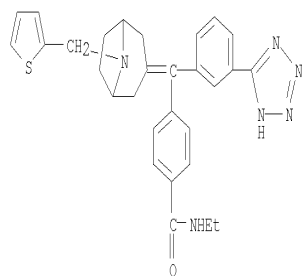
RN 823812-29-9 CAPLUS  
CN Benzamide, N-ethyl-4-[[3-(1H-tetrazol-5-yl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



Print selected from 10541656.trn

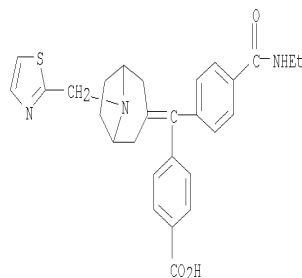
RN 823812-31-3 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(1H-tetrazol-5-yl)phenyl][8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)



RN 823812-35-7 CAPLUS

CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

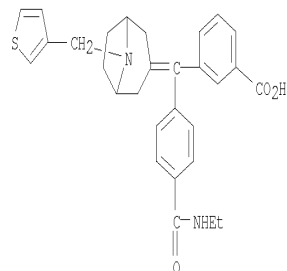


RN 823812-37-9 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

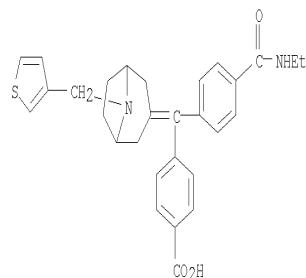


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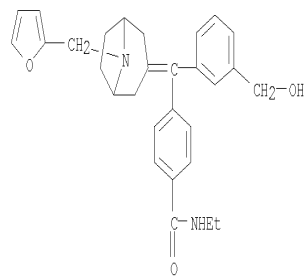
RN 823812-39-1 CAPLUS

CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

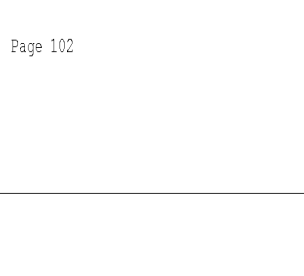


RN 823812-43-7 CAPLUS

CN Benzamide, N-ethyl-4-[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(hydroxymethyl)phenyl)methyl]- (CA INDEX NAME)

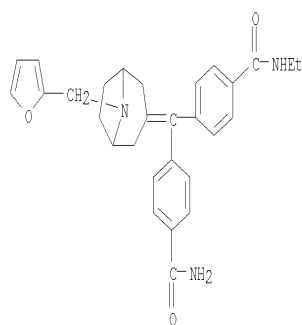


RN 823812-45-9 CAPLUS



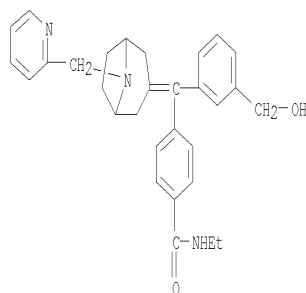
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CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-47-1 CAPLUS

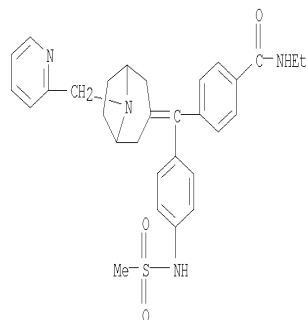
CN Benzamide, N-ethyl-4-[[3-(hydroxymethyl)phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823812-48-2 CAPLUS

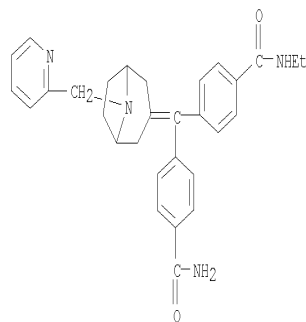
CN Benzamide, N-ethyl-4-[[4-[(methylsulfonyl)amino]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



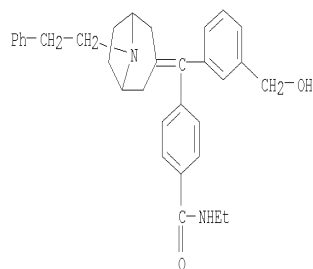
RN 823812-49-3 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-50-6 CAPLUS

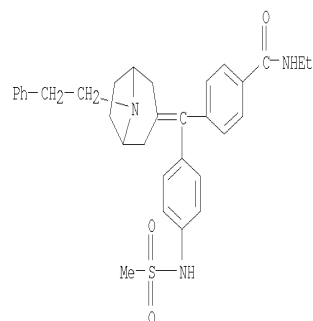
CN Benzamide, N-ethyl-4-[[3-(hydroxymethyl)phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

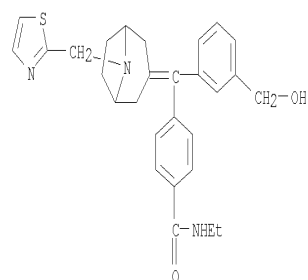
RN 823812-51-7 CAPLUS

CN Benzamide, N-ethyl-4-[[4-[(methylsulfonyl)amino]phenyl][8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



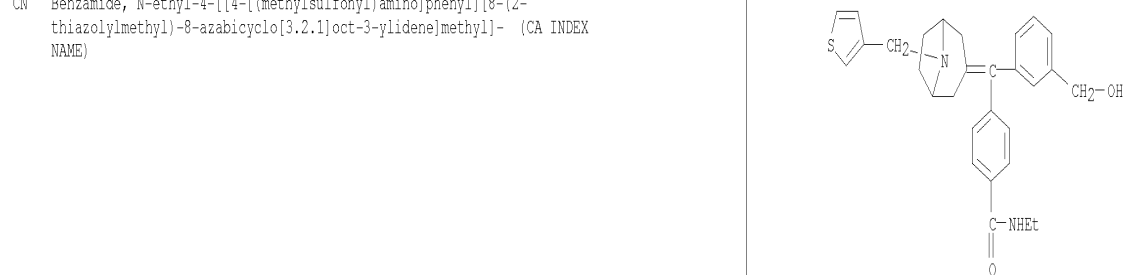
RN 823812-52-8 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(hydroxymethyl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

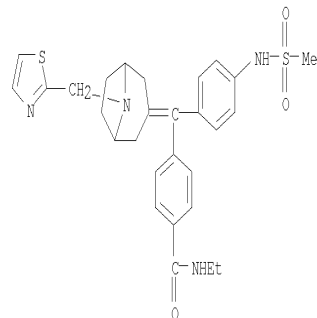


RN 823812-53-9 CAPLUS

CN Benzamide, N-ethyl-4-[[4-[(methylsulfonyl)amino]phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

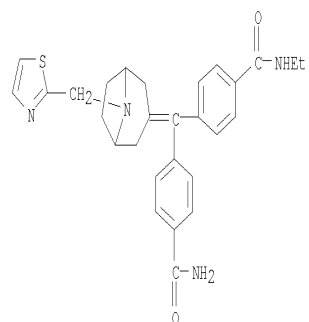


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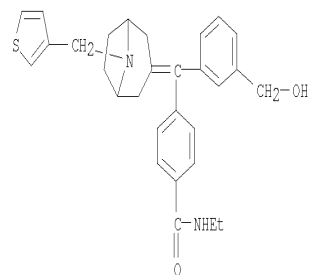
RN 823812-54-0 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-55-1 CAPLUS

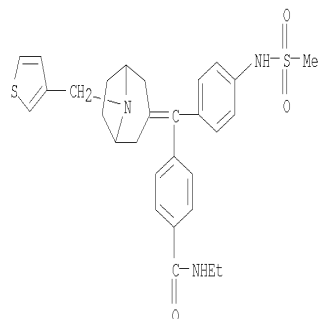
CN Benzamide, N-ethyl-4-[[3-(hydroxymethyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

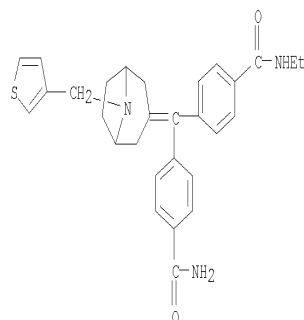
RN 823812-56-2 CAPLUS

CN Benzamide, N-ethyl-4-[[4-[(methylsulfonyl)amino]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823812-57-3 CAPLUS

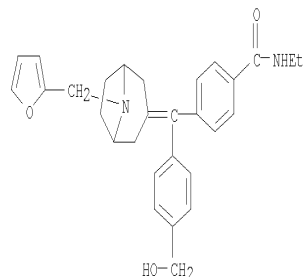
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 823812-58-4 CAPLUS

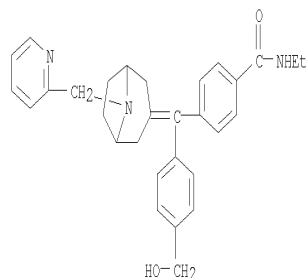
CN Benzamide, N-ethyl-4-[[8-(2-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][4-(hydroxymethyl)phenyl]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



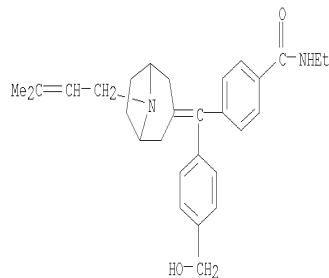
RN 823812-59-5 CAPLUS

CN Benzamide, N-ethyl-4-[[4-(hydroxymethyl)phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 823812-60-8 CAPLUS

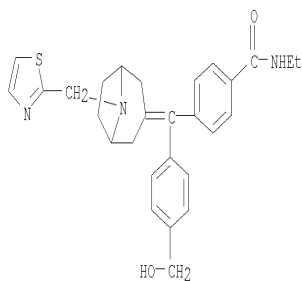
CN Benzamide, N-ethyl-4-[[4-(hydroxymethyl)phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 823812-61-9 CAPLUS

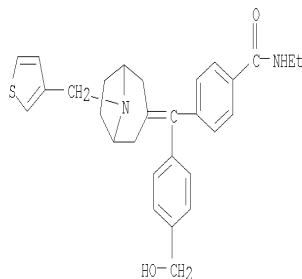
Print selected from 10541656.trn

CN Benzamide, N-ethyl-4-[[4-(hydroxymethyl)phenyl][8-(2-thiazolylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



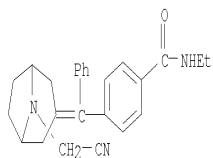
RN 823812-62-0 CAPLUS

CN Benzamide, N-ethyl-4-[[4-(hydroxymethyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 823812-67-5 CAPLUS

CN Benzamide, 4-[[8-(cyanomethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-ethyl- (CA INDEX NAME)

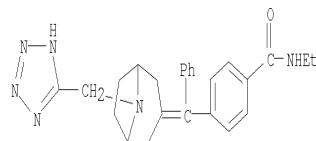


RN 823812-70-0 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(1H-tetrazol-5-ylmethyl)-8-

Print selected from 10541656.trn

azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)



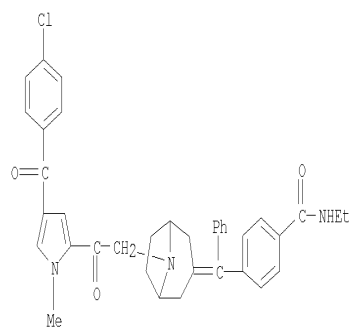
RN 823812-71-1 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 823812-85-7 CAPLUS

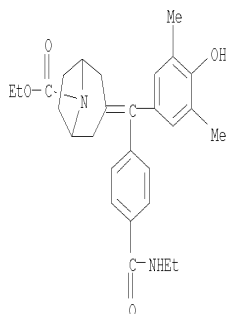
CN Benzamide, 4-[[8-[2-[4-(4-chlorobenzoyl)-1-methyl-1H-pyrrol-2-yl]-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-ethyl- (CA INDEX NAME)



RN 823812-93-7 CAPLUS

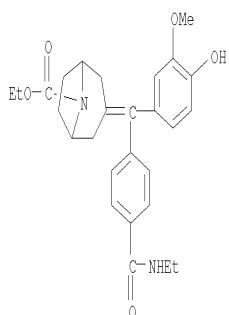
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[4-[(ethylamino)carbonyl]phenyl](4-hydroxy-3,5-dimethylphenyl)methylene]-, ethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



RN 823812-94-8 CAPLUS

CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[4-  
[(ethylamino)carbonyl]phenyl](4-hydroxy-3-methoxyphenyl)methylene]-, ethyl  
ester (CA INDEX NAME)

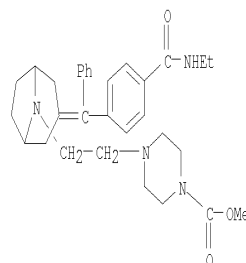


RN 823812-95-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[3-[[4-[(ethylamino)carbonyl]phenyl]phenylmethylene]-8-azabicyclo[3.2.1]oct-8-yl]ethyl]-, methyl ester (CA INDEX NAME)

Page 111

Print selected from 10541656.trn



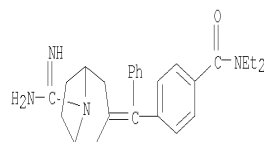
RN 823812-99-3 CAPLUS

CN Benzamide, 4-[[8-(aminoiminomethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 823812-98-2

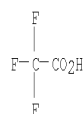
CMF C26 H32 N4 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



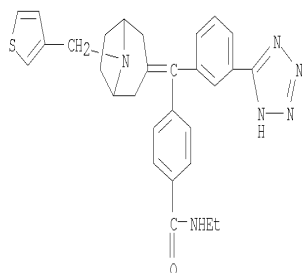
RN 823815-68-5 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(1H-tetrazol-5-yl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

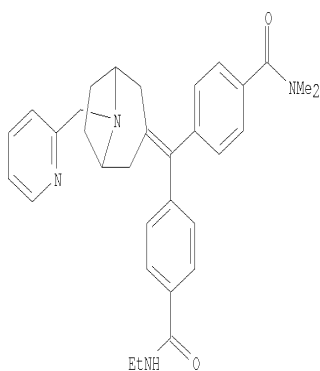
Page 112



Print selected from 10541656.trn

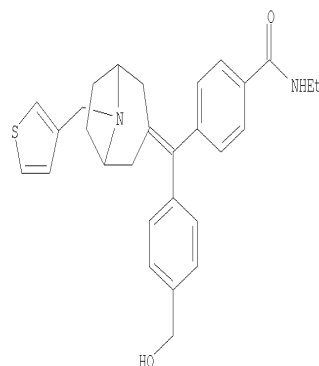


RN 823816-29-1 CAPLUS  
CN Benzamide, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N,N-dimethyl-, (+)- (9CI) (CA INDEX NAME)

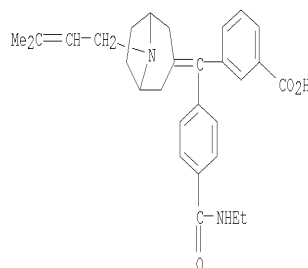


RN 823816-30-4 CAPLUS  
CN Benzamide, N-ethyl-4-[[4-(hydroxymethyl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, (+)- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

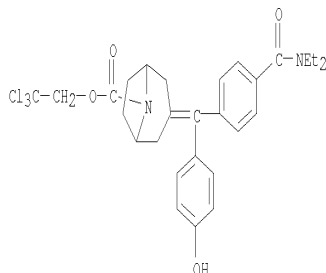


RN 823816-48-4 CAPLUS  
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

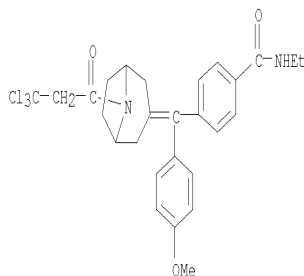


IT 359863-60-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)  
RN 359863-60-8 CAPLUS  
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[4-[(diethylamino)carbonyl]phenyl][4-hydroxyphenyl]methylene]-, 2,2,2-trichloroethyl ester (CA INDEX NAME)

Print selected from 10541656.trn

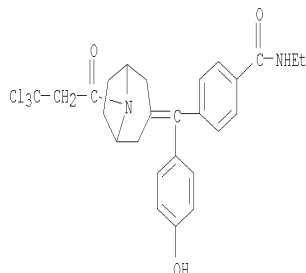


IT 359863-54-0P 359863-55-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid  
 receptor modulators)  
 RN 359863-54-0 CAPLUS  
 CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)[8-(3,3,3-trichloro-1-oxopropyl)-8-  
 azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



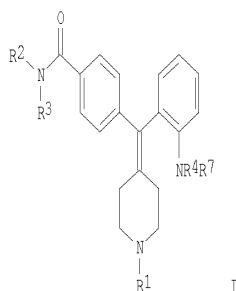
RN 359863-55-1 CAPLUS  
 CN Benzamide, N-ethyl-4-[(4-hydroxyphenyl)[8-(3,3,3-trichloro-1-oxopropyl)-8-  
 azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



L11 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
 2004:1016017 Document No. 142:6430 Preparation of diarylmethylene  
 piperidine derivatives as opioid  $\delta$  receptor ligands for treating  
 pain, anxiety and functional gastrointestinal disorders. Brown, William  
 L.; Griffin, Andrew; Jin, Shujuan (Astrazeneca AB, Swed.; Astrazeneca UK  
 Limited). PCT Int. Appl. WO 2004/101522 A1 20041125, 131 pp. DESIGNATED  
 STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,  
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI,  
 CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL,  
 PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO  
 2004-GB2074 20040513. PRIORITY: SE 2003-1444 20030516; SE 2004-24  
 20040109.

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 =  
 H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are  
 useful in therapy, in particular in the management of pain, were prepared  
 E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = C(=O)Ph; R7 =

Print selected from 10541656.trn

H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human  $\delta$  receptors. Generally, for most of the compds. I the IC<sub>50</sub> values are in the range of 0.48 nM to 17.9 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 798549-77-6P 798549-78-7P 798549-83-4P

798549-93-6P 798549-94-7P 798549-95-8P

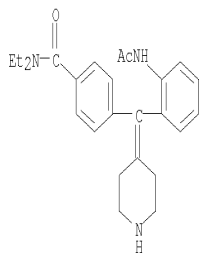
798549-96-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

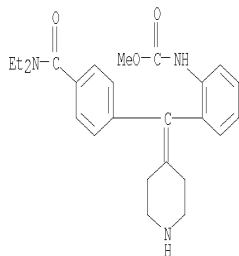
RN 798549-77-6 CAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798549-78-7 CAPLUS

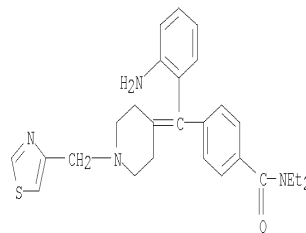
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 798549-83-4 CAPLUS

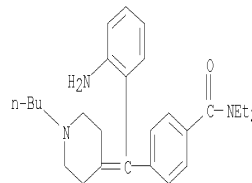
CN Benzamide, 4-[(2-aminophenyl)[1-(4-thiazolylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



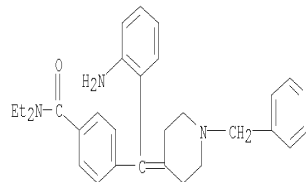
RN 798549-93-6 CAPLUS

CN Benzamide, 4-[(2-aminophenyl)(1-butyl-4-piperidinyldene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798549-94-7 CAPLUS

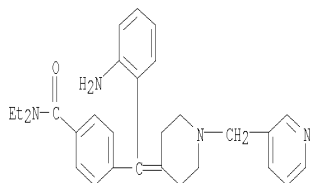
CN Benzamide, 4-[(2-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



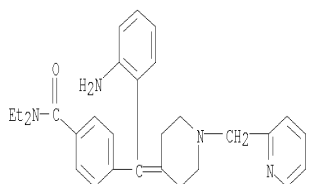
RN 798549-95-8 CAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 798549-96-9 CAPLUS  
CN Benzanide, 4-[(2-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



IT 798549-16-3P 798549-17-4P 798549-18-5P  
798549-19-6P 798549-21-0P 798549-22-1P  
798549-23-2P 798549-24-3P 798549-25-4P  
798549-26-5P 798549-27-6P 798549-28-7P  
798549-29-8P 798549-30-1P 798549-31-2P  
798549-32-3P 798549-33-4P 798549-34-5P  
798549-35-6P 798549-36-7P 798549-37-8P  
798549-38-9P 798549-39-0P 798549-40-3P  
798549-41-4P 798549-42-5P 798549-43-6P  
798549-44-7P 798549-45-8P 798549-46-9P  
798549-47-0P 798549-48-1P 798549-49-2P  
798549-50-5P 798549-51-6P 798549-52-7P  
798549-53-8P 798549-54-9P 798549-55-0P  
798549-56-1P 798549-57-2P 798549-58-3P  
798549-59-4P 798549-60-7P 798549-61-8P  
798549-62-9P 798549-63-0P 798549-64-1P  
798549-65-2P 798549-66-3P 798549-67-4P  
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798549-71-0P 798549-72-1P 798549-73-2P  
798549-74-3P 798549-75-4P 798549-76-5P  
798549-79-8P 798549-80-1P 798549-81-2P  
798549-82-3P 798549-84-5P 798549-85-6P  
798549-86-7P 798549-87-8P 798549-88-9P  
798549-89-0P 798549-90-3P 798549-91-4P  
798549-92-5P 798549-97-0P 798549-98-1P  
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798550-02-4P 798550-03-5P 798550-04-6P  
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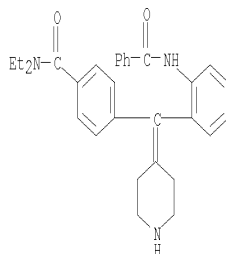
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798550-17-1P 798550-25-1P 798550-26-2P  
798550-27-3P 798550-28-4P 798550-29-5P  
798550-30-8P 798550-31-9P 798550-32-0P  
798550-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798549-16-3 CAPLUS  
CN Benzanide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

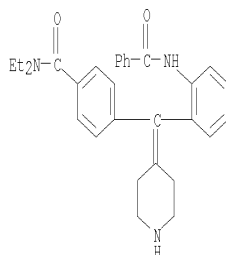


RN 798549-17-4 CAPLUS  
CN Benzanide, 4-[[2-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-16-3

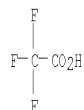
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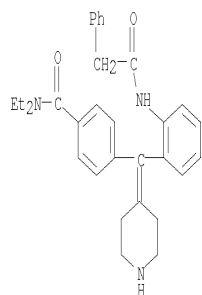
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-18-5 CAPLUS  
CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (CA INDEX NAME)

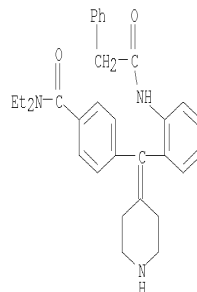


RN 798549-19-6 CAPLUS  
CN Benzeneacetamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

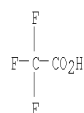
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Print selected from 10541656.trn

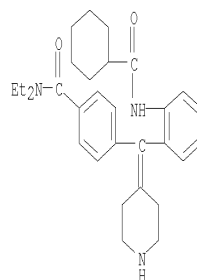


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-21-0 CAPLUS  
CN Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



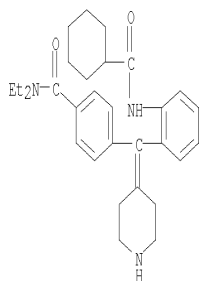
RN 798549-22-1 CAPLUS  
CN Benzamide, 4-[[2-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

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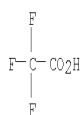
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CM 2

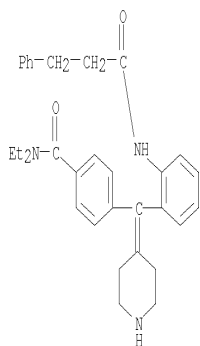
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-23-2 CAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (CA INDEX NAME)



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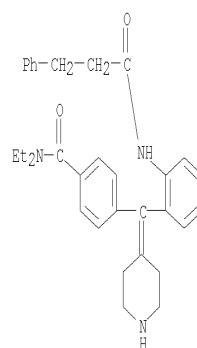
RN 798549-24-3 CAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-23-2

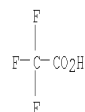
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CM 2

CRN 76-05-1

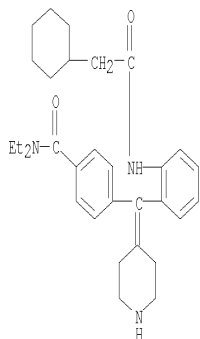
CMF C2 H F3 O2



RN 798549-25-4 CAPLUS

CN Benzamide, 4-[[2-[(cyclohexylacetyl)amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

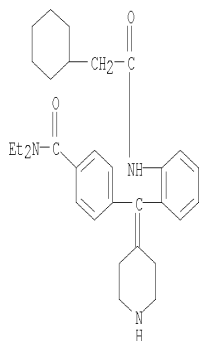
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RN 798549-26-5 CAPLUS  
CN Benzanide, 4-[[2-[(cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

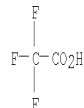
CRN 798549-25-4  
CMF C31 H41 N3 O2



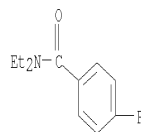
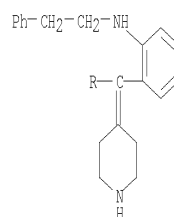
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



RN 798549-27-6 CAPLUS  
CN Benzanide, N,N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

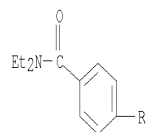
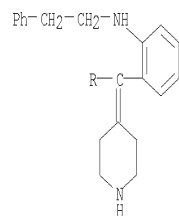


RN 798549-28-7 CAPLUS  
CN Benzanide, N,N-diethyl-4-[[2-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

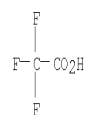
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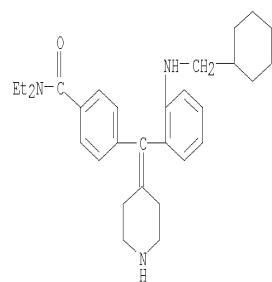
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-29-8 CAPLUS

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



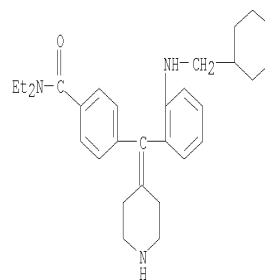
RN 798549-30-1 CAPLUS

Print selected from 10541656.trn

CN Benzamide, 4-[[2-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

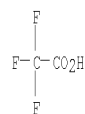
CM 1

CRN 798549-29-8  
CMF C30 H41 N3 O



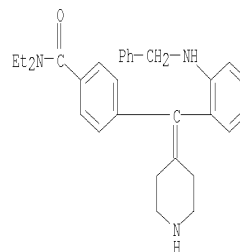
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-31-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)





Print selected from 10541656.trn

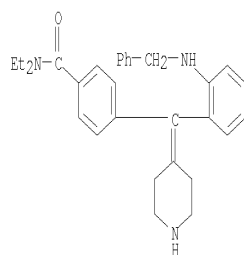
RN 798549-32-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(phenylmethyl)amino]phenyl]-4-piperidinyldenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-31-2

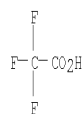
CMF C30 H35 N3 O



CM 2

CRN 76-05-1

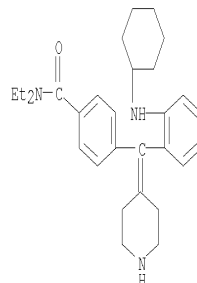
CMF C2 H F3 O2



RN 798549-33-4 CAPLUS

CN Benzamide, 4-[[2-(cyclohexylamino)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



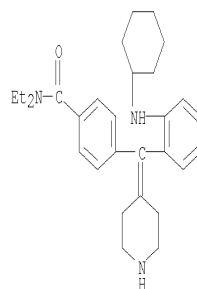
RN 798549-34-5 CAPLUS

CN Benzamide, 4-[[2-(cyclohexylamino)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-33-4

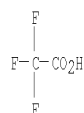
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CM 2

CRN 76-05-1

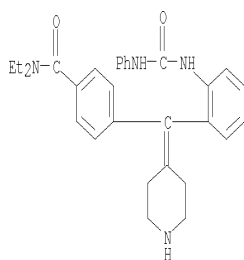
CMF C2 H F3 O2



RN 798549-35-6 CAPLUS

Print selected from 10541656.trn

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



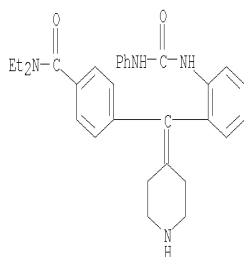
RN 798549-36-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-35-6

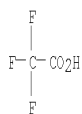
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CM 2

CRN 76-05-1

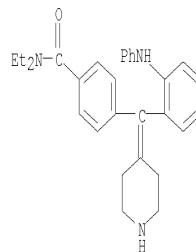
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Print selected from 10541656.trn

RN 798549-37-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(phenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



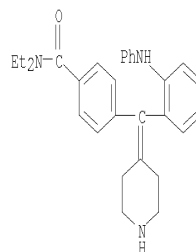
RN 798549-38-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(phenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-37-8

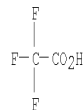
CMF C29 H33 N3 O



CM 2

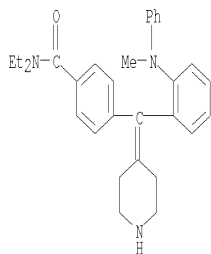
CRN 76-05-1

CMF C2 H F3 O2



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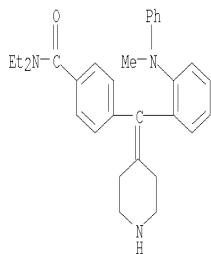
RN 798549-39-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798549-40-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

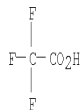
CM 1

CRN 798549-39-0  
CMF C30 H35 N3 O



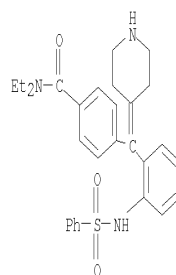
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



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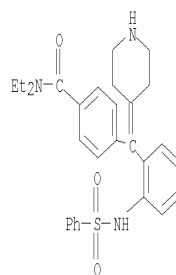
RN 798549-41-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798549-42-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

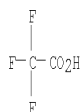
CRN 798549-41-4  
CMF C29 H33 N3 O3 S



CM 2

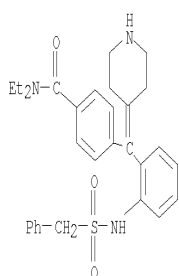
CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



RN 798549-43-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



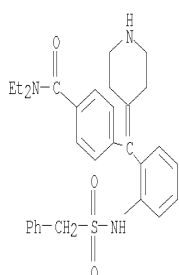
RN 798549-44-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-43-6

CMF C30 H35 N3 O3 S

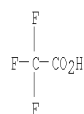


CM 2

Print selected from 10541656.trn

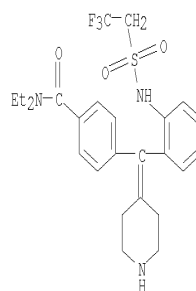
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-45-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[2-[[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]- (CA INDEX NAME)



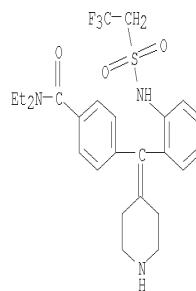
RN 798549-46-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[2-[[[(2,2,2-trifluoroethyl)sulfonyl]amino]phenyl]methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-45-8

CMF C25 H30 F3 N3 O3 S

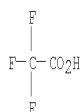


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CM 2

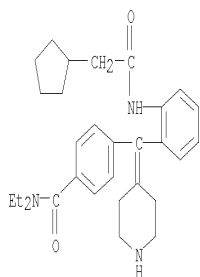
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-47-0 CAPLUS

CN Benzamide, 4-[[2-[(cyclopentylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 798549-48-1 CAPLUS

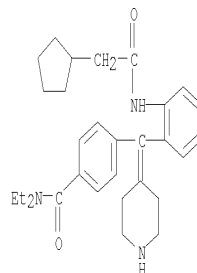
CN Benzamide, 4-[[2-[(cyclopentylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-47-0

CMF C30 H39 N3 O2

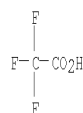
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CM 2

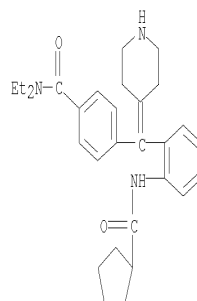
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-49-2 CAPLUS

CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798549-50-5 CAPLUS

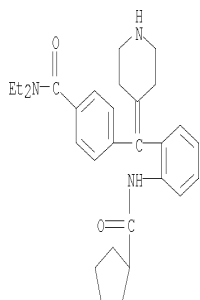
CN Benzamide, 4-[[2-[(cyclopentylcarbonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

CRN 798549-49-2

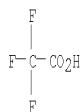
CMF C29 H37 N3 O2



CM 2

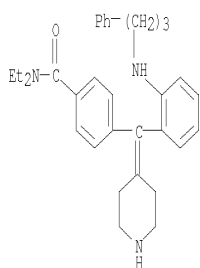
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-51-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



Print selected from 10541656.trn

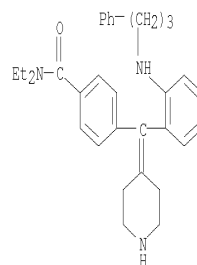
RN 798549-52-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(3-phenylpropyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-51-6

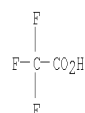
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CM 2

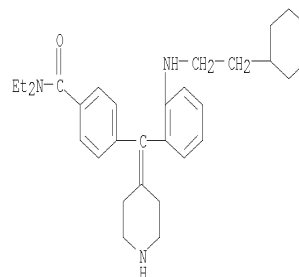
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-53-8 CAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

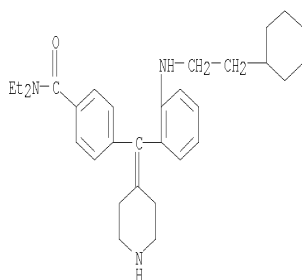
RN 798549-54-9 CAPLUS

CN Benzamide, 4-[[2-[(2-cyclohexylethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-53-8

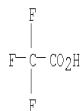
CMF C31 H43 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2

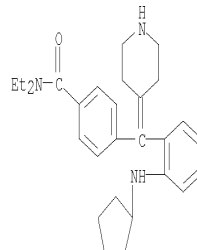


RN 798549-55-0 CAPLUS

CN Benzamide, 4-[[2-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Page 141

Print selected from 10541656.trn



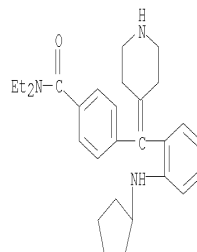
RN 798549-56-1 CAPLUS

CN Benzamide, 4-[[2-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-55-0

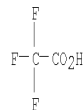
CMF C28 H37 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2

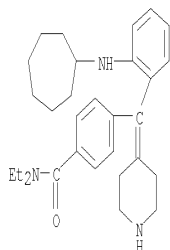


RN 798549-57-2 CAPLUS

CN Benzamide, 4-[2-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Page 142

Print selected from 10541656.trn



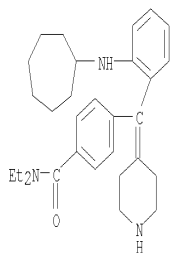
RN 798549-58-3 CAPLUS

CN Benzamide, 4-[[2-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-57-2

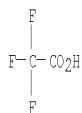
CMF C30 H41 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2

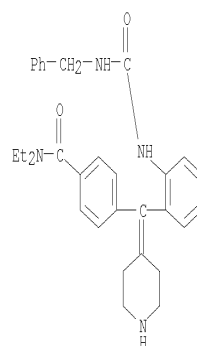


RN 798549-59-4 CAPLUS

Page 143

Print selected from 10541656.trn

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



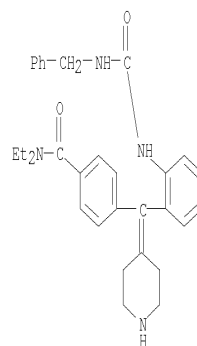
RN 798549-60-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-59-4

CMF C31 H36 N4 O2



CM 2

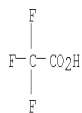
CRN 76-05-1

CMF C2 H F3 O2

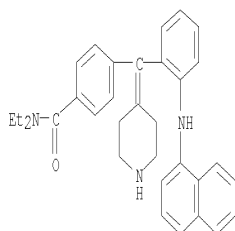
Page 144



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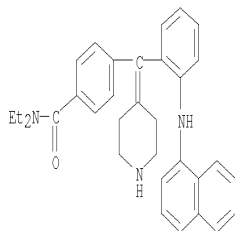
RN 798549-61-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(1-naphthalenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798549-62-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(1-naphthalenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

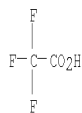
CRN 798549-61-8  
CMF C33 H35 N3 O



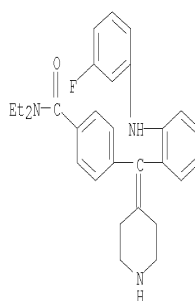
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

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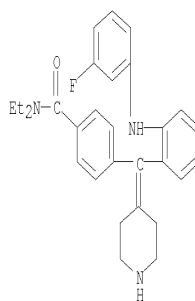
RN 798549-63-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(3-fluorophenyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798549-64-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(3-fluorophenyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

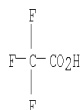
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CMF C29 H32 F N3 O



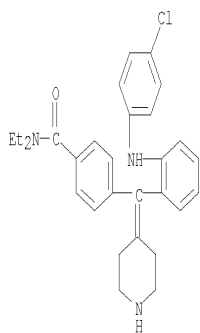
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-65-2 CAPLUS  
CN Benzamide, 4-[[2-[(4-chlorophenyl)amino]phenyl]-4-piperidinyldenemethyl]-  
N,N-diethyl- (CA INDEX NAME)

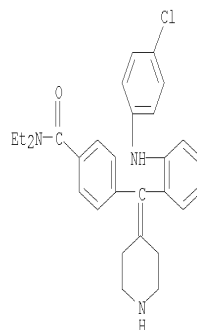


RN 798549-66-3 CAPLUS  
CN Benzamide, 4-[[2-[(4-chlorophenyl)amino]phenyl]-4-piperidinyldenemethyl]-  
N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

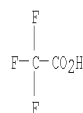
CRN 798549-65-2  
CMF C29 H32 Cl N3 O

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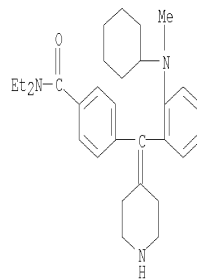


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-67-4 CAPLUS  
CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinyldenemethyl]-  
N,N-diethyl- (CA INDEX NAME)



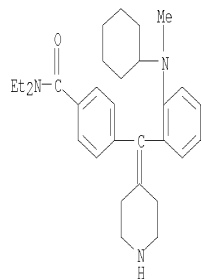
RN 798549-68-5 CAPLUS  
CN Benzamide, 4-[[2-(cyclohexylmethylamino)phenyl]-4-piperidinyldenemethyl]-  
N,N-diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

CRN 798549-67-4

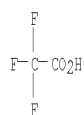
CMF C30 H41 N3 O



CM 2

CRN 76-05-1

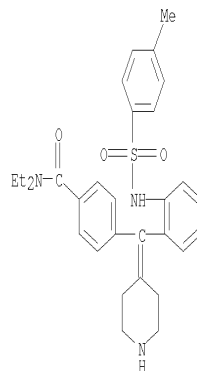
CMF C2 H F3 O2



RN 798549-69-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinyliidenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



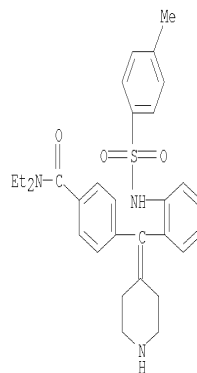
RN 798549-70-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[[[(4-methylphenyl)sulfonyl]amino]phenyl]-4-piperidinyliidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-69-6

CMF C30 H35 N3 O3 S

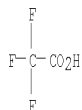


CM 2

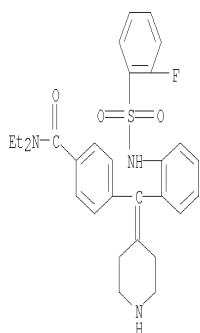
CRN 76-05-1

CMF C2 H F3 O2

Print selected from 10541656.trn



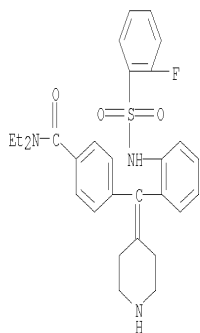
RN 798549-71-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798549-72-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[[[(2-fluorophenyl)sulfonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

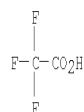
CRN 798549-71-0  
CMF C29 H32 F N3 O3 S



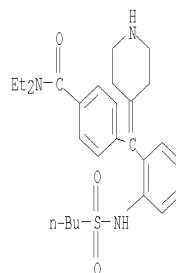
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-73-2 CAPLUS  
CN Benzamide, 4-[[2-[[[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

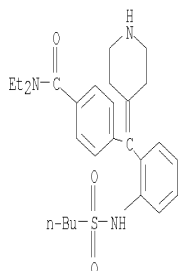


RN 798549-74-3 CAPLUS  
CN Benzamide, 4-[[2-[[[(butylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

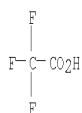
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CMF C27 H37 N3 O3 S

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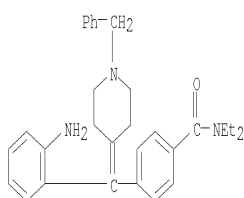


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-75-4 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

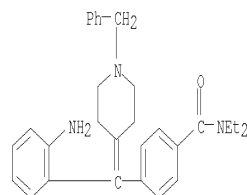


RN 798549-76-5 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

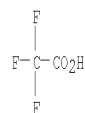
CRN 798549-75-4  
CMF C30 H35 N3 O

Print selected from 10541656.trn

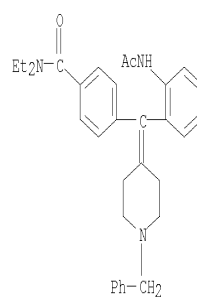


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-79-8 CAPLUS  
CN Benzamide, 4-[[2-(acetlamino)phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

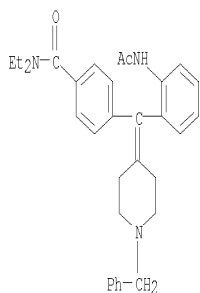


RN 798549-80-1 CAPLUS  
CN Benzamide, 4-[[2-(acetlamino)phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

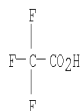
CRN 798549-79-8  
CMF C32 H37 N3 O2

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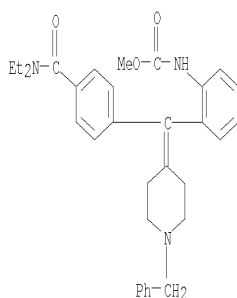


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798549-81-2 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



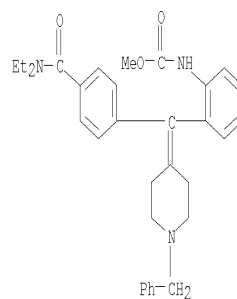
RN 798549-82-3 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-

Print selected from 10541656.trn

piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3)  
(9CI) (CA INDEX NAME)

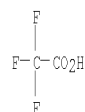
CM 1

CRN 798549-81-2  
CMF C32 H37 N3 O3



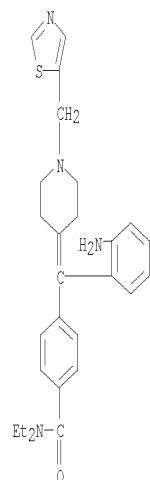
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



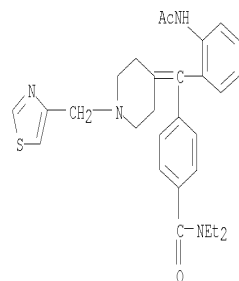
RN 798549-84-5 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(5-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 798549-85-6 CAPLUS

CN Benzamide, 4-[[2-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798549-86-7 CAPLUS

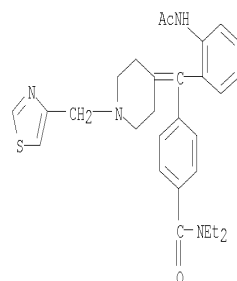
CN Benzamide, 4-[[2-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-85-6

CMF C29 H34 N4 O2 S

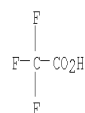
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CM 2

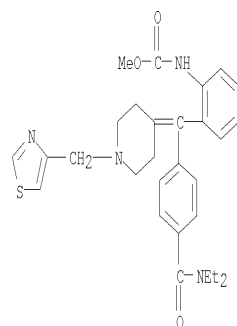
CRN 76-05-1

CMF C2 H F3 O2



RN 798549-87-8 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 798549-88-9 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester,

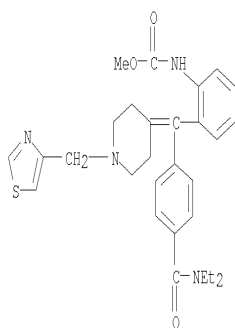
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trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-87-8

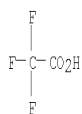
CMF C29 H34 N4 O3 S



CM 2

CRN 76-05-1

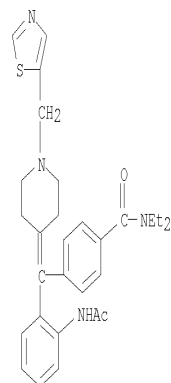
CMF C2 H F3 O2



RN 798549-89-0 CAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene)methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



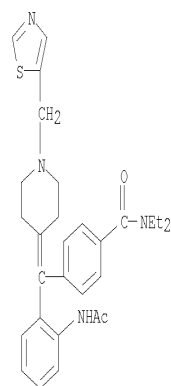
RN 798549-90-3 CAPLUS

CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene)methyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-89-0

CMF C29 H34 N4 O2 S



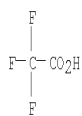
CM 2

CRN 76-05-1

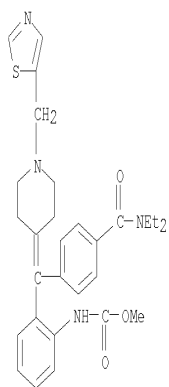
CMF C2 H F3 O2



Print selected from 10541656.trn



RN 798549-91-4 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)

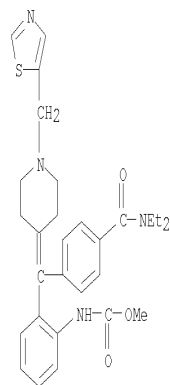


RN 798549-92-5 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

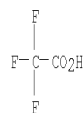
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CMF C29 H34 N4 O3 S

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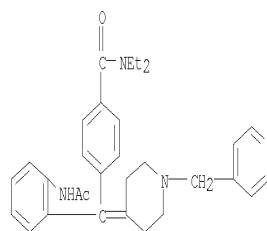


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

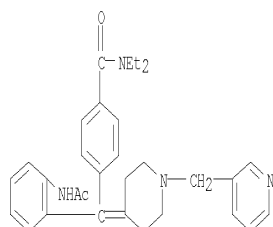


RN 798549-97-0 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798549-98-1 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

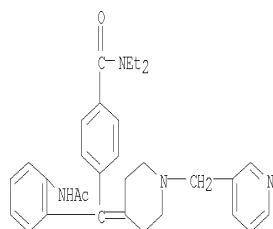
Print selected from 10541656.trn



RN 798549-99-2 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (2:7) (9CI) (CA INDEX NAME)

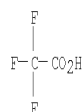
CM 1

CRN 798549-98-1  
CMF C31 H36 N4 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

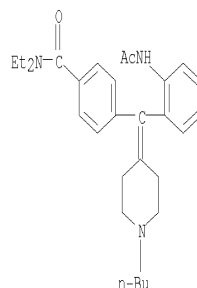


RN 798550-00-2 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

Page 163

Print selected from 10541656.trn

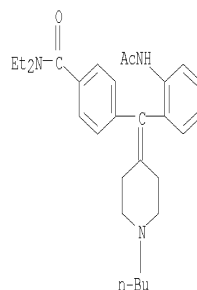
N,N-diethyl- (CA INDEX NAME)



RN 798550-01-3 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-00-2  
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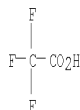


CM 2

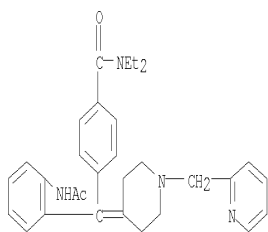
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CMF C2 H F3 O2

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Print selected from 10541656.trn



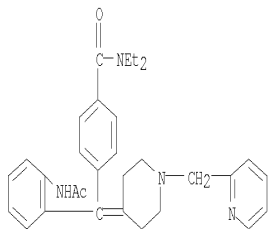
RN 798550-02-4 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798550-03-5 CAPLUS  
CN Benzamide, 4-[[2-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

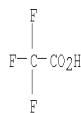
CRN 798550-02-4  
CMF C31 H36 N4 O2



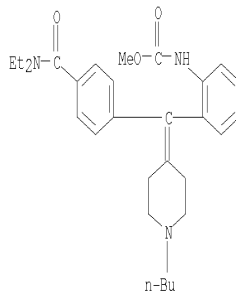
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

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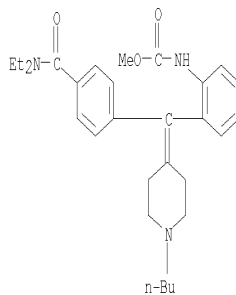
RN 798550-04-6 CAPLUS  
CN Carbamic acid, [2-[[1-butyl-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 798550-05-7 CAPLUS  
CN Carbamic acid, [2-[[1-butyl-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-04-6  
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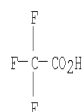


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CM 2

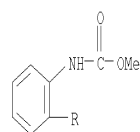
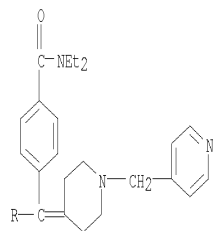
CRN 76-05-1

CMF C2 H F3 O2



RN 798550-06-8 CAPLUS

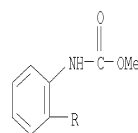
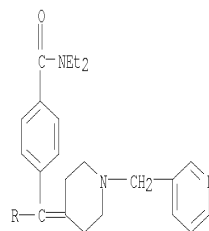
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



RN 798550-07-9 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(3-pyridinylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)

Print selected from 10541656.trn



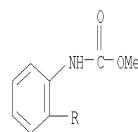
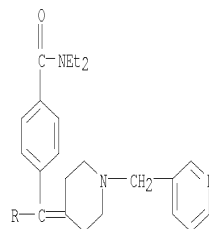
RN 798550-08-0 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(3-pyridinylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-07-9

CMF C31 H36 N4 O3

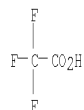


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CM 2

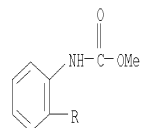
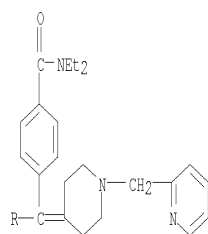
CRN 76-05-1

CMF C2 H F3 O2



RN 798550-09-1 CAPLUS

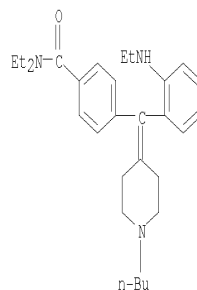
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(2-pyridinylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



RN 798550-10-4 CAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinyldene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



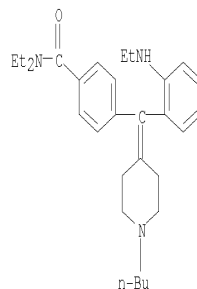
RN 798550-11-5 CAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinyldene)[2-(ethylamino)phenyl]methyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-10-4

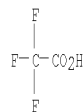
CMF C29 H41 N3 O



CM 2

CRN 76-05-1

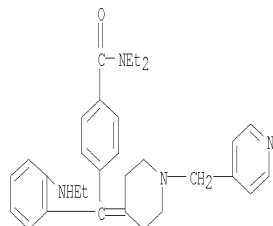
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 798550-12-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



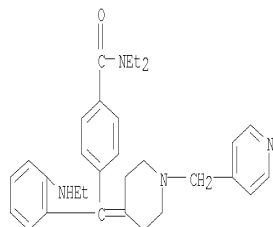
RN 798550-13-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-12-6

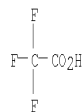
CMF C31 H38 N4 O



CM 2

CRN 76-05-1

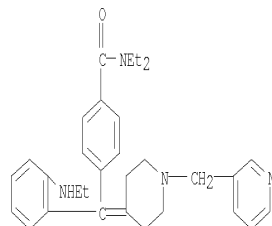
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Print selected from 10541656.trn

RN 798550-14-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



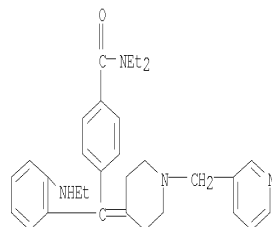
RN 798550-15-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-14-8

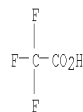
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CM 2

CRN 76-05-1

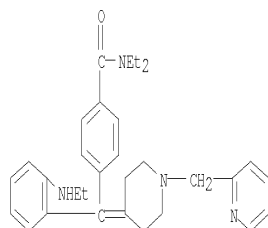
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Print selected from 10541656.trn

RN 798550-16-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene)methyl]- (CA INDEX NAME)



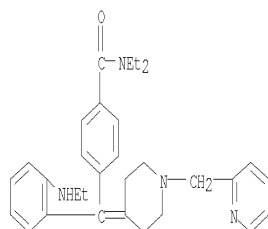
RN 798550-17-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(ethylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene)methyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-16-0

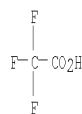
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



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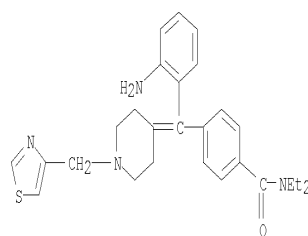
RN 798550-25-1 CAPLUS

CN Benzamide, 4-[(2-aminophenyl)[1-(4-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-83-4

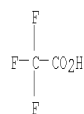
CMF C27 H32 N4 O S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 798550-26-2 CAPLUS

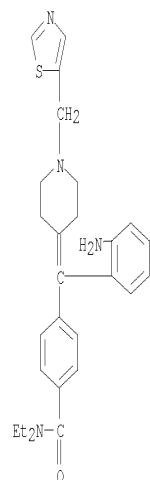
CN Benzamide, 4-[(2-aminophenyl)[1-(5-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-84-5

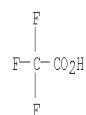
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Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

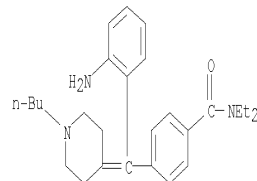


RN 798550-27-3 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)(1-butyl-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

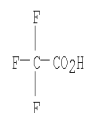
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CMF C27 H37 N3 O

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CM 2

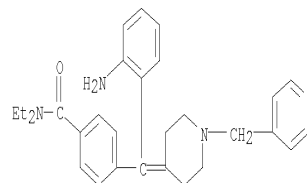
CRN 76-05-1  
CMF C2 H F3 O2



RN 798550-28-4 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (5:12) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-94-7  
CMF C29 H34 N4 O

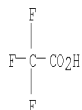


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



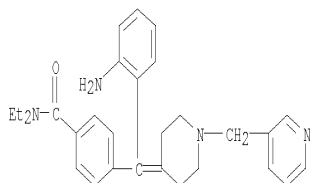
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RN 798550-29-5 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

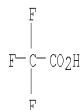
CM 1

CRN 798549-95-8  
CMF C29 H34 N4 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

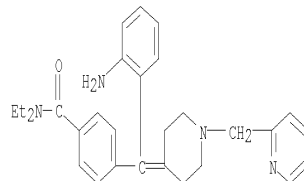


RN 798550-30-8 CAPLUS  
CN Benzamide, 4-[(2-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

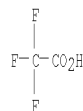
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CMF C29 H34 N4 O

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CM 2

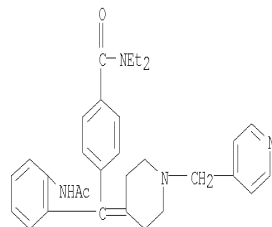
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CMF C2 H F3 O2



RN 798550-31-9 CAPLUS  
CN Benzamide, 4-[[2-(acetamino)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

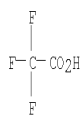
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CMF C31 H36 N4 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



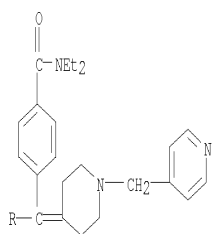
RN 798550-32-0 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-06-8

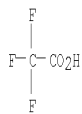
CMF C31 H36 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



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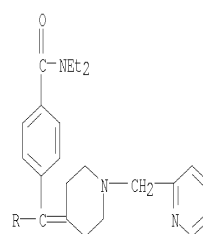
RN 798550-33-1 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-09-1

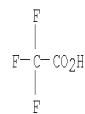
CMF C31 H36 N4 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 798550-18-2P 798550-19-3P 798550-20-6P

798550-21-7P

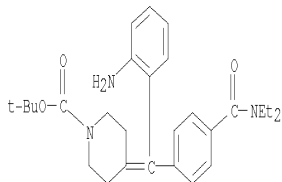
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

Print selected from 10541656.trn

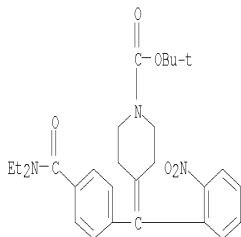
RN 798550-18-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(2-aminophenyl)[4-  
[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA  
INDEX NAME)



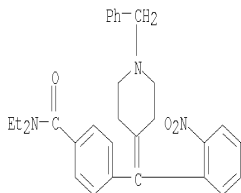
RN 798550-19-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](2-  
nitrophenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 798550-20-6 CAPLUS

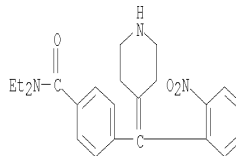
CN Benzamide, N,N-diethyl-4-[(2-nitrophenyl)[1-(phenylmethyl)-4-  
piperidinylidene]methyl]- (CA INDEX NAME)



RN 798550-21-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-nitrophenyl)-4-piperidinylidenemethyl]- (CA  
INDEX NAME)

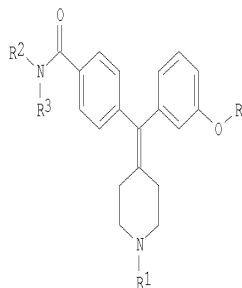
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L11 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:1016016 Document No. 142:6429 Preparation of diarylmethylidene  
piperidine derivatives as opioid  $\delta$  receptor ligands for treating  
pain, anxiety and functional gastrointestinal disorders. Brown, William  
L.; Griffin, Andrew (Astrazeneca AB, Swed.; Astrazeneca UK Limited). PCT  
Int. Appl. WO 2004101521 A1 20041125, 47 pp. DESIGNATED STATES: W: AE,  
AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR,  
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU,  
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,  
SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK,  
ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD,  
TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB2073 20040513.  
PRIORITY: SE 2003-1443 20030516.

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 =  
H, (un)substituted alkyl, cycloalkyl; R4 = (un)substituted alkyl,  
cycloalkyl, aryl, etc.] which are useful in therapy, in particular in the  
management of pain, were prepared E.g., a multi-step synthesis of I [R1 =  
H; R2, R3 = Et; R4 = CH2Ph], starting from Me 4-(bromomethyl)benzoate, was  
given. The compds. I were found to be active toward human  $\delta$   
receptors. Generally, for most of the compds. I the IC50 values are in  
the range of 0.31 nM to 1.30 nM. The pharmaceutical composition comprising the  
compound I is disclosed.

IT 798562-29-5P 798562-30-8P 798562-31-9P

Print selected from 10541656.trn

798562-32-0P 798562-33-1P 798562-34-2P

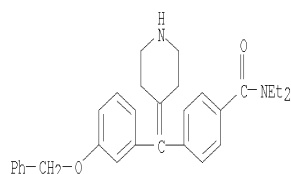
798562-35-3P 798562-36-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798562-29-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(phenylmethoxy)phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



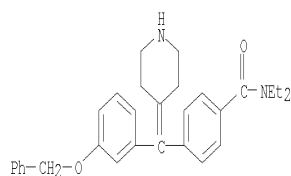
RN 798562-30-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(phenylmethoxy)phenyl]-4-piperidinyldenemethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 798562-29-5

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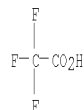


CM 2

CRN 76-05-1

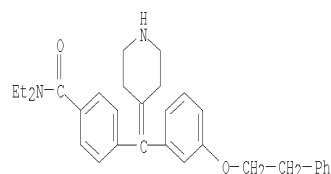
CMF C2 H F3 O2

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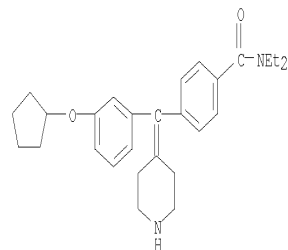
RN 798562-31-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(2-phenylethoxy)phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



RN 798562-32-0 CAPLUS

CN Benzamide, 4-[[3-(cyclopentyloxy)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798562-33-1 CAPLUS

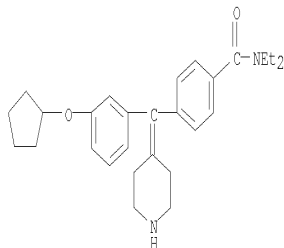
CN Benzamide, 4-[[3-(cyclopentyloxy)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

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CRN 798562-32-0

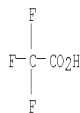
CMF C28 H36 N2 O2

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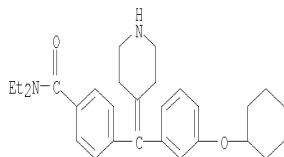


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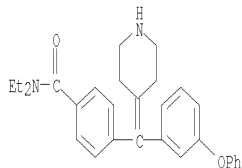
CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-34-2 CAPLUS  
CN Benzamide, 4-[[3-(cyclohexyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798562-35-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-phenoxyphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

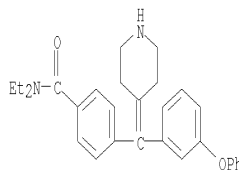


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RN 798562-36-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-phenoxyphenyl)-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

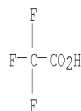
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CRN 798562-35-3  
CMF C29 H32 N2 O2

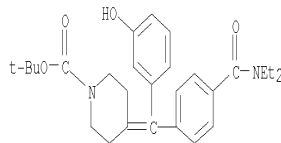


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



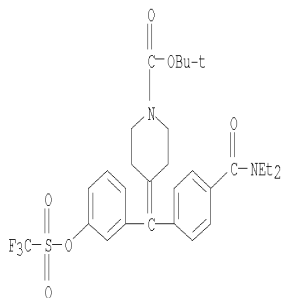
IT 692246-40-5P 692246-42-7P 798562-50-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)  
RN 692246-40-5 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



Print selected from 10541656.trn

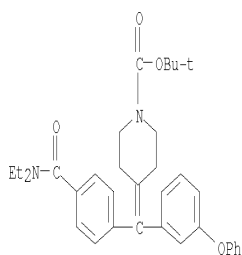
RN 692246-42-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-  
[[[(trifluoromethyl)sulfonyl]oxy]phenyl]methylene]-, 1,1-dimethylethyl  
ester (CA INDEX NAME)



RN 798562-50-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-  
phenoxyphenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



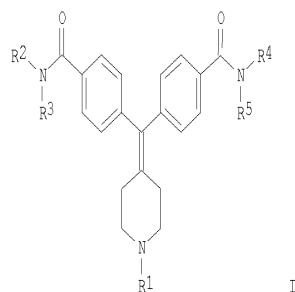
L11 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:1016015 Document No. 142:6428 Preparation of diarylmethylidene  
piperidine derivatives as opioid  $\delta$  receptor ligands for treating  
pain, anxiety and functional gastrointestinal disorders. Brown, William  
L.; Griffin, Andrew (Astrazeneca AB, Swed.; Astrazeneca UK Limited). PCT  
Int. Appl. WO 2004101520 A1 20041125, 67 pp. DESIGNATED STATES: W: AE,  
AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR,  
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU,  
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,  
MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,  
SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK,  
ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD,  
TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB2071 20040513.

Print selected from 10541656.trn

PRIORITY: SE 2003-1445 20030516.

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 =  
H, (un)substituted alkyl, cycloalkyl; R4-R5 = H, (un)substituted alkyl,  
cycloalkyl, etc.] which are useful in therapy, in particular in the  
management of pain and anxiety, were prepared E.g., a multi-step synthesis  
of I [R1 = 2-pyridylmethyl; R2, R3 = Et; R4, R5 = H], starting from Me  
4-(bromomethyl)benzoate, was given. Generally, for most of the compds. I  
the IC50 values towards human  $\delta$  receptor are in the range of 0.30 nM  
to 34.4 nM. The pharmaceutical composition comprising the compound I is  
disclosed.

IT 798559-28-1P 798559-29-2P 798559-30-5P  
798559-31-6P 798559-32-7P 798559-33-8P  
798559-34-9P 798559-35-0P 798559-36-1P  
798559-37-2P 798559-38-3P 798559-39-4P  
798559-40-7P 798559-41-8P 798559-42-9P  
798559-43-0P 798559-44-1P 798559-45-2P  
798559-46-3P 798559-47-4P 798559-48-5P  
798559-49-6P 798559-50-9P 798559-51-0P  
798559-52-1P 798559-53-2P 798559-54-3P  
798559-55-4P 798559-56-5P 798559-57-6P  
798559-58-7P 798559-59-8P 798559-60-1P  
798559-61-2P 798559-62-3P 798559-63-4P  
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798559-75-8P

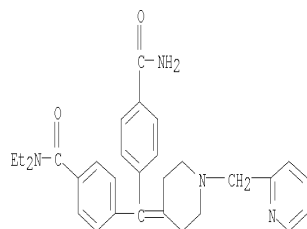
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$   
receptor ligands for treating pain, anxiety and functional  
gastrointestinal disorders)

RN 798559-28-1 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-pyridinylmethyl)-4-  
piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

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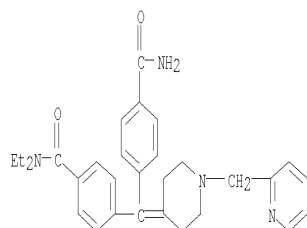
RN 798559-29-2 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-28-1

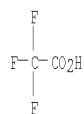
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CM 2

CRN 76-05-1

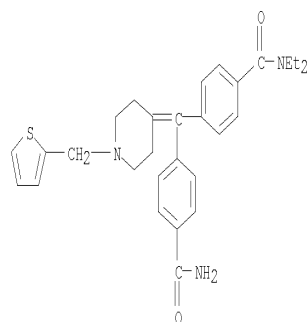
CMF C2 H F3 O2



RN 798559-30-5 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



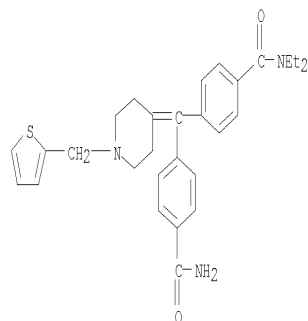
RN 798559-31-6 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-30-5

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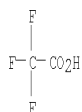


CM 2

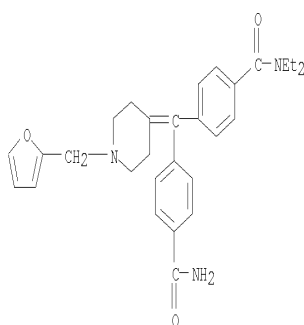
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CMF C2 H F3 O2

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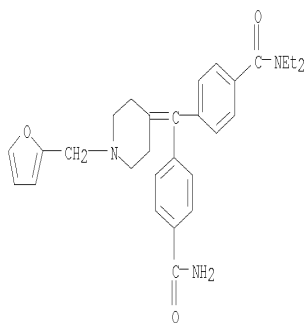
RN 798559-32-7 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-33-8 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

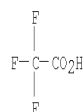
CRN 798559-32-7  
CMF C29 H33 N3 O3



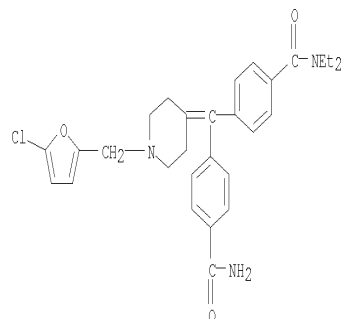
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-34-9 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-chloro-2-furanyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



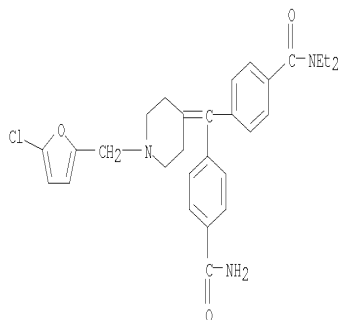
RN 798559-35-0 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-chloro-2-furanyl)methyl]-4-piperidinyldene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-34-9  
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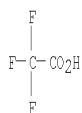


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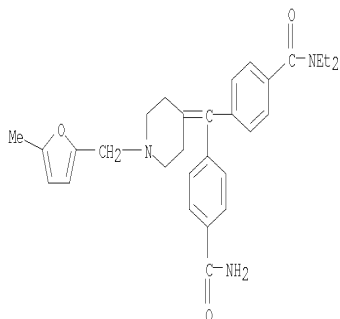


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-36-1 CAPLUS  
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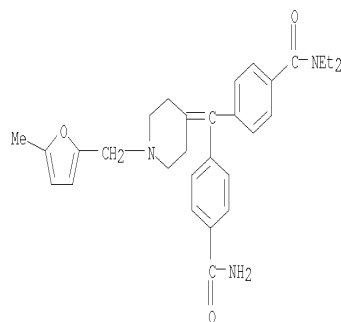
RN 798559-37-2 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-methyl-2-furanyl)methyl]-4-

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piperidinyldene)methyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

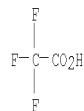
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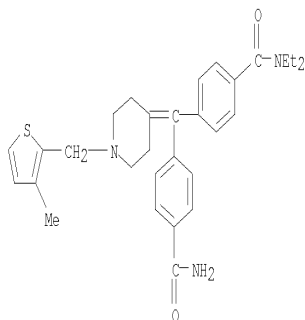
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-38-3 CAPLUS  
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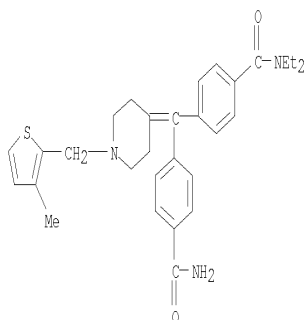
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RN 798559-39-4 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(3-methyl-2-thienyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

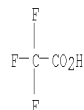
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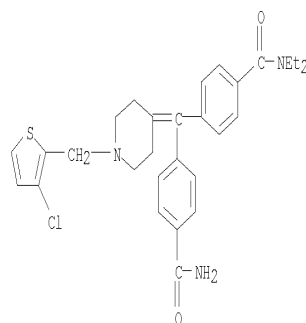
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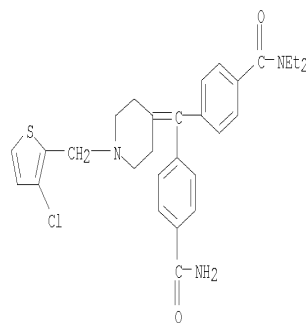
RN 798559-40-7 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(3-chloro-2-thienyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-41-8 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(3-chloro-2-thienyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

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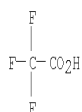


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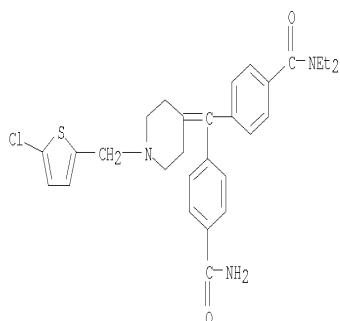
CRN 76-05-1

CMF C2 H F3 O2



RN 798559-42-9 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-chloro-2-thienyl)methyl]-4-piperidinyldene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-43-0 CAPLUS

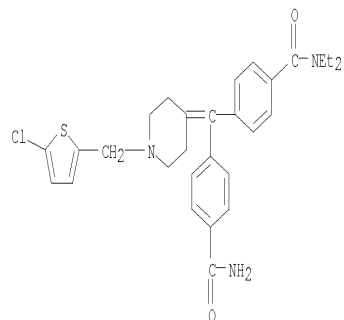
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-chloro-2-thienyl)methyl]-4-piperidinyldene)methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-42-9

CMF C29 H32 Cl N3 O2 S

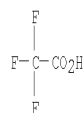
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CM 2

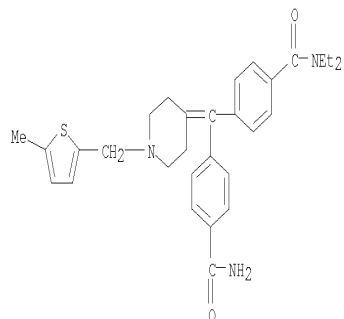
CRN 76-05-1

CMF C2 H F3 O2



RN 798559-44-1 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-methyl-2-thienyl)methyl]-4-piperidinyldene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-45-2 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(5-methyl-2-thienyl)methyl]-4-

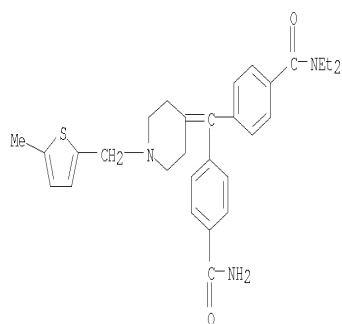
Print selected from 10541656.trn

piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-44-1

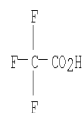
CMF C30 H35 N3 O2 S



CM 2

CRN 76-05-1

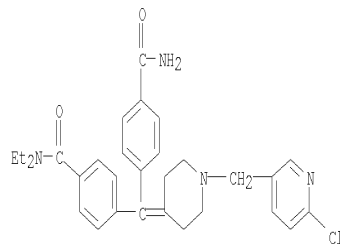
CMF C2 H F3 O2



RN 798559-46-3 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



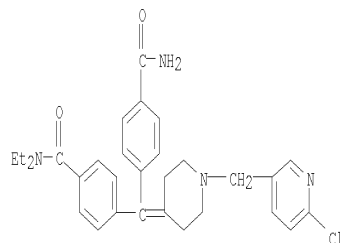
RN 798559-47-4 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(6-chloro-3-pyridinyl)methyl]-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-46-3

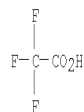
CMF C30 H33 Cl N4 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2

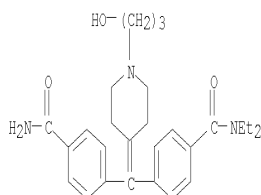


RN 798559-48-5 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(3-hydroxypropyl)-4-

Print selected from 10541656.trn

piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)



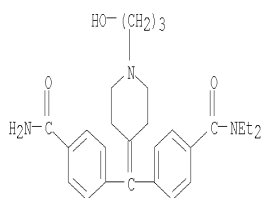
RN 798559-49-6 CAPLUS

CN Benzanide, 4-[[4-(aminocarbonyl)phenyl][1-(3-hydroxypropyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:13) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-48-5

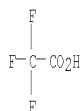
CMF C27 H35 N3 O3



CM 2

CRN 76-05-1

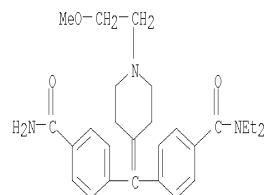
CMF C2 H F3 O2



RN 798559-50-9 CAPLUS

CN Benzanide, 4-[[4-(aminocarbonyl)phenyl][1-(2-methoxyethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



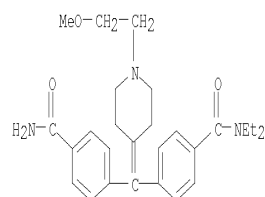
RN 798559-51-0 CAPLUS

CN Benzanide, 4-[[4-(aminocarbonyl)phenyl][1-(2-methoxyethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-50-9

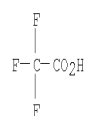
CMF C27 H35 N3 O3



CM 2

CRN 76-05-1

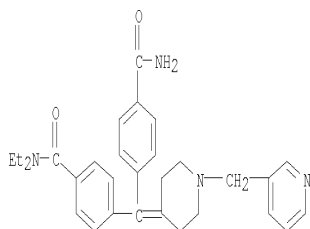
CMF C2 H F3 O2



RN 798559-52-1 CAPLUS

CN Benzanide, 4-[[4-(aminocarbonyl)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)

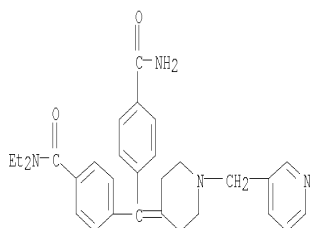
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RN 798559-53-2 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

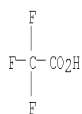
CM 1

CRN 798559-52-1  
CMF C30 H34 N4 O2



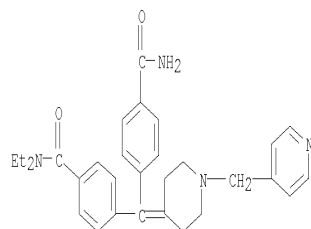
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-54-3 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

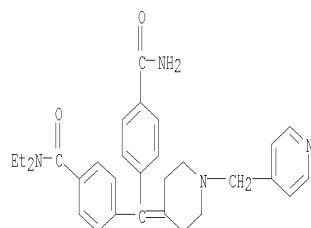
Print selected from 10541656.trn



RN 798559-55-4 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

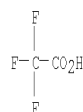
CM 1

CRN 798559-54-3  
CMF C30 H34 N4 O2



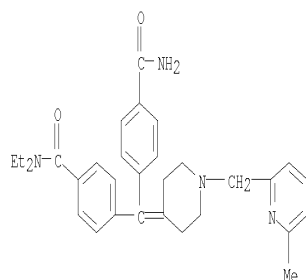
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-56-5 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(6-methyl-2-pyridinyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

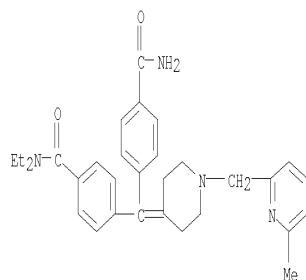
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RN 798559-57-6 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-[(6-methyl-2-pyridinyl)methyl]-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

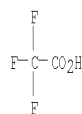
CM 1

CRN 798559-56-5  
CMF C31 H36 N4 O2



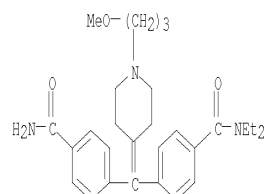
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



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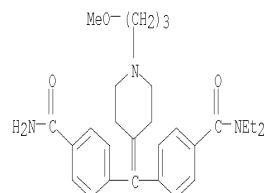
RN 798559-58-7 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-59-8 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]-N,N-diethyl-, trifluoroacetate (9CI) (CA INDEX NAME)

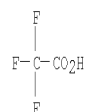
CM 1

CRN 798559-58-7  
CMF C28 H37 N3 O3



CM 2

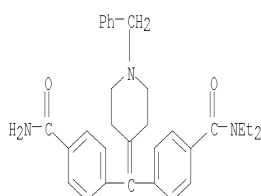
CRN 76-05-1  
CMF C2 H F3 O2



RN 798559-60-1 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(phenylmethyl)-4-

Print selected from 10541656.trn

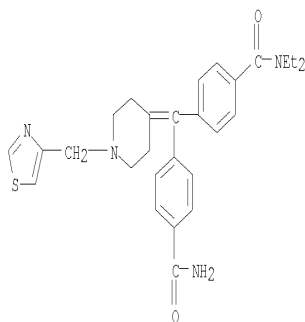
piperidinylidene)methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 798559-61-2 CAPLUS

CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-62-3 CAPLUS

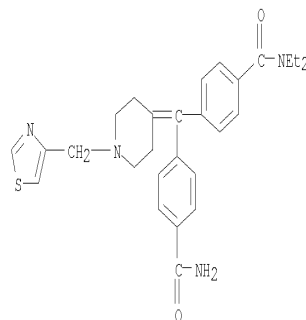
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 798559-61-2

CMF C28 H32 N4 O2 S

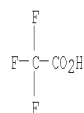
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 798559-63-4 CAPLUS

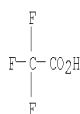
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(5-thiazolylmethyl)-4-piperidinylidene)methyl]-N,N-diethyl- (CA INDEX NAME)



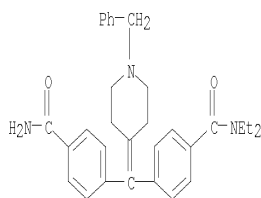


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CRN 76-05-1  
CMF C2 H F3 O2

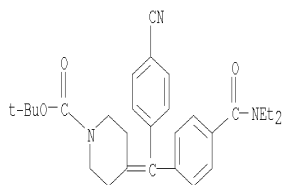


RN 798559-75-8 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



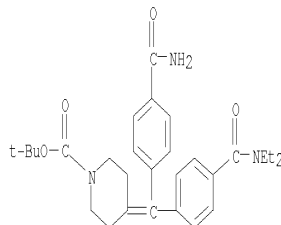
IT 798559-67-8P 798559-68-9P 798559-69-0P  
798559-73-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798559-67-8 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[(4-cyanophenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

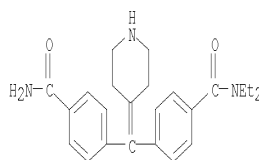


RN 798559-68-9 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-(aminocarbonyl)phenyl][4-[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

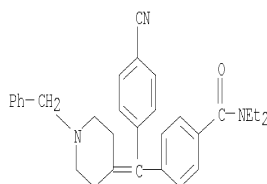
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RN 798559-69-0 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl]-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 798559-73-6 CAPLUS  
CN Benzamide, 4-[(4-cyanophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

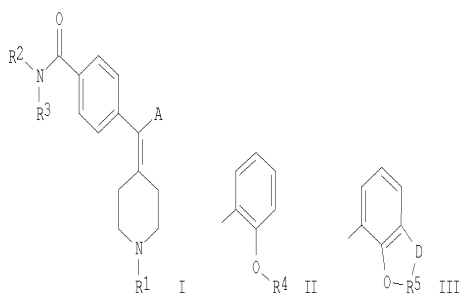


L11 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:1016014 Document No. 142:6427 Preparation of diarylmethylidene piperidine derivatives as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders. Brown, William L.; Griffin, Andrew (Astrazeneca AB, Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004/01519 A1 20041125, 56 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

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MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB2052 20040513. PRIORITY: SE 2003-1441 20030516.

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; A = II, III (wherein R4 = (un)substituted alkyl, cycloalkyl, aryl, etc.; R5 = (un)substituted arylene, heterocyclylene, cycloalkylene, alkylene; D = a bond, CH2, O, S, NH, etc.)) which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; A = 2-(PhO)C6H4], starting from Me 4-(bromomethyl)benzoate, was given. Generally, for most of the compds. I the IC50 values towards human  $\delta$  receptor are in the range of 0.32 nM to 1.58 nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 798562-19-3P 798562-20-6P 798562-21-7P  
798562-22-8P 798562-27-3P 798562-28-4P  
798562-37-5P 798562-38-6P 798562-39-7P  
798562-40-0P 798562-41-1P 798562-42-2P  
798562-43-3P 798562-44-4P 798562-45-5P  
798562-46-6P 798562-47-7P 798562-48-8P

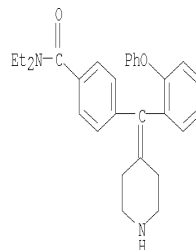
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798562-19-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-phenoxyphenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



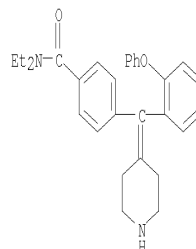
RN 798562-20-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-phenoxyphenyl)-4-piperidinyldenemethyl]-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-19-3

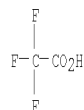
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CM 2

CRN 76-05-1

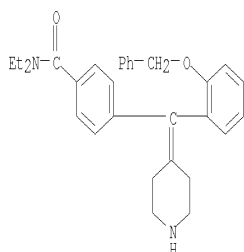
CMF C2 H F3 O2



RN 798562-21-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-(phenylmethoxy)phenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



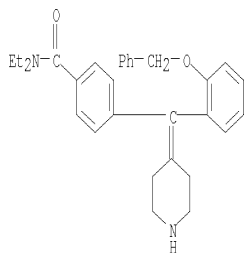
RN 798562-22-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(phenylmethoxy)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-21-7

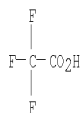
CMF C30 H34 N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2

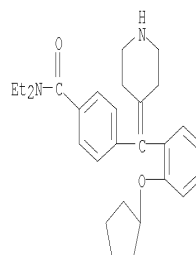


RN 798562-27-3 CAPLUS

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Print selected from 10541656.trn

CN Benzamide, 4-[[2-(cyclopentyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



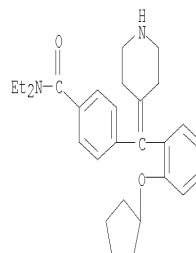
RN 798562-28-4 CAPLUS

CN Benzamide, 4-[[2-(cyclopentyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-27-3

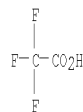
CMF C28 H36 N2 O2



CM 2

CRN 76-05-1

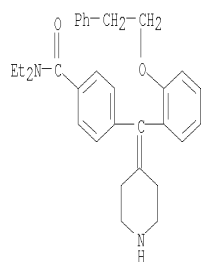
CMF C2 H F3 O2



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Print selected from 10541656.trn

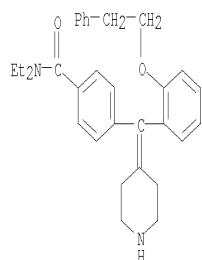
RN 798562-37-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(2-phenylethoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-38-6 CAPLUS  
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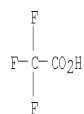
CM 1

CRN 798562-37-5  
CMF C31 H36 N2 O2



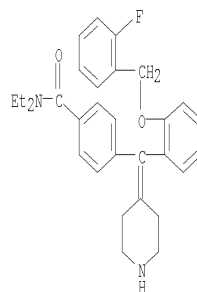
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



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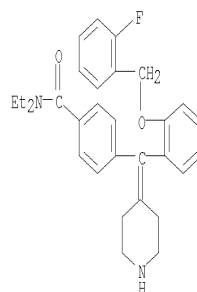
RN 798562-39-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(2-fluorophenyl)methoxy]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-40-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(2-fluorophenyl)methoxy]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

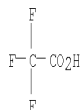
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CMF C30 H33 F N2 O2



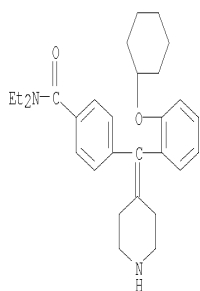
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CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



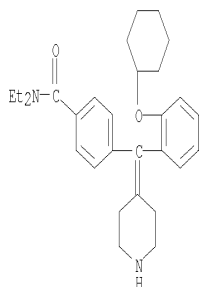
RN 798562-41-1 CAPLUS  
CN Benzamide, 4-[[2-(cyclohexyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798562-42-2 CAPLUS  
CN Benzamide, 4-[[2-(cyclohexyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

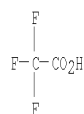
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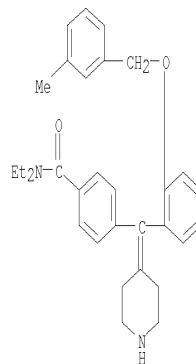
CM 2

Print selected from 10541656.trn

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-43-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(3-methylphenyl)methoxy]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

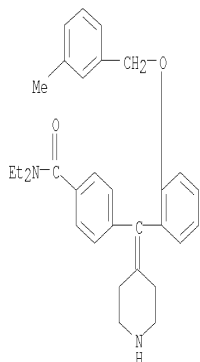


RN 798562-44-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(3-methylphenyl)methoxy]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

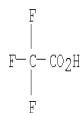
CRN 798562-43-3  
CMF C31 H36 N2 O2

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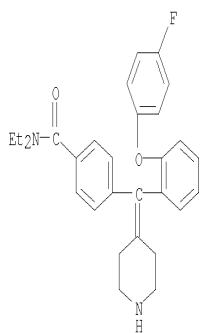


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-45-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(4-fluorophenoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

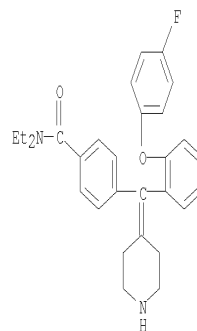


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RN 798562-46-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(4-fluorophenoxy)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

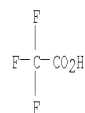
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CRN 798562-45-5  
CMF C29 H31 F N2 O2



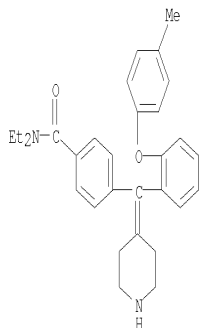
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-47-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(4-methylphenoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



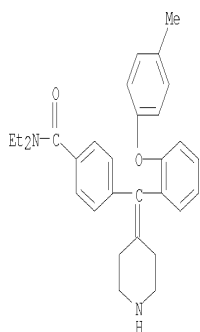
RN 798562-48-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(4-methylphenoxy)phenyl]-4-piperidinyliidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-47-7

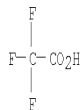
CMF C30 H34 N2 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



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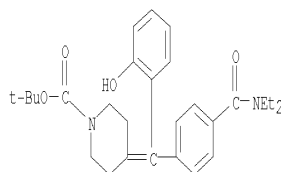
IT 798562-49-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798562-49-9 CAPLUS

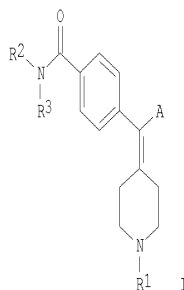
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](2-hydroxyphenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L11 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:1015881 Document No. 142:6425 Preparation of diarylmethylidene piperidine derivatives as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders. Brown, William L.; Griffin, Andrew (Astrazeneca AB, Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004100952 A1 20041125, 59 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB2096 20040513. PRIORITY: SE 2003-1442 20030516.

GI





Print selected from 10541656.trn

AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R3 = H, (un)substituted alkyl, cycloalkyl; A = alkoxyphenyl, aryloxyphenyl, cycloalkoxyphenyl, etc.] which are useful in therapy, in particular in the management of pain, were prepared E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; A = 2-(PhO)C6H4], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I were found to be active toward human  $\delta$  receptors. Generally, for most of the compds. I the IC50 values are in the range of 0.32 nM to 1.58 nM. The pharmaceutical composition comprising the compound I is disclosed.

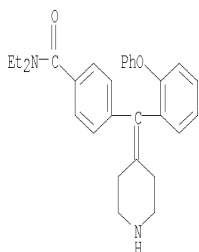
IT 798562-19-3P 798562-20-6P 798562-21-7P  
798562-22-8P 798562-27-3P 798562-28-4P  
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798562-33-1P 798562-34-2P 798562-35-3P  
798562-36-4P 798562-37-5P 798562-38-6P  
798562-39-7P 798562-40-0P 798562-41-1P  
798562-42-2P 798562-43-3P 798562-44-4P  
798562-45-5P 798562-46-6P 798562-47-7P  
798562-48-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)

RN 798562-19-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-phenoxyphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-20-6 CAPLUS

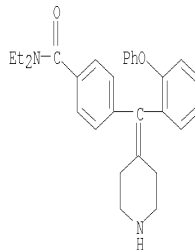
CN Benzamide, N,N-diethyl-4-[(2-phenoxyphenyl)-4-piperidinylidenemethyl]-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-19-3

CMF C29 H32 N2 O2

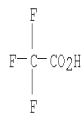
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CM 2

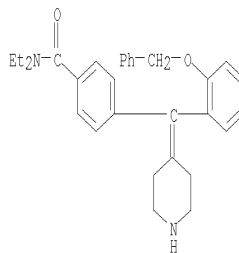
CRN 76-05-1

CMF C2 H F3 O2



RN 798562-21-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(phenylmethoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-22-8 CAPLUS

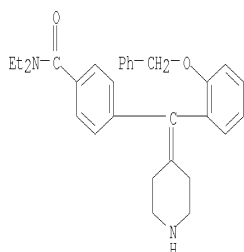
CN Benzamide, N,N-diethyl-4-[[2-(phenylmethoxy)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

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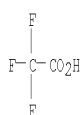
CMF C30 H34 N2 O2

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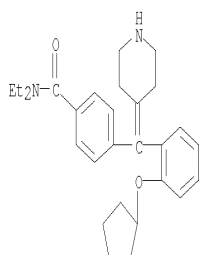


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-27-3 CAPLUS  
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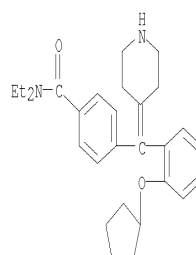


RN 798562-28-4 CAPLUS  
CN Benzamide, 4-[[2-(cyclopentyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

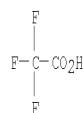
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CRN 798562-27-3  
CMF C28 H36 N2 O2

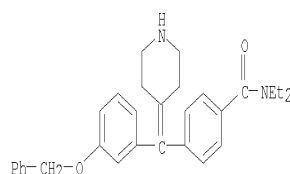


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-29-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-(phenylmethoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



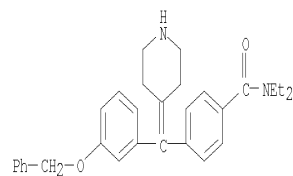
RN 798562-30-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-(phenylmethoxy)phenyl]-4-piperidinylidenemethyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-29-5

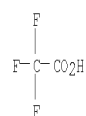
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CMF C30 H34 N2 O2

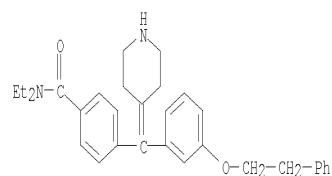


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-31-9 CAPLUS  
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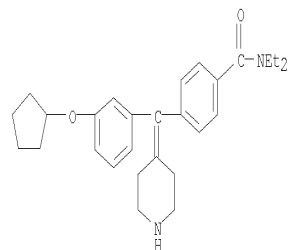


RN 798562-33-1 CAPLUS  
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CM 1

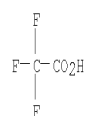
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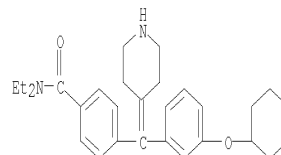


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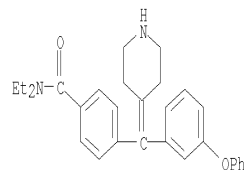
CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-34-2 CAPLUS  
CN Benzamide, 4-[[3-(cyclohexyloxy)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 798562-35-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-phenoxyphenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)



Print selected from 10541656.trn

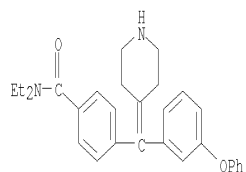
RN 798562-36-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-phenoxyphenyl)-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-35-3

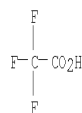
CMF C29 H32 N2 O2



CM 2

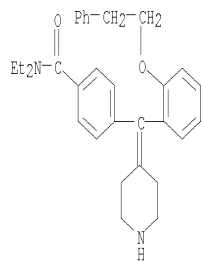
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RN 798562-37-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(2-phenylethoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-38-6 CAPLUS

Print selected from 10541656.trn

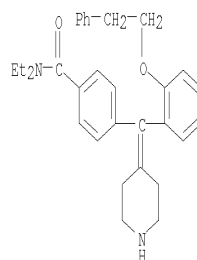
CN Benzamide, N,N-diethyl-4-[[2-(2-phenylethoxy)phenyl]-4-

piperidinylidenemethyl]-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-37-5

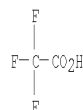
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CM 2

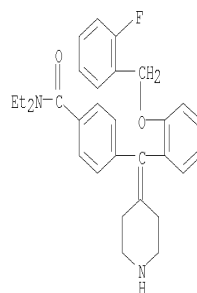
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CMF C2 H F3 O2



RN 798562-39-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(2-fluorophenyl)methoxy]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

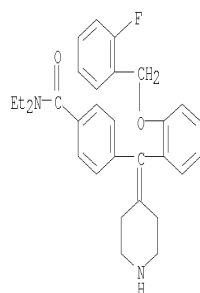


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RN 798562-40-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-[(2-fluorophenyl)methoxy]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

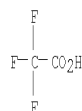
CM 1

CRN 798562-39-7  
CMF C30 H33 F N2 O2



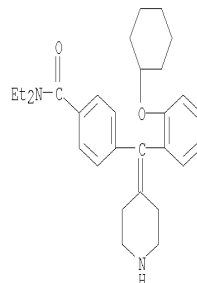
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-41-1 CAPLUS  
CN Benzamide, 4-[[2-(cyclohexyloxy)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

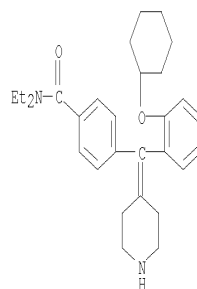
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RN 798562-42-2 CAPLUS  
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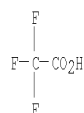
CM 1

CRN 798562-41-1  
CMF C29 H38 N2 O2



CM 2

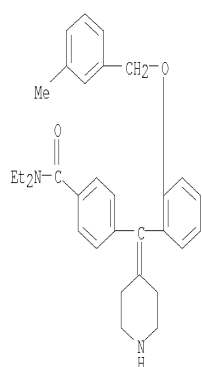
CRN 76-05-1  
CMF C2 H F3 O2



RN 798562-43-3 CAPLUS

Print selected from 10541656.trn

CN Benzamide, N,N-diethyl-4-[[2-[(3-methylphenyl)methoxy]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



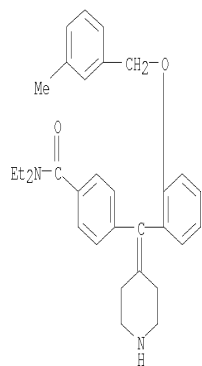
RN 798562-44-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-[(3-methylphenyl)methoxy]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-43-3

CMF C31 H36 N2 O2

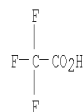


CM 2

CRN 76-05-1

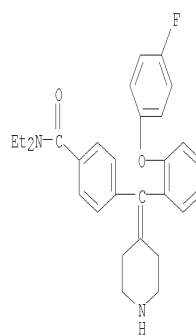
Print selected from 10541656.trn

CMF C2 H F3 O2



RN 798562-45-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[2-(4-fluorophenoxy)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 798562-46-6 CAPLUS

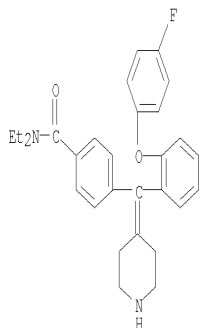
CN Benzamide, N,N-diethyl-4-[[2-(4-fluorophenoxy)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

CRN 798562-45-5

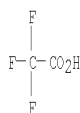
CMF C29 H31 F N2 O2

Print selected from 10541656.trn

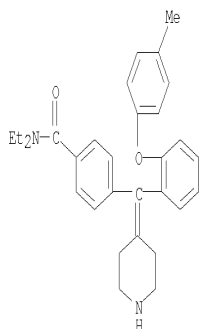


CM 2

CRN 76-05-1  
CME C2 H F3 O2



RN 798562-47-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(4-methylphenoxy)phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



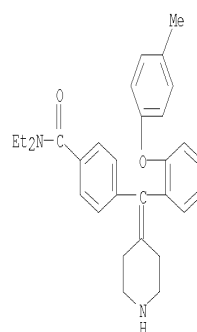
RN 798562-48-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[2-(4-methylphenoxy)phenyl]-4-

Print selected from 10541656.trn

piperidinyldenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

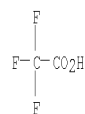
CM 1

CRN 798562-47-7  
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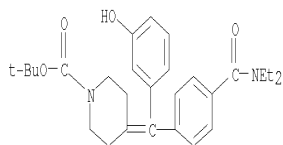
CM 2

CRN 76-05-1  
CME C2 H F3 O2



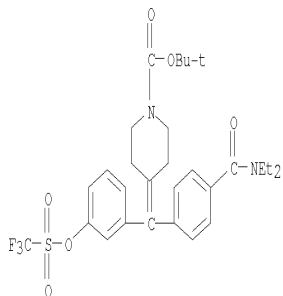
IT 692246-40-5P 692246-42-7P 798562-49-9P  
798562-50-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidine derivs. as opioid  $\delta$  receptor ligands for treating pain, anxiety and functional gastrointestinal disorders)  
RN 692246-40-5 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[[diethylamino]carbonyl]phenyl](3-hydroxyphenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



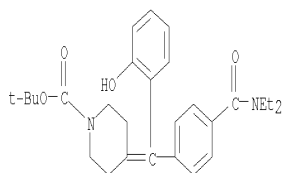
RN 692246-42-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-[(trifluoromethyl)sulfonyl]oxy]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 798562-49-9 CAPLUS

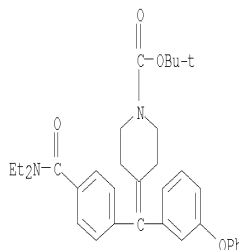
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][2-hydroxyphenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 798562-50-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-phenoxyphenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

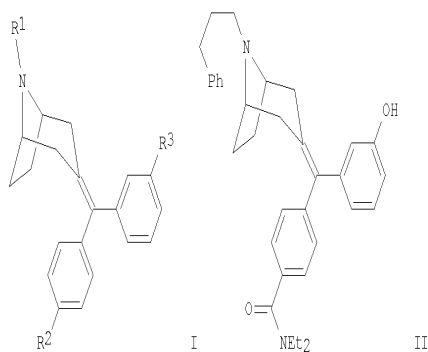
Print selected from 10541656.trn



L11 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:902375 Document No. 141:379815 3-Benzhydrylidene-8-azabicyclo[3.2.1]octane derivatives with opioid receptor activity and their preparation, pharmaceutical compositions, and uses. Liras, Spiros (Pfizer Products Inc., USA). PCT Int. Appl. WO 2004092165 A1 20041028, 43 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-1B169 20040405. PRIORITY: US 2003-462886P 20030415.

GI



AB The invention relates to compds. I, which are opioid receptor ligands (no data) [wherein R1 is H, (C1-C8)alkoxy-(C1-C8)alkyl- (with a C2-8 total), aryl, aryl-(C1-C8)alkyl-, heteroaryl, heteroaryl-(C1-C8)alkyl-, heterocyclic, heterocyclic-(C1-C8)alkyl-, (C3-C7)cycloalkyl-, or (C3-C7)cycloalkyl-(C1-C8)alkyl-; R2 is H, aryl, heteroaryl, heterocyclic,



Print selected from 10541656.trn

-SO<sub>2</sub>R<sub>4</sub>, -COR<sub>4</sub>, -CONSR<sub>6</sub>, -COOR<sub>4</sub>, or -C(OH)R<sub>5</sub>R<sub>6</sub> wherein each of R<sub>4</sub>, R<sub>5</sub>, and R<sub>6</sub> is independently defined as R<sub>1</sub> is defined above; or R<sub>5</sub> and R<sub>6</sub>, together with the C or N to which they are both attached, form a 3- to 7-membered saturated ring containing 0-3 N/O/S heterocarbons; R<sub>3</sub> is OH, -NHSO<sub>2</sub>R<sub>7</sub>, -C(OH)R<sub>7</sub>R<sub>8</sub>,

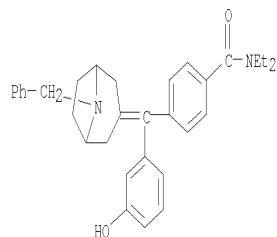
F, or -CONHR<sub>7</sub>, wherein R<sub>7</sub> and R<sub>8</sub> are the same or different and are selected from H, (C<sub>1</sub>-C<sub>4</sub>)alkyl, (C<sub>1</sub>-C<sub>4</sub>)alkoxy, and (C<sub>1</sub>-C<sub>4</sub>)alkoxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl- (with a C<sub>2</sub>-4 total); and wherein any of the alkyl moieties of R<sub>7</sub> and R<sub>8</sub> may optionally be substituted with 0-7 F atoms; and pharmaceutically acceptable salts; with the proviso that there are no two adjacent ring O atoms and no ring O atom adjacent to either a ring N atom or a ring S atom in any of the heterocyclic or heteroaryl moieties]. The invention also relates to pharmaceutical compns. containing I, and to the use of I to treat neurol. and gastrointestinal disorders. Specifically, I are useful for treating inflammatory diseases such as arthritis, psoriasis, asthma, or inflammatory bowel disease, disorders of respiratory function such as asthma, cough and apnea, allergies, gastrointestinal disorders such as gastritis, functional bowel disease, irritable bowel syndrome, functional diarrhea, functional distension, functional pain, nonulcerogenic dyspepsia and other disorders of motility or secretion, emesis, stroke, shock, brain edema, head trauma, spinal cord trauma, cerebral ischemia, cerebral deficits subsequent to cardiac bypass surgery and grafting, urogenital tract disorders such as urinary incontinence, chemical dependencies and addictions, chronic pain, nonsomatic pain, acute pain and neurogenic pain, systemic lupus erythematosus, Hodgkin's disease, Sjogren's disease, epilepsy and rejection in organ transplants and skin grafts. Approx. 40 invention compds. and several intermediates were prepared. For instance, N-benzyltropinone was treated with TOSMIC to convert the carbonyl to the corresponding 3-carbonitrile. This compound underwent a sequence of: (1) nitrile coupling with lithiated 3-bromoanisole to give a ketone, (2) ketone coupling with lithiated 4-bromo-N,N-dimethylbenzamide to give a tertiary alc., (3) dehydration of the alc. with concomitant demethylation using HBr in AcOH, (4) hydrogenolytic debenzoylation of the bridge amino group, and (5) reductive amination of amino with NaBH(OAc)3 and the corresponding aldehyde, to give, e.g., invention compound II.

IT 782451-19-8P, 4-[(8-Benzyl-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide hydrochloride  
782451-20-1P, 4-[(8-Azabicyclo[3.2.1]oct-3-ylidene)-(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide hydrochloride  
RI: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of benzhydrylideneazabicyclooctane derivs. with opioid receptor activity)

RN 782451-19-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

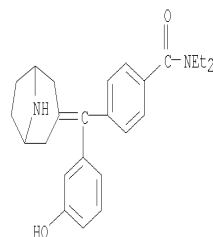
Print selected from 10541656.trn



● HCl

RN 782451-20-1 CAPLUS

CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(3-hydroxyphenyl)methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 705279-39-6P, N,N-Diethyl-4-[(3-hydroxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]benzamide 782450-44-6P, 4-[(8-Benzyl-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-46-8P, 4-[(8-Azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-48-0P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(3-phenylpropyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]benzamide 782450-50-4P, 4-[[8-(3-Chlorobenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-52-6P, 4-[[8-(4-Chlorobenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-54-8P, N,N-Diethyl-4-[(3-hydroxyphenyl)(8-phenethyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]benzamide 782450-56-0P, 4-[[8-(2-Chlorobenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-58-2P, 4-[[8-(Benzo[1,3]dioxol-5-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-60-6P, N,N-Diethyl-4-[(3-

Print selected from 10541656.trn

hydroxyphenyl][8-(4-methylbenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-62-8P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(3-methylbenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-63-9P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(4-methoxybenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-64-0P, N,N-Diethyl-4-[(8-(3-fluorobenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]benzamide 782450-66-2P, N,N-Diethyl-4-[(8-(4-fluorobenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]benzamide 782450-68-4P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(2-(trifluoromethyl)benzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-70-8P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(4-methoxy-3-methylbenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-72-0P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(4-(methylsulfonyl)benzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-74-2P, 4-[(8-[3-(4-Chlorophenoxy)benzyl]-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-76-4P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(4-phenoxybenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-78-6P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(4-isopropylbenzyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-80-0P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(thiophen-2-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-82-2P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(1-methyl-1H-pyrrol-2-yl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-84-4P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(quinolin-3-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-86-6P, N,N-Diethyl-4-[(8-(furan-3-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]benzamide 782450-88-8P, N,N-Diethyl-4-[(3-hydroxyphenyl)[8-(quinolin-4-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzamide 782450-90-2P, 4-[(8-(Cyclohex-3-enylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782450-92-4P, 2-[[3-[[4-(Diethylcarbamoyl)phenyl](3-hydroxyphenyl)methylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]cyclopropanecarboxylic acid ethyl ester 782450-94-6P, 4-[(8-Allyl-8-azabicyclo[3.2.1]oct-3-ylidene)(3-methoxyphenyl)methyl]-N,N-diethylbenzamide 782450-96-8P, 4-[(8-(Cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-methoxyphenyl)methyl]-N,N-diethylbenzamide 782451-09-6P, 4-[(8-Allyl-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide 782451-11-0P, 4-[(8-(Cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)(3-hydroxyphenyl)methyl]-N,N-diethylbenzamide

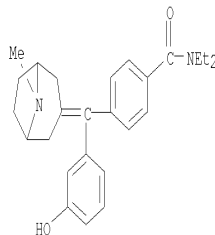
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzhydrylideneazabicyclooctane derivs. with opioid receptor activity)

RN 705279-39-6 CAPLUS

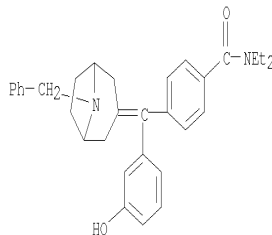
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

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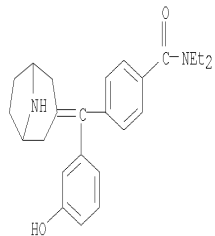
RN 782450-44-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 782450-46-8 CAPLUS

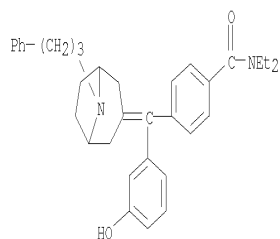
CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-48-0 CAPLUS

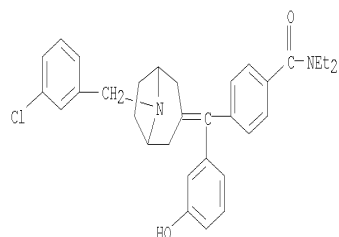
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(3-phenylpropyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



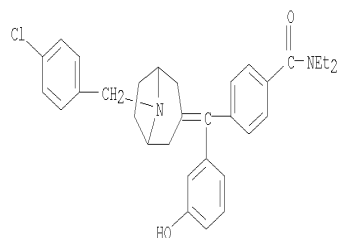
RN 782450-50-4 CAPLUS

CN Benzamide, 4-[[8-[(3-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-52-6 CAPLUS

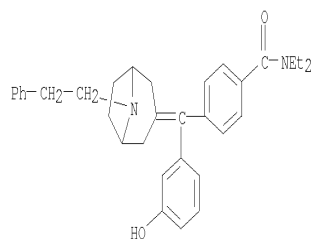
CN Benzamide, 4-[[8-[(4-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-54-8 CAPLUS

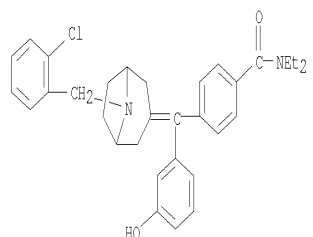
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



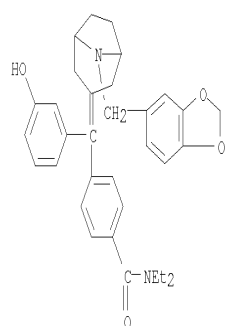
RN 782450-56-0 CAPLUS

CN Benzamide, 4-[[8-[(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-58-2 CAPLUS

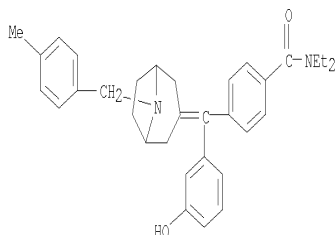
CN Benzamide, 4-[[8-[(1,3-benzodioxol-5-yl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-60-6 CAPLUS

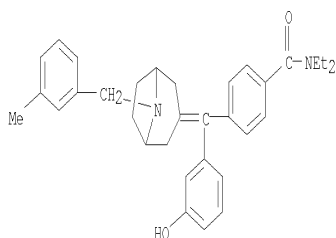
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[(4-methylphenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



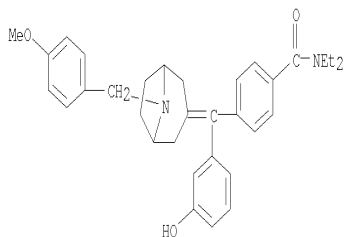
RN 782450-62-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[(3-methylphenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 782450-63-9 CAPLUS

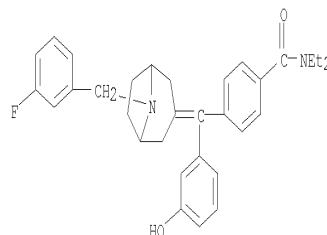
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[(4-methoxyphenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 782450-64-0 CAPLUS

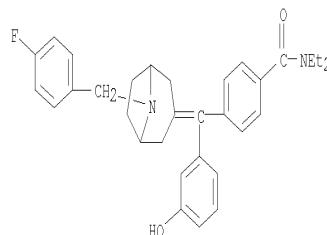
CN Benzamide, N,N-diethyl-4-[[8-[(3-fluorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



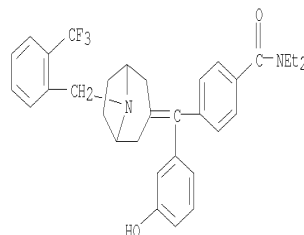
RN 782450-66-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-[(4-fluorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]- (CA INDEX NAME)



RN 782450-68-4 CAPLUS

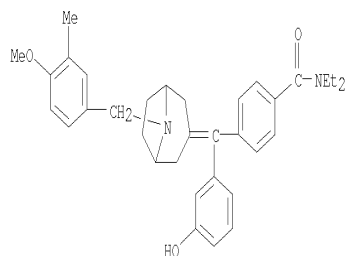
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[[2-(trifluoromethyl)phenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 782450-70-8 CAPLUS

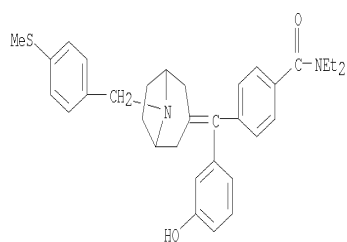
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[(4-methoxy-3-methylphenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

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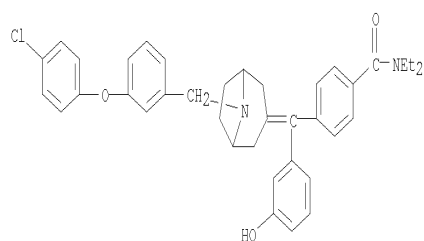
RN 782450-72-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[[4-(methylthio)phenyl]methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 782450-74-2 CAPLUS

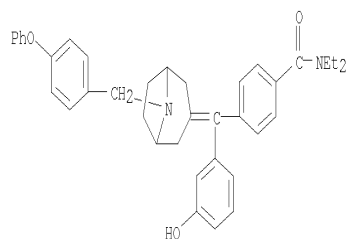
CN Benzamide, 4-[[8-[[3-(4-chlorophenoxy)phenyl]methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-76-4 CAPLUS

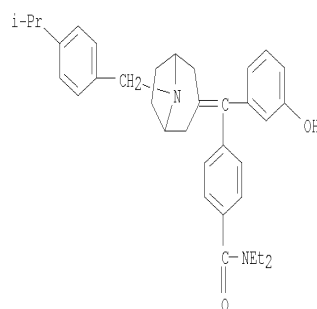
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[[4-(4-phenoxyphenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

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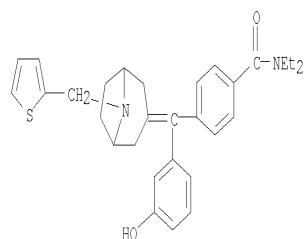
RN 782450-78-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[[4-(1-methylethyl)phenyl]methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 782450-80-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

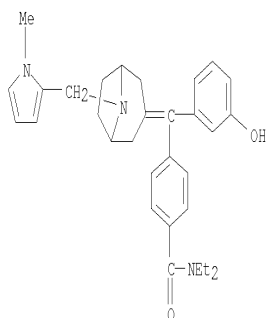


RN 782450-82-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-[(1-methyl-1H-pyrrol-2-

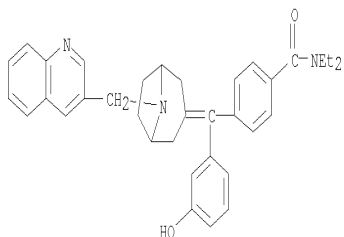
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yl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



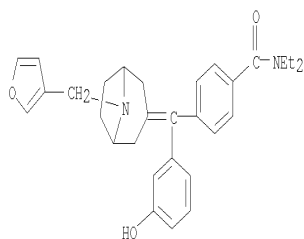
RN 782450-84-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(3-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 782450-86-6 CAPLUS

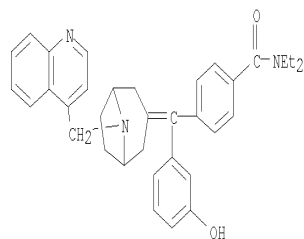
CN Benzamide, N,N-diethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]- (CA INDEX NAME)



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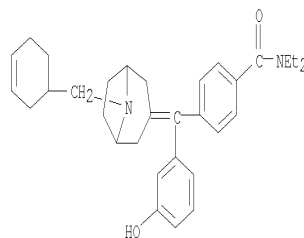
RN 782450-88-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(4-quinolinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



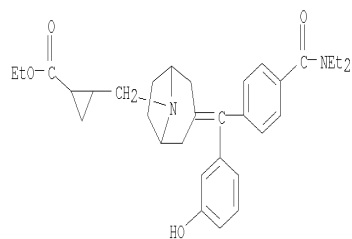
RN 782450-90-2 CAPLUS

CN Benzamide, 4-[[8-(3-cyclohexen-1-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782450-92-4 CAPLUS

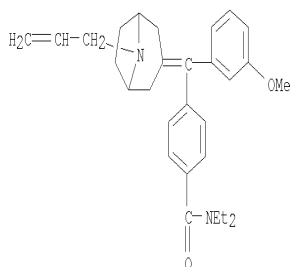
CN Cyclopropanecarboxylic acid, 2-[[[3-[[4-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]-, ethyl ester (CA INDEX NAME)



RN 782450-94-6 CAPLUS

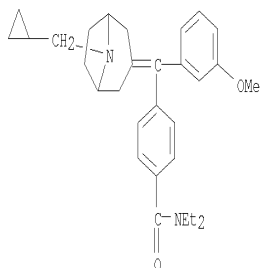
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CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)



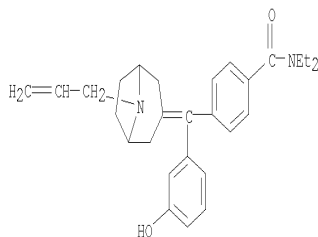
RN 782450-96-8 CAPLUS

CN Benzamide, 4-[[8-(cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-methoxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



RN 782451-09-6 CAPLUS

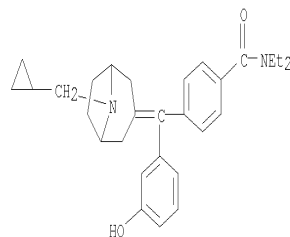
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)



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RN 782451-11-0 CAPLUS

CN Benzamide, 4-[[8-(cyclopropylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



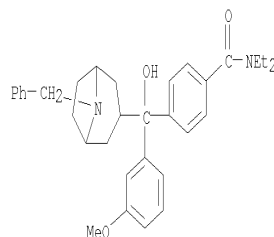
IT 782450-42-4P, 4-[(8-Benzyl-8-azabicyclo[3.2.1]oct-3-yl)hydroxy(3-methoxyphenyl)methyl]-N,N-diethylbenzamide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzhydrylideneazabicyclooctane derivs. with opioid receptor activity)

RN 782450-42-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[hydroxy(3-methoxyphenyl)[8-(phenylmethyl)-8-azabicyclo[3.2.1]oct-3-yl)methyl]- (CA INDEX NAME)



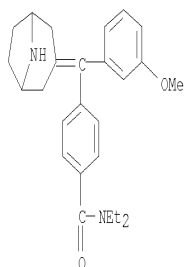
IT 782451-22-3, 4-[(8-Azabicyclo[3.2.1]oct-3-ylidene)(3-methoxyphenyl)methyl]-N,N-diethylbenzamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of benzhydrylideneazabicyclooctane derivs. with opioid receptor activity)

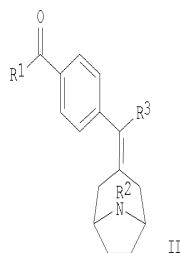
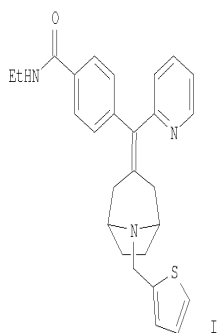
RN 782451-22-3 CAPLUS

CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(3-methoxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



L11 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
 2004:863091 Document No. 142:56149 Parallel methods for the preparation and SAR exploration of N-ethyl-4-[(8-alkyl-8-aza-bicyclo[3.2.1]oct-3-ylidene)-aryl-methyl]-benzamides, powerful mu and delta opioid agonists. Coats, Steven J.; Schulz, Mark J.; Carson, John R.; Codd, Ellen E.; Hlasta, Dennis J.; Pitis, Philip M.; Stone, Dennis J., Jr.; Zhang, Sui-Po; Colburn, Ray W.; Dax, Scott L. (Johnson and Johnson Pharmaceutical Research and Development, L.L.C., Drug Discovery, Spring House, PA, 19477-0776, USA). Bioorganic & Medicinal Chemistry Letters, 14(22), 5493-5498 (English) 2004. CODEN: BMCLE8. ISSN: 0960-894X. Publisher: Elsevier B.V..

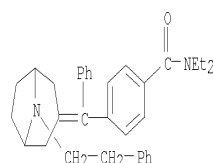
GI



AB Libraries of azabicyclooctylidenemethylbenzamides such as I are prepared as  $\mu$ - and  $\delta$ -opioid agonists using solid-phase and solution-phase methods; qual. relationships between the structures of azabicyclooctylidenemethylbenzamides and their  $\mu$ - and  $\delta$ -opioid agonist activities are discussed. 4-(Methoxycarbonyl)benzyl bromide is converted to di-Me 4-(methoxycarbonyl)benzylphosphonate with tri-Me phosphite; base-mediated olefination of N-(ethoxycarbonyl)troponone, bromination and base treatment, and cleavage of the Et carbamate followed by replacement

with an Fmoc moiety yields the intermediate (carboxyphenylbromomethylene)azabicyclooctanecarboxylate II (R = HO; R1 = Br; R2 = Fmoc). Attachment of II (R = HO; R1 = Br; R2 = Fmoc) to a resin-bound ethylamine yields a solid-phase intermediate which undergoes piperidine-mediated deprotection of the Fmoc group, reductive amination with aldehydes, palladium-catalyzed Suzuki coupling with aryl- or heteroarylboronic acids, and trifluoroacetic acid deprotection to yield azabicyclooctylidenemethylbenzamides. Coupling of II (R = HO; R1 = Br; R2 = Fmoc) to ethylamine and deprotection yields intermediate II (R = EtNH; R1 = Br; R2 = H); microwave-mediated reductive amination of II (R = EtNH; R1 = Br; R2 = H) with aldehydes and sodium triacetoxymethylborohydride, quenching with water, and microwave-mediated Suzuki coupling in the presence of tetrakis(triphenylphosphine)palladium yields azabicyclooctylidenemethylbenzamides. Azabicyclooctylidenemethylbenzamide substituted with a wide variety of aryl groups at the methylene carbon are effective as  $\mu$ - and  $\delta$ -opioid agonists. Small substituents at the nitrogen of the azabicyclooctyl ring in azabicyclooctylidenemethylbenzamides are preferred for good  $\mu$ - and  $\delta$ -opioid agonist activity; basic and acidic substituents decrease activity (although the effects of basic groups can be mitigated by appropriate aryl substitution at the methylene carbon). I has Ki values of 6.0 nM for the  $\mu$ -opioid receptor and 3.8 nM for the  $\delta$ -opioid receptor and is an effective oral antinociceptive agent at a dose of 150  $\mu$ mol/kg in a 48° mouse hot plate test.

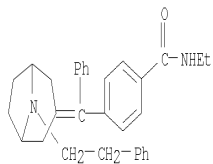
IT 359862-85-4P 359862-90-1P 809275-33-0P  
 809275-34-1P 809275-35-2P 809275-36-3P  
 809275-37-4P  
 RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation) (parallel solid-phase synthesis of azabicyclooctylidenemethylbenzamides as  $\mu$ - and  $\delta$ -opioid agonists)  
 RN 359862-85-4 CAPLUS  
 CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359862-90-1 CAPLUS  
 CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

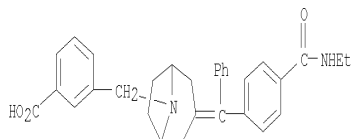


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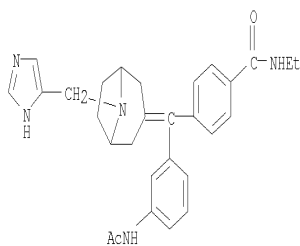
RN 809275-33-0 CAPLUS

CN Benzoic acid, 3-[[3-[[4-[(ethylamino)carbonyl]phenyl]phenylmethylene]-8-azabicyclo[3.2.1]oct-8-yl]methyl]- (CA INDEX NAME)



RN 809275-34-1 CAPLUS

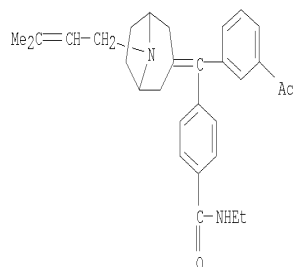
CN Benzamide, 4-[[3-(acetylaminophenyl)[8-(1H-imidazol-4-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (9CI) (CA INDEX NAME)



RN 809275-35-2 CAPLUS

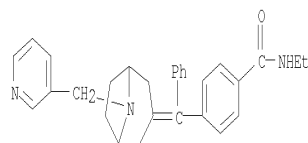
CN Benzamide, 4-[[3-(acetylaminophenyl)[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (9CI) (CA INDEX NAME)

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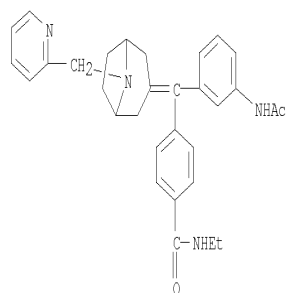
RN 809275-36-3 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(3-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 809275-37-4 CAPLUS

CN Benzamide, 4-[[3-(acetylaminophenyl)[8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



IT 809275-38-5 809275-41-0 809275-43-2  
809275-44-3 809275-45-4 809275-47-6  
809275-48-7 809275-49-8 809275-55-6  
809275-56-7 809275-57-8 809275-59-0  
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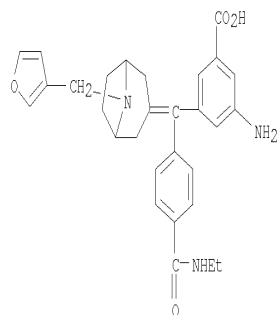
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810671-68-2 810671-69-3 810671-70-6  
810671-71-7 810671-72-8 810671-73-9  
810671-74-0 810671-75-1

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(parallel synthesis of azabicyclooctylidenemethylbenzamides as  $\mu$ -  
and  $\delta$ -opioid agonists by solid- and solution-phase methods)

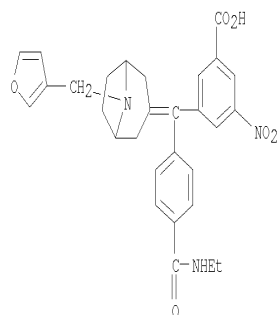
RN 809275-38-5 CAPLUS

CN Benzoic acid, 3-amino-5-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 809275-41-0 CAPLUS

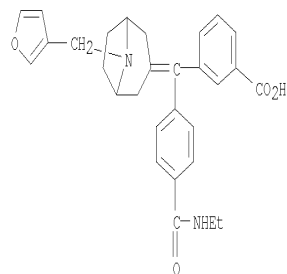
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-5-nitro- (CA INDEX NAME)



RN 809275-43-2 CAPLUS

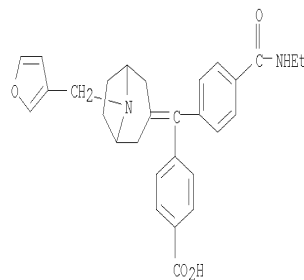
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

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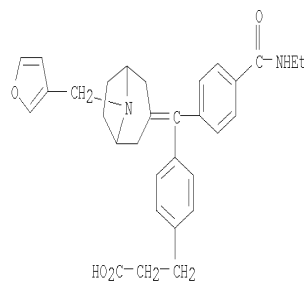
RN 809275-44-3 CAPLUS

CN Benzoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



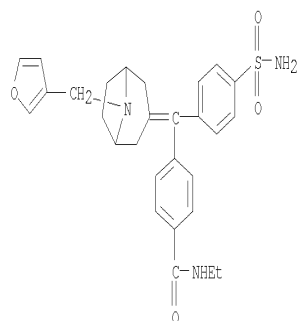
RN 809275-45-4 CAPLUS

CN Benzenepropanoic acid, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

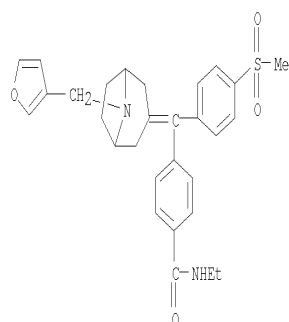


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RN 809275-47-6 CAPLUS  
CN Benzamide, 4-[[4-(aminosulfonyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

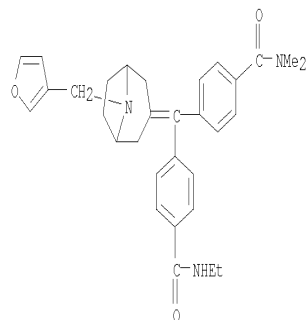


RN 809275-48-7 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][4-(methylsulfonyl)phenyl]methyl]- (CA INDEX NAME)

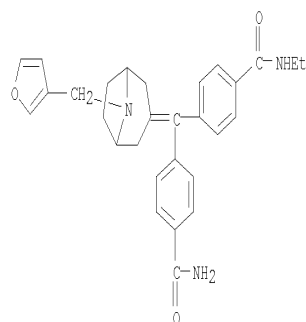


RN 809275-49-8 CAPLUS  
CN Benzamide, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N,N-dimethyl- (CA INDEX NAME)

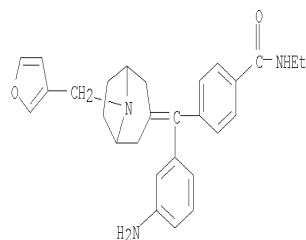
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RN 809275-55-6 CAPLUS  
CN Benzamide, 4-[[4-(aminocarbonyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

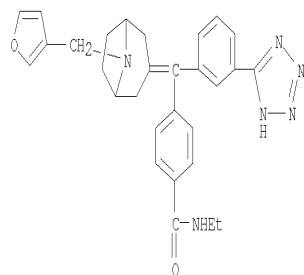


RN 809275-56-7 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

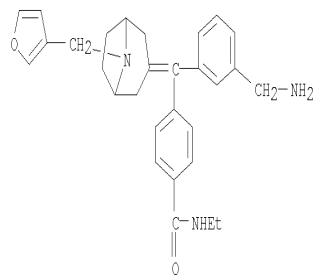


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RN 809275-57-8 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][3-(1H-tetrazol-5-yl)phenyl)methyl]- (9CI) (CA INDEX NAME)

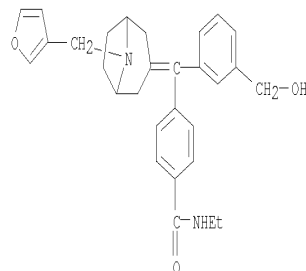


RN 809275-59-0 CAPLUS  
CN Benzamide, 4-[[3-(aminomethyl)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)

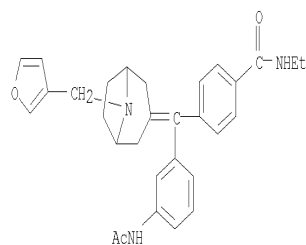


RN 809275-60-3 CAPLUS  
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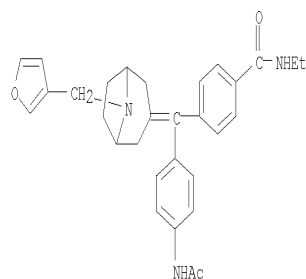
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RN 809275-61-4 CAPLUS  
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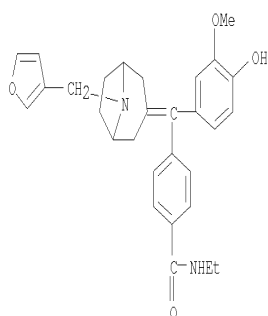
RN 809275-62-5 CAPLUS  
CN Benzamide, 4-[[4-(acetylamino)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)



RN 809275-63-6 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-

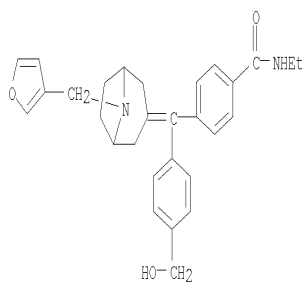
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ylidene[4-(hydroxy-3-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 809275-64-7 CAPLUS

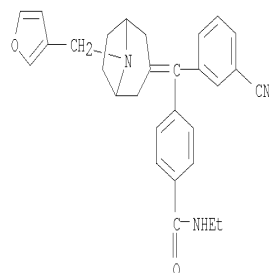
CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene][4-(hydroxymethyl)phenyl)methyl]- (CA INDEX NAME)



RN 809275-65-8 CAPLUS

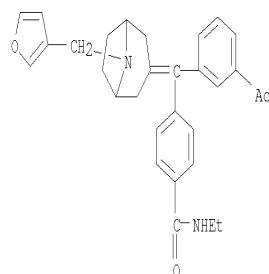
CN Benzamide, 4-[(3-cyanophenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)

Print selected from 10541656.trn



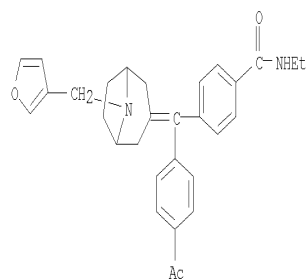
RN 809275-66-9 CAPLUS

CN Benzamide, 4-[(3-acetylphenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



RN 809275-67-0 CAPLUS

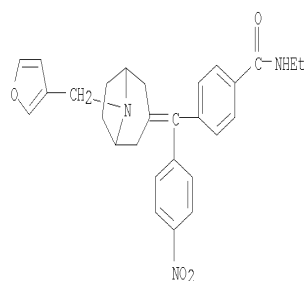
CN Benzamide, 4-[(4-acetylphenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl- (CA INDEX NAME)



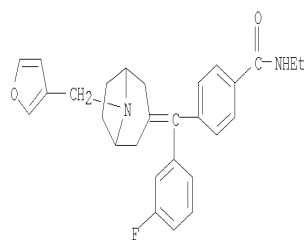
RN 809275-69-2 CAPLUS

Print selected from 10541656.trn

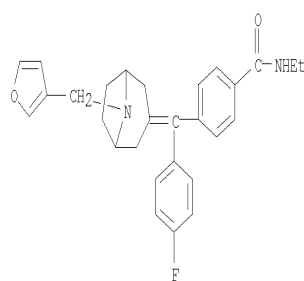
CN Benzamide, N-ethyl-4-[[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene](4-nitrophenyl)methyl]- (CA INDEX NAME)



RN 809275-71-6 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-fluorophenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

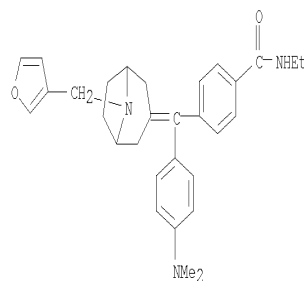


RN 809275-72-7 CAPLUS  
CN Benzamide, N-ethyl-4-[(4-fluorophenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

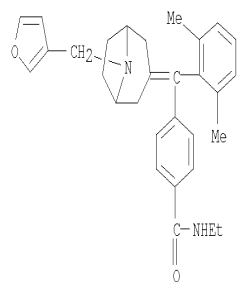


Print selected from 10541656.trn

RN 809275-73-8 CAPLUS  
CN Benzamide, 4-[[4-(dimethylamino)phenyl][8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)

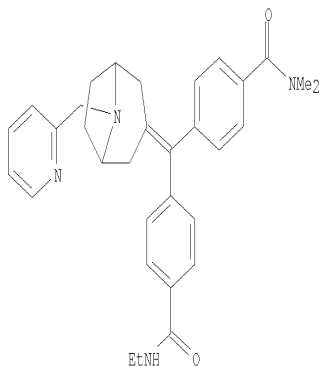


RN 809275-74-9 CAPLUS  
CN Benzamide, 4-[(2,6-dimethylphenyl)[8-(3-furanylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N-ethyl- (CA INDEX NAME)



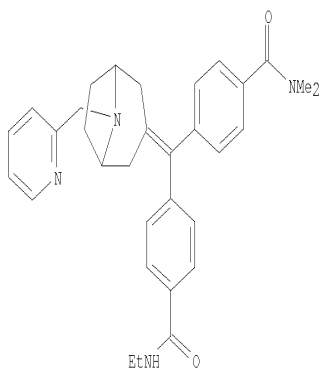
RN 810671-66-0 CAPLUS  
CN Benzamide, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-N,N-dimethyl-, stereoisomer (CA INDEX NAME)

Print selected from 10541656.trn



RN 810671-67-1 CAPLUS

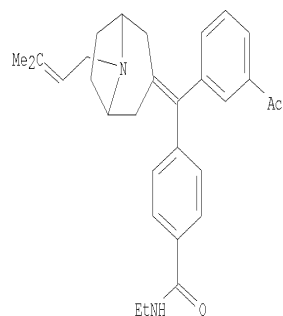
CN Benamide, 4-[[4-[(ethylamino)carbonyl]phenyl][8-(2-pyridinylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N,N-dimethyl-, stereoisomer (CA INDEX NAME)



RN 810671-68-2 CAPLUS

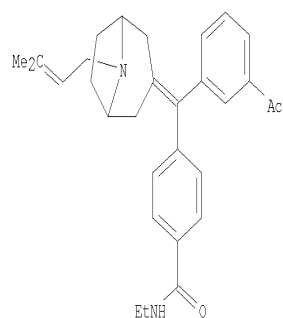
CN Benamide, 4-[[3-(acetylphenyl)[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl-, stereoisomer (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 810671-69-3 CAPLUS

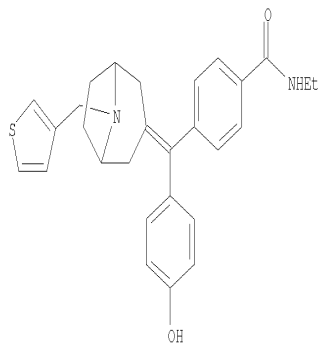
CN Benamide, 4-[[3-(acetylphenyl)[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-ethyl-, stereoisomer (9CI) (CA INDEX NAME)



RN 810671-70-6 CAPLUS

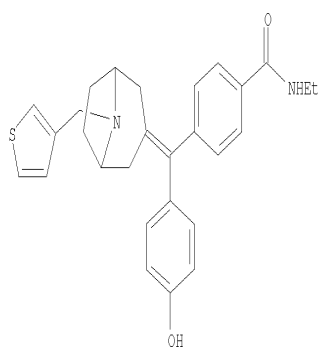
CN Benamide, N-ethyl-4-[(4-hydroxyphenyl)[8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (CA INDEX NAME)

Print selected from 10541656.trn



RN 810671-71-7 CAPLUS

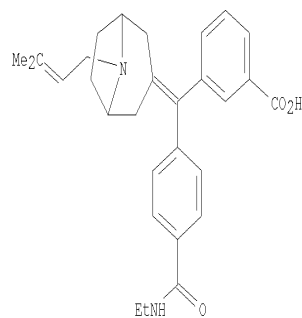
CN Benamide, N-ethyl-4-[[4-(4-hydroxyphenyl)[8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (CA INDEX NAME)



RN 810671-72-8 CAPLUS

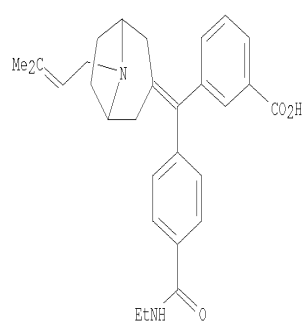
CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 810671-73-9 CAPLUS

CN Benzoic acid, 3-[[4-[(ethylamino)carbonyl]phenyl][8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

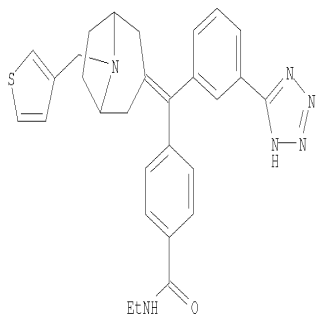


RN 810671-74-0 CAPLUS

CN Benamide, N-ethyl-4-[[3-(1H-tetrazol-5-yl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

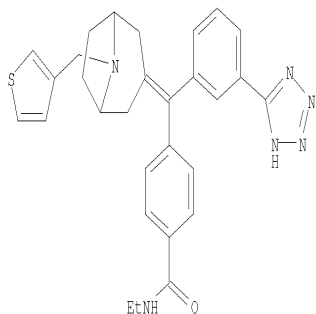


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RN 810671-75-1 CAPLUS

CN Benzamide, N-ethyl-4-[[3-(1H-tetrazol-5-yl)phenyl][8-(3-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, stereoisomer (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:857566 Document No. 141:332065 Preparation of diarylmethylidene piperidine derivatives as  $\delta$ -receptor ligands for use in the treatment of, e.g., pain. Brown, William; Griffin, Andrew Mark (Astrazeneca Ab, Swed.). PCT Int. Appl. WO 2004087663 A1 20041014, 56 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2004-SE504 20040401. PRIORITY: SE 2003-987 20030403.

GI

Print selected from 10541656.trn

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = H, alkoxy, alkyl, etc.; n, m = 0-2; R2-4 = H, alkyl, cycloalkyl, etc.; R5-6 = NO<sub>2</sub>, alkoxy, Cl, Br, etc.; R7 = alkyl, cycloalkyl, etc.] are prepared For instance, 4-[[3-(anilinoacarbonyl)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide (II) was prepared in 7 steps from 4-(bromomethyl)benzoic acid Me ester, N-Boc-4-piperidinone, diethylamine, 3-carboxyphenylboronic acid and aniline. Compds. of the invention have IC<sub>50</sub> of 0.36-9.73 nM for the  $\delta$ -receptor and IC<sub>50</sub> of 1600-9000 nM and 86-8700 nM for the  $\kappa$  and  $\mu$ -receptors resp. I are particularly useful in the management of pain.

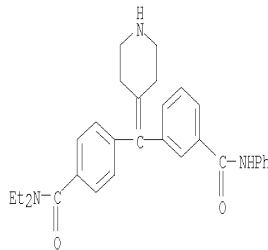
IT 769930-47-4P 769930-48-5P 769930-51-0P  
769930-52-1P 769930-55-4P 769930-56-5P  
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769930-61-2P 769930-63-4P 769930-64-5P  
769930-66-7P 769930-67-8P 769930-69-0P  
769930-70-3P 769930-72-5P 769930-73-6P  
769930-74-7P 769930-75-8P 769930-77-0P  
769930-78-1P 769930-81-6P 769930-82-7P  
769930-84-9P 769930-85-0P 769930-87-2P  
769930-88-3P 769930-90-7P 769930-91-8P  
769930-92-9P 769930-93-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidine derivs. as  $\delta$ -receptor ligands for use in treatment of, e.g., pain)

RN 769930-47-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(phenylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



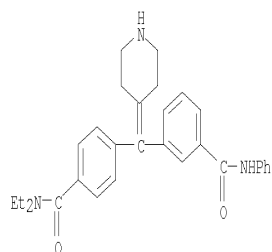
RN 769930-48-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(phenylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

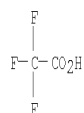
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CRN 769930-47-4  
CMF C30 H33 N3 O2

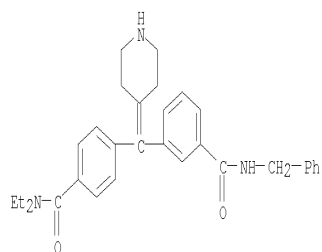


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 769930-51-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(phenylmethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

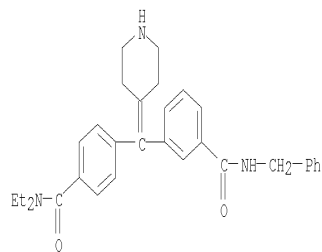


RN 769930-52-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(phenylmethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

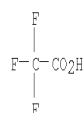
CM 1

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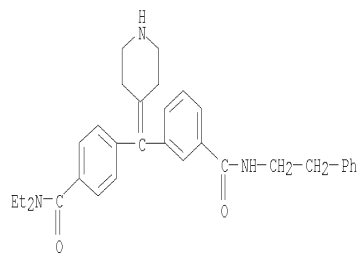


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 769930-55-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(2-phenylethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 769930-56-5 CAPLUS

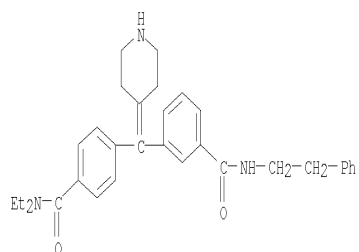
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CN Benzamide, N,N-diethyl-4-[[3-[[[(2-phenylethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

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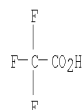
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CM 2

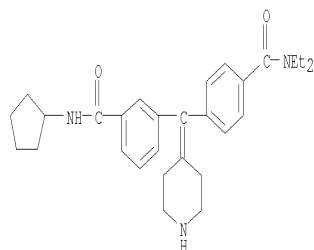
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-57-6 CAPLUS

CN Benzamide, 4-[[3-[[[(cyclopentylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)



Print selected from 10541656.trn

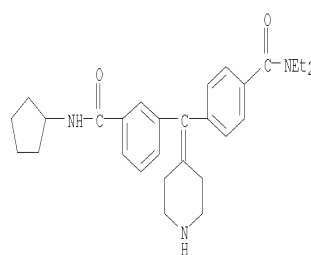
RN 769930-58-7 CAPLUS

CN Benzamide, 4-[[3-[[[(cyclopentylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-57-6

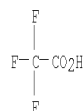
CMF C29 H37 N3 O2



CM 2

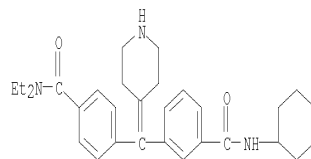
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-60-1 CAPLUS

CN Benzamide, 4-[[3-[[[(cyclohexylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)



Print selected from 10541656.trn

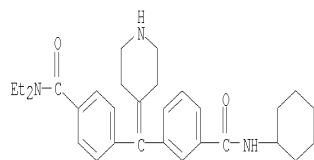
RN 769930-61-2 CAPLUS

CN Benzamide, 4-[[3-[(cyclohexylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-60-1

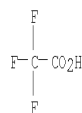
CMF C30 H39 N3 O2



CM 2

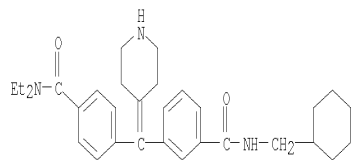
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-63-4 CAPLUS

CN Benzamide, 4-[[3-[[[(cyclohexylmethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 769930-64-5 CAPLUS

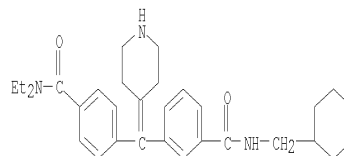
CN Benzamide, 4-[[3-[[[(cyclohexylmethyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

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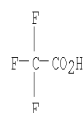
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CM 2

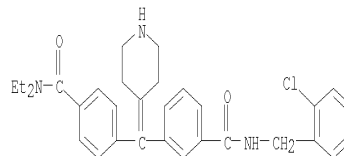
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-66-7 CAPLUS

CN Benzamide, 4-[[3-[[[(2-chlorophenyl)methyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 769930-67-8 CAPLUS

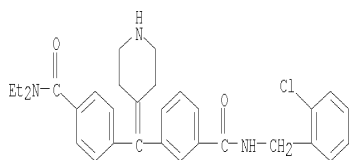
CN Benzamide, 4-[[3-[[[(2-chlorophenyl)methyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-66-7

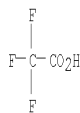
CMF C31 H34 Cl N3 O2

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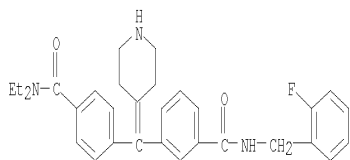


CM 2

CRN 76-05-1  
CMF C2 H F3 02



RN 769930-69-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[[3-[[[(2-fluorophenyl)methyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

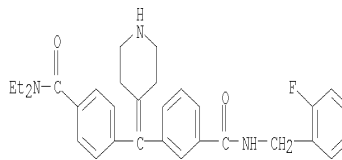


RN 769930-70-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(2-fluorophenyl)methyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

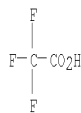
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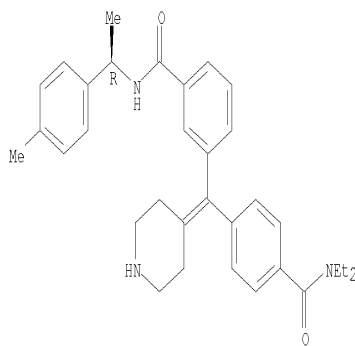
CM 2

CRN 76-05-1  
CMF C2 H F3 02



RN 769930-72-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(1R)-1-(4-methylphenyl)ethyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Absolute stereochemistry.



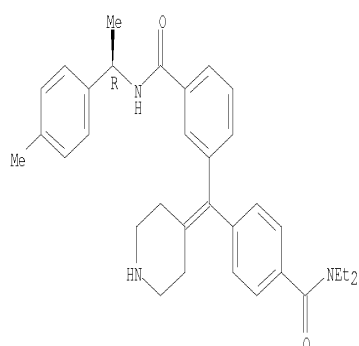
RN 769930-73-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(1R)-1-(4-methylphenyl)ethyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

Print selected from 10541656.trn

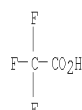
CRN 769930-72-5  
CMF C33 H39 N3 O2

Absolute stereochemistry.

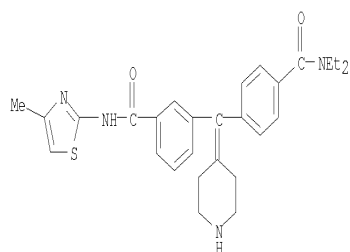


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 769930-74-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(4-methyl-2-thiazolyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

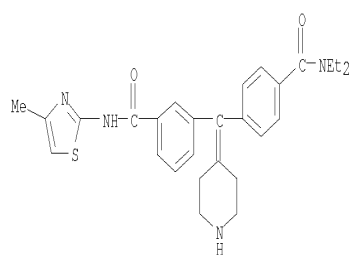


Print selected from 10541656.trn

RN 769930-75-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(4-methyl-2-thiazolyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:12) (9CI) (CA INDEX NAME)

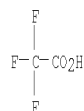
CM 1

CRN 769930-74-7  
CMF C28 H32 N4 O2 S

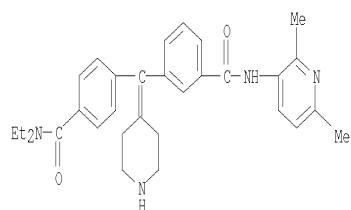


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 769930-77-0 CAPLUS  
CN Benzamide, 4-[[3-[[[(2,6-dimethyl-3-pyridinyl)amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

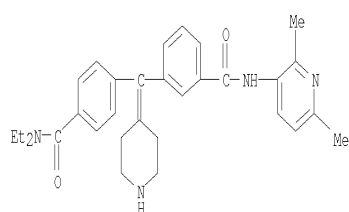
RN 769930-78-1 CAPLUS

CN Benzamide, 4-[[[3-[[[2,6-dimethyl-3-pyridinyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-77-0

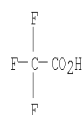
CMF C31 H36 N4 O2



CM 2

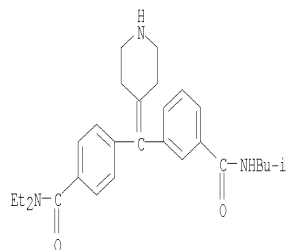
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-81-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[3-[[[2-methylpropyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



Page 285

Print selected from 10541656.trn

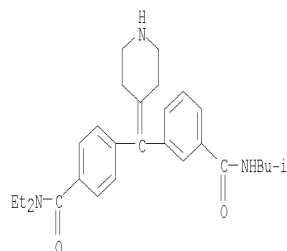
RN 769930-82-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[3-[[[2-methylpropyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-81-6

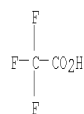
CMF C28 H37 N3 O2



CM 2

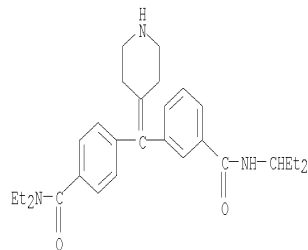
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-84-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[[3-[[[1-ethylpropyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



Page 286

Print selected from 10541656.trn

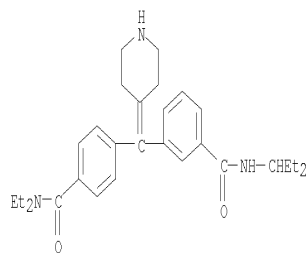
RN 769930-85-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[1-ethylpropyl]amino]carbonyl]phenyl]-4-piperidinylidenemethyl-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-84-9

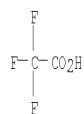
CMF C29 H39 N3 O2



CM 2

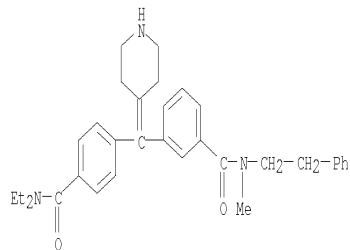
CRN 76-05-1

CMF C2 H F3 02



RN 769930-87-2 CAPLUS

CN Benzamide, 3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-  
N-methyl-N-(2-phenylethyl)- (CA INDEX NAME)



Print selected from 10541656.trn

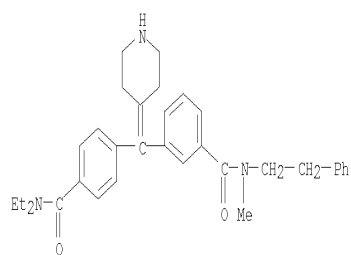
RN 769930-88-3 CAPLUS

CN Benzamide, 3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]-  
N-methyl-N-(2-phenylethyl)-, trifluoroacetate (5:12) (9CI) (CA INDEX  
NAME)

CM 1

CRN 769930-87-2

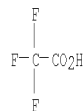
CMF C33 H39 N3 O2



CM 2

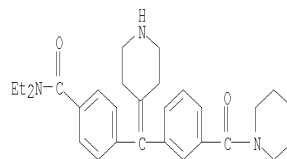
CRN 76-05-1

CMF C2 H F3 O2



RN 769930-90-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)





Print selected from 10541656.trn

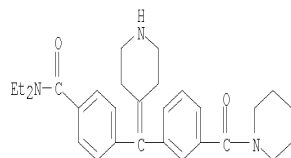
RN 769930-91-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(1-piperidinylcarbonyl)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-90-7

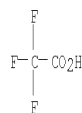
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CM 2

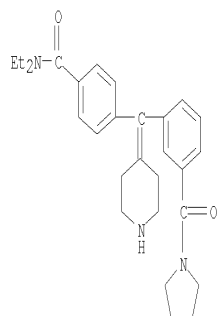
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RN 769930-92-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

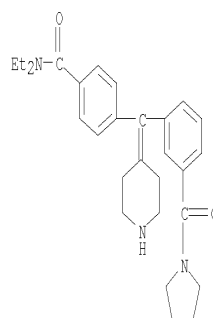
RN 769930-93-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinylcarbonyl)phenyl]methyl]-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 769930-92-9

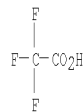
CMF C28 H35 N3 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



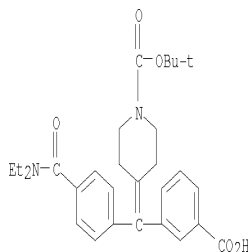
IT 508223-80-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidine derivs. as  $\delta$ -receptor ligands for use in treatment of, e.g., pain)

RN 508223-80-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(3-carboxyphenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

Print selected from 10541656.trn



L11 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:610034 Document No. 141:140326 Preparation of diarylmethylidene  
piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain..  
Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca Ab,  
Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004062562 A2 20040729,  
60 pp. DESIGNATED STATES: W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU,  
AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN,  
CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE,  
EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GM, HR, HR, HU, HU, ID,  
IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC,  
LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ.  
(English). CODEN: PIXXD2. APPLICATION: WO 2004-GB99 20040113. PRIORITY:  
SE 2003-105 20030116.

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 =  
H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were  
prepared For example, acylation of aniline II [R6 = H], e.g., prepared from  
4-(bromomethyl)benzoic acid Me ester in 8-steps, with acetyl chloride  
afforded piperidine II [R6 = COMe] as the trifluoroacetic acid salt in 52%  
yield. In human  $\delta$ -opioid receptor binding assays, 7-examples of  
compds. I exhibited IC50 values ranging from 0.19-1.49 nM. Compds. I are  
claimed useful in the management of pain.

IT 725242-56-8P, 4-[[3-(Acetylamino)phenyl][1-(thien-2-  
ylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide  
725242-57-9P, 4-[[3-(Acetylamino)phenyl][1-(2-  
furylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide  
725242-58-0P, 4-[[3-(Acetylamino)phenyl][1-(phenylmethyl)-4-  
piperidinylidene]methyl]-N,N-diethylbenzamide 725242-59-1P,  
4-[[3-(Acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-  
N,N-diethylbenzamide 725242-60-4P, 4-[[3-(Acetylamino)phenyl][1-  
(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethylbenzamide  
725242-61-5P, 4-[[3-(Acetylamino)phenyl][1-(4-pyridinylmethyl)-4-  
piperidinylidene]methyl]-N,N-diethylbenzamide 725242-62-6P,  
4-[[3-(Acetylamino)phenyl][1-(pyridin-2-ylmethyl)piperidin-4-  
ylidene]methyl]-N,N-diethylbenzamide 725242-63-7P,

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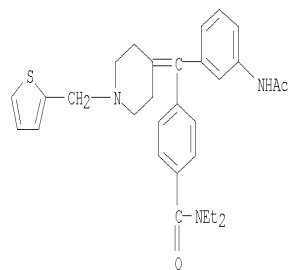
4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-4-ylmethyl)piperidin-4-  
ylidene]methyl]-N,N-diethylbenzamide 725242-64-8P,  
4-[[3-(Acetylamino)phenyl][1-(1,3-thiazol-5-ylmethyl)piperidin-4-  
ylidene]methyl]-N,N-diethylbenzamide 725242-67-1P  
725242-70-6P 725242-71-7P 725242-75-1P  
725242-80-8P 725242-83-1P 725242-87-5P  
725242-88-6P 725242-90-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor  
ligands for the treatment of pain.)

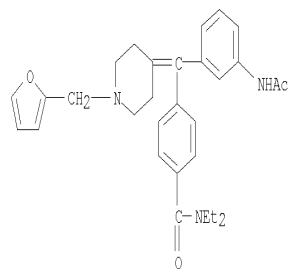
RN 725242-56-8 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-  
piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 725242-57-9 CAPLUS

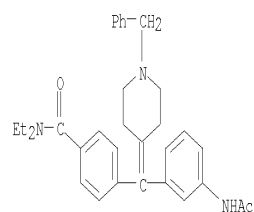
CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4-  
piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



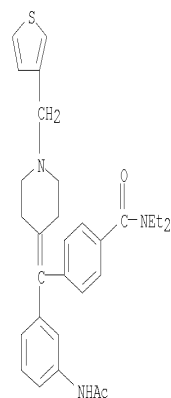
RN 725242-58-0 CAPLUS

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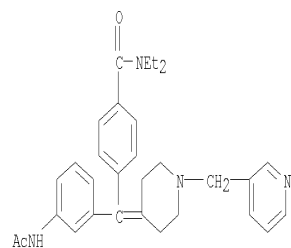
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RN 725242-59-1 CAPLUS  
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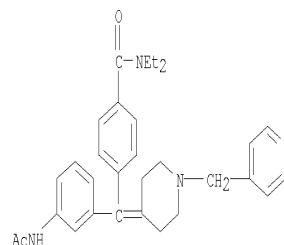


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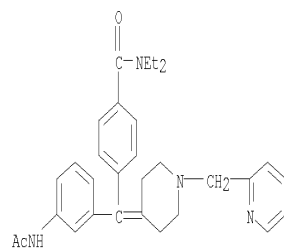


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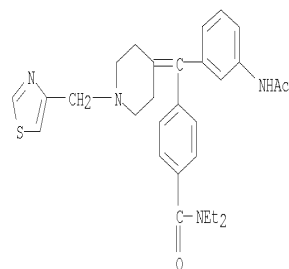
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CN Benzamide, 4-[[3-(acetamido)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 725242-62-6 CAPLUS  
CN Benzamide, 4-[[3-(acetamido)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



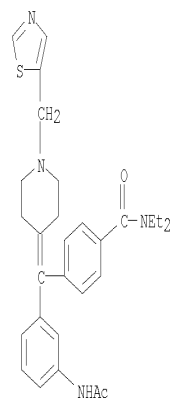
RN 725242-63-7 CAPLUS  
CN Benzamide, 4-[[3-(acetamido)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

RN 725242-64-8 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



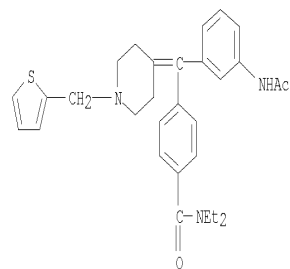
RN 725242-67-1 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-thienylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-56-8

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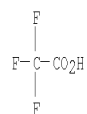


CM 2

CRN 76-05-1

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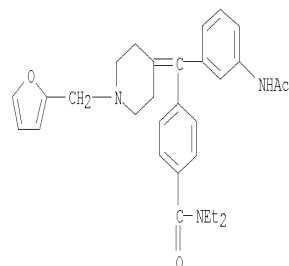
RN 725242-70-6 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-57-9

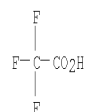
CMF C30 H35 N3 O3



CM 2

CRN 76-05-1

CMF C2 H F3 O2



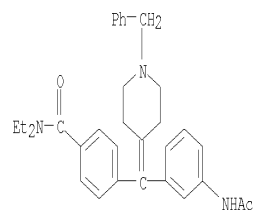
RN 725242-71-7 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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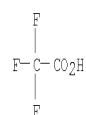
CM 1

CRN 725242-58-0  
CMF C32 H37 N3 O2



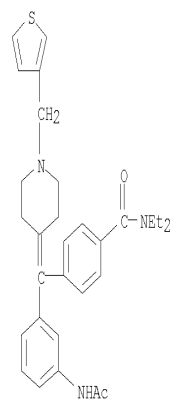
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 725242-75-1 CAPLUS  
CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

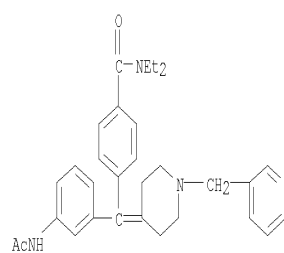


● HCl

RN 725242-80-8 CAPLUS  
CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

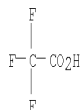
CRN 725242-60-4  
CMF C31 H36 N4 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

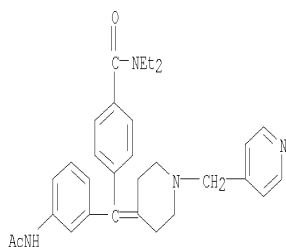
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RN 725242-83-1 CAPLUS  
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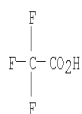
CM 1

CRN 725242-61-5  
CMF C31 H36 N4 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



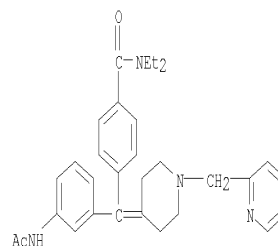
RN 725242-87-5 CAPLUS  
CN Benzamide, 4-[[[3-(acetylamino)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

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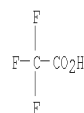
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CMF C31 H36 N4 O2



CM 2

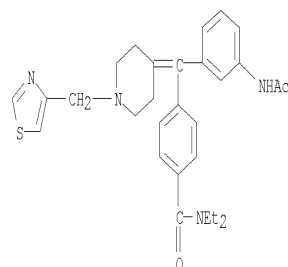
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CMF C2 H F3 O2



RN 725242-88-6 CAPLUS  
CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-63-7  
CMF C29 H34 N4 O2 S

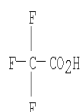


Print selected from 10541656.trn

CM 2

CRN 76-05-1

CMF C2 H F3 O2



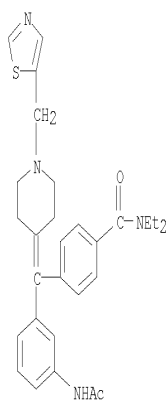
RN 725242-90-0 CAPLUS

CN Benzamide, 4-[[3-(acetylamino)phenyl][1-(5-thiazolymethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725242-64-8

CMF C29 H34 N4 O2 S

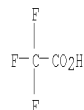


CM 2

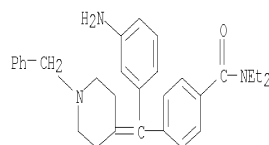
CRN 76-05-1

CMF C2 H F3 O2

Print selected from 10541656.trn

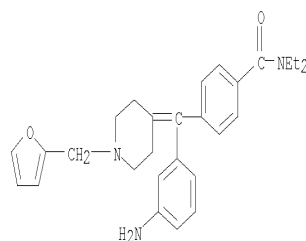


IT 477185-74-3P, 4-[1-(3-Aminophenyl)[1-(phenylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 477185-77-6P, 4-[(3-Aminophenyl)[1-(2-furlylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 477185-79-8P 477185-80-1P 725242-78-4P, 4-[(3-Aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-diethylbenzamide 725242-85-3P, 4-[[3-(Acetylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)  
RN 477185-74-3 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-77-6 CAPLUS

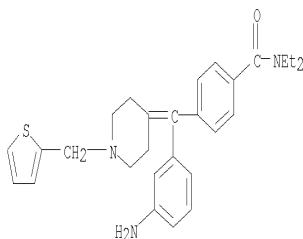
CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



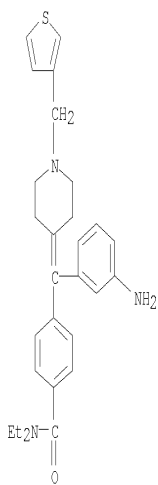
RN 477185-79-8 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

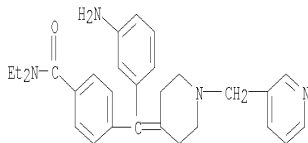
Print selected from 10541656.trn



RN 477185-80-1 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

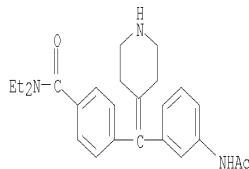


RN 725242-78-4 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

RN 725242-85-3 CAPLUS  
CN Benzamide, 4-[[3-(acetamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



L11 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:606467 Document No. 141:157038 Preparation of 4-[3-(sulfonylamino)phenyl]-1-(cyclymethyl)piperidin-4-ylidenemethylbenzamide derivatives as delta opioid receptor ligands. Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca Ab, Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004063193 A1 20040729, 54 pp. DESIGNATED STATES: W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB61 20040113. PRIORITY: SE 2003-104 20030116.

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = aryl, heteroaryl, etc.; R2-5 = H, alkyl, cycloalkyl, etc.] are prepared For instance, 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu ester (preparation given) is converted to the diethylamide (CH<sub>2</sub>Cl<sub>2</sub>, i-BuO<sub>2</sub>CCl, HNEt<sub>2</sub>), deprotected (CH<sub>2</sub>Cl<sub>2</sub>, TFA), alkylated with thiophene-2-carboxaldehyde (1,2-dichloroethane, NaHB(OAc)<sub>3</sub>), coupled to m-aminobenzenboronic acid (PhMe/EtOH/H<sub>2</sub>O, Pd(PPh<sub>3</sub>)<sub>4</sub>, Na<sub>2</sub>CO<sub>3</sub>) and finally treated with methanesulfonic anhydride to give II. Compds. of the invention have IC<sub>50</sub> in the range of 0.18 - 0.56 nM for the  $\delta$ -opioid receptor. I are useful in the management of pain.

IT 728917-19-9P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(thien-2-ylmethyl)piperidin-4-ylidene]methyl]benzamide  
728917-20-2P 728917-21-3P 728917-22-4P,  
N,N-Diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-23-5P,  
N,N-Diethyl-4-[[1-(phenylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]benzamide 728917-24-6P  
728917-25-7P, N,N-Diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-



Print selected from 10541656.trn

(3-pyridinylmethyl)-4-piperidinylidene]methyl]benzamide

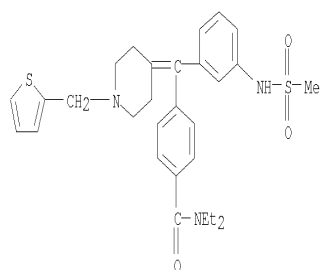
728917-26-8P 728917-30-4P 728917-31-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-[3-(sulfonfylamino)phenyl-1-(cyclylmethyl)piperidin-4-ylidenemethyl]benazmid derivs. as delta opioid receptor ligands)

RN 728917-19-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



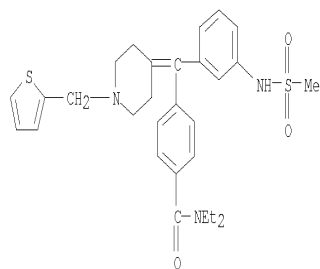
RN 728917-20-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 728917-19-9

CMF C29 H35 N3 O3 S2

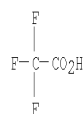


CM 2

Print selected from 10541656.trn

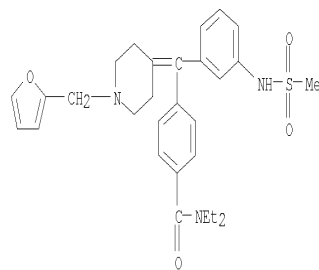
CRN 76-05-1

CMF C2 H F3 O2



RN 728917-21-3 CAPLUS

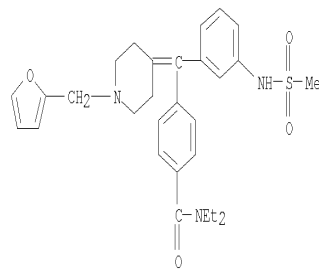
CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]-, hydrochloride (5:6) (CA INDEX NAME)



●6/5 HCl

RN 728917-22-4 CAPLUS

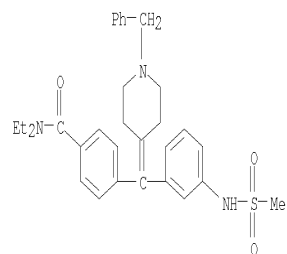
CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinylidene][3-[(methylsulfonyl)amino]phenyl]methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

RN 728917-23-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



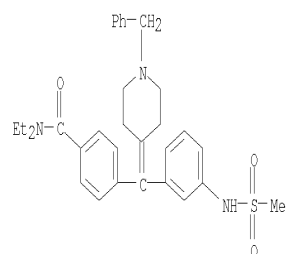
RN 728917-24-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (10:13) (9CI)  
(CA INDEX NAME)

CM 1

CRN 728917-23-5

CMF C31 H37 N3 O3 S

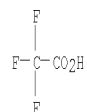


CM 2

CRN 76-05-1

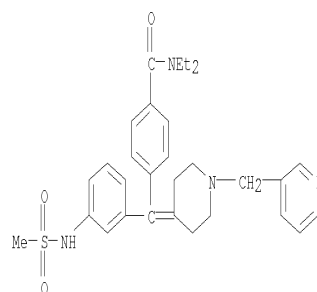
CMF C2 H F3 O2

Print selected from 10541656.trn



RN 728917-25-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



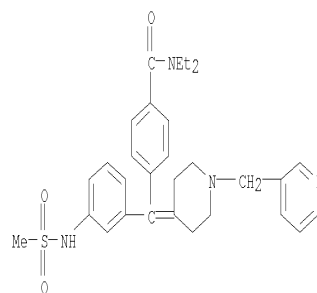
RN 728917-26-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:5) (9CI)  
(CA INDEX NAME)

CM 1

CRN 728917-25-7

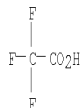
CMF C30 H36 N4 O3 S



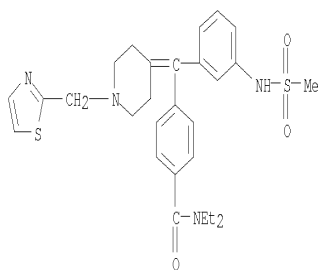
Print selected from 10541656.trn

CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 728917-30-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

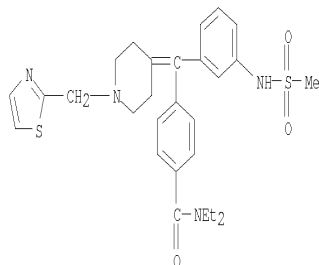


RN 728917-31-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(methylsulfonyl)amino]phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-, trifluoroacetate (2:5) (9CI)  
(CA INDEX NAME)

CM 1

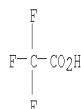
CRN 728917-30-4  
CMF C28 H34 N4 O3 S2

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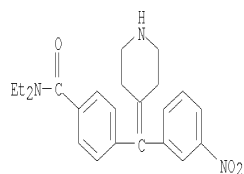
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



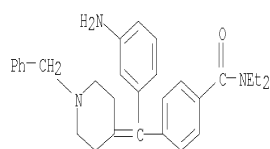
IT 209807-56-7P, N,N-Diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]benzamide 477185-74-3P, 4-[(3-Aminophenyl)[1-(phenylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 477185-77-6P, 4-[(3-Aminophenyl)[1-(2-furylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide 477185-79-8P 725242-78-4P, 4-[(3-Aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethylbenzamide 728917-27-9P, 4-[[4-[(Diethylamino)carbonyl]phenyl](3-nitrophenyl)methylene]-1-piperidinecarboxylic acid 1,1-dimethylethyl ester 728917-28-0P 728917-29-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-[3-(sulfonylamino)phenyl-1-(cyclylmethyl)piperidin-4-ylidenemethyl]benzamide derivs. as delta opioid receptor ligands)  
RN 209807-56-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



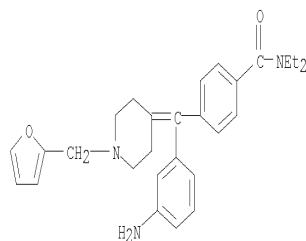
RN 477185-74-3 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-77-6 CAPLUS

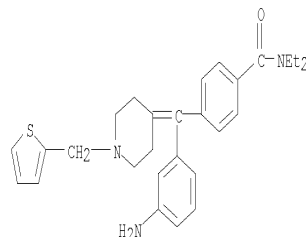
CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-79-8 CAPLUS

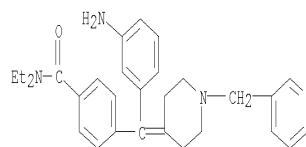
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



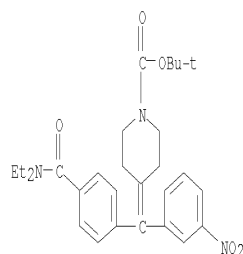
RN 725242-78-4 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 728917-27-9 CAPLUS

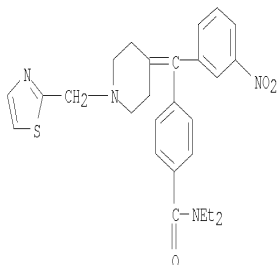
CN 1-Piperidinecarboxylic acid, 4-[[[4-[(diethylamino)carbonyl]phenyl](3-nitrophenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



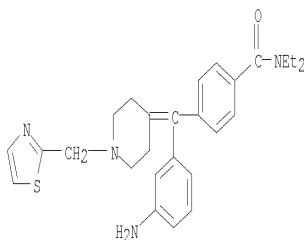
RN 728917-28-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)[1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



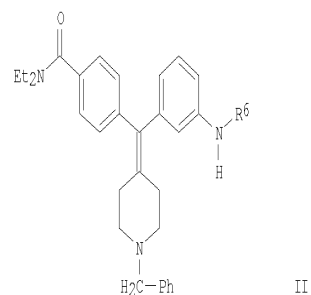
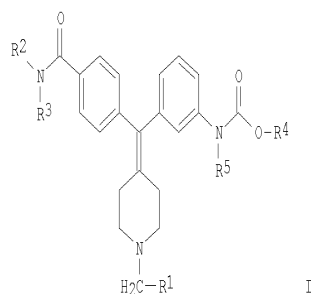
RN 728917-29-1 CAPLUS  
CN Benzamide, 4-[[3-aminophenyl][1-(2-thiazolylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)



LI1 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:606441 Document No. 141:140324 Preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.. Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca Ab, Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004063157 A1 20040729, 56 pp. DESIGNATED STATES: W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB116 20040113. PRIORITY: SE 2003-103 20030116.

GI

Print selected from 10541656.trn



AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded piperidine II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human  $\delta$ -opioid receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of piperidine II [R6 = COOMe] was 0.48 nM. Compds. I are claimed useful in the management of pain.

II 725229-70-9P 725229-71-0P 725229-72-1P  
725229-73-2P 725229-74-3P 725229-75-4P  
725229-76-5P 725229-78-7P 725229-79-8P  
725229-80-1P

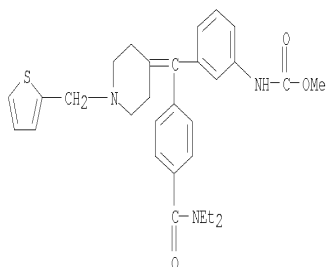
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)

RN 725229-70-9 CAPLUS

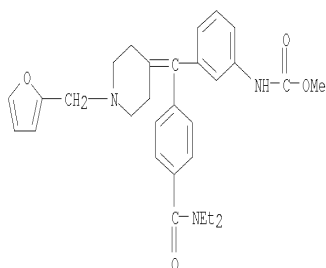
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



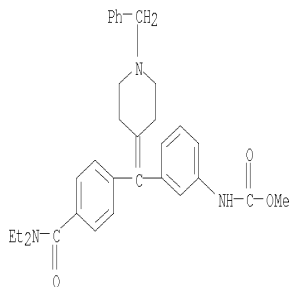
RN 725229-71-0 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 725229-72-1 CAPLUS

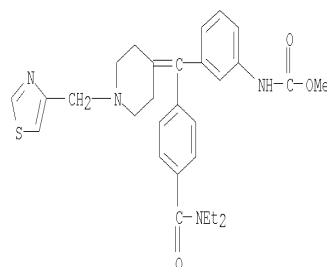
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 725229-73-2 CAPLUS

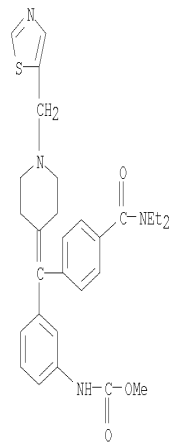
Print selected from 10541656.trn

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 725229-74-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 725229-75-4 CAPLUS

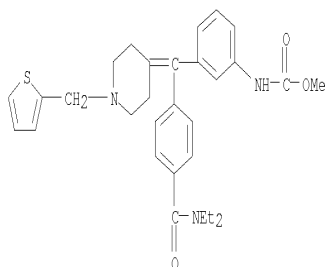
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-70-9

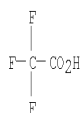
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CMF C30 H35 N3 O3 S



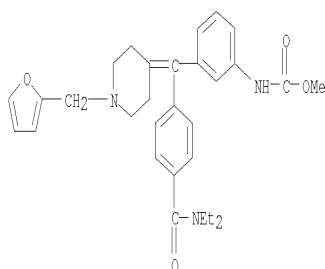
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 725229-76-5 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

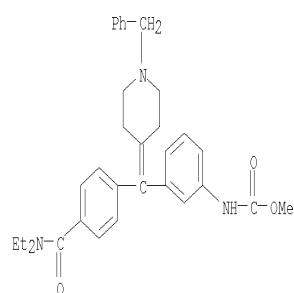
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RN 725229-78-7 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

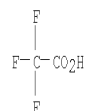
CM 1

CRN 725229-72-1  
CMF C32 H37 N3 O3



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



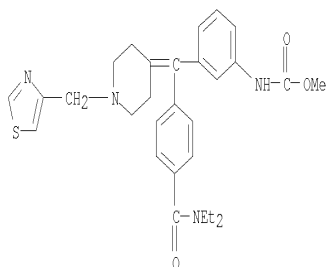
RN 725229-79-8 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-73-2  
CMF C29 H34 N4 O3 S

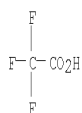
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 725229-80-1 CAPLUS

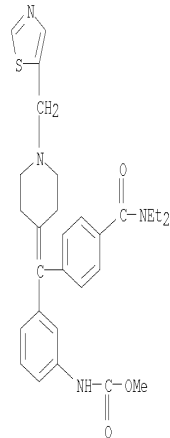
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-74-3

CMF C29 H34 N4 O3 S

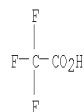
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CM 2

CRN 76-05-1

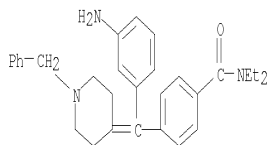
CMF C2 H F3 O2



IT 477185-74-3P 477185-77-6P, 4-[(3-Aminophenyl)[1-(2-furylmethyl)piperidin-4-ylidene]methyl]-N,N-diethylbenzamide  
477185-79-8P 725229-84-5P, 4-[(3-Aminophenyl)[4-[(diethylamino)carbonyl]phenyl]methylene]-1-piperidinecarboxylic acid 1,1-dimethylethyl ester 725229-85-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)  
RN 477185-74-3 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

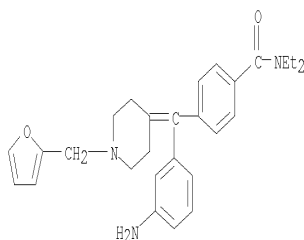


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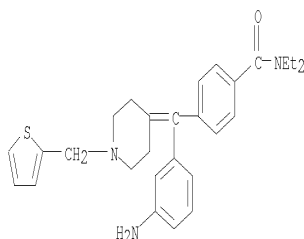
RN 477185-77-6 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-79-8 CAPLUS

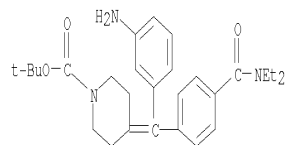
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 725229-84-5 CAPLUS

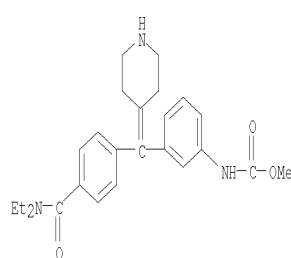
CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4-(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



RN 725229-85-6 CAPLUS

CN Carbanic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldienemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2004:412920 Document No. 140:423590 Preparation of 4-(phenylpiperidin-4-ylidenemethyl)benzamides for treatment of pain, anxiety, or gastrointestinal disorders. Brown, William; Griffin, Andrew (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2004041784 A1 20040521, 96 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-SE1705 20031105. PRIORITY: SE 2002-3301 20021107.

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = (un)substituted alkyl, cycloalkyl(alkyl), (hetero)aryl, R8CO, R8SO2, R8SO, R8NHCO, R8CS, or R8NHCS; ; R2 = H or (un)substituted alkyl; R3 = H or (un)substituted alkoxy carbonyl, alkyl, or cycloalkyl(alkyl); R8 = (un)substituted alkyl, (hetero)aryl(alkyl), or cycloalkyl(alkyl); or pharmaceutically acceptable salts thereof] were prepared as opioid  $\delta$  receptor ligands. For example, reaction of

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4-(bromomethyl)benzoic acid Me ester with P(OMe)<sub>3</sub>, followed by addition of 1-(tert-butoxycarbonyl)-4-piperidone in the presence of LDA in THF, gave 4-(4-methoxycarbonylbenzylidene)piperidine-1-carboxylic acid tert-Bu ester (35%). Addition of Br<sub>2</sub> (78%) and reaction with NaOH in MeOH provided 4-[bromo(4-carboxyphenyl)methylene]piperidine-1-carboxylic acid tert-Bu ester (87%). Conversion to the benzoyl chloride with iso-Bu chloroformate and amidation (73%) with Et<sub>2</sub>NH in the presence of TEA in CH<sub>2</sub>Cl<sub>2</sub>, followed by coupling with 3-aminophenylboronic acid using Pd(PPh<sub>3</sub>)<sub>4</sub> and Na<sub>2</sub>CO<sub>3</sub> in toluene/EtOH/H<sub>2</sub>O afforded N,N-diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide (97%). Alkylation of the amine with benzaldehyde and NaBH(OAc)<sub>3</sub> in 1,2-dichloroethane gave II. In binding assays using human 293S cells expressing cloned human opioid receptors and neomycin resistance, most compds. of the invention exhibited activity toward the  $\delta$  receptor with IC<sub>50</sub> values in the range of 0.14 nM - 31.2 nM. Exemplified compds. also showed some activity toward the  $\kappa$  and  $\mu$  receptors with IC<sub>50</sub> values in the ranges of 36 nM - 9680 nM and 3 nM - 5975 nM, resp. Thus, I and their pharmaceutical compns. are useful in therapy, in particular for the treatment of gastrointestinal disorders, anxiety, or pain (no data).

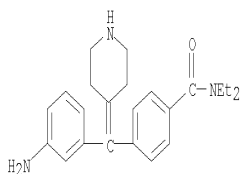
IT 209807-69-2P, N,N-Diethyl-4-[(3-aminophenyl)(piperidin-4-ylidene)methyl]benzamide 692246-40-5P, tert-Butyl 4-[[4-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methylene]piperidine-1-carboxylate 692246-42-7P, tert-Butyl 4-[[4-[(diethylamino)carbonyl]phenyl][3-[[[(trifluoromethyl)sulfonyl]oxy]phenyl]methylene]piperidine-1-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (phenylpiperidinylidenemethyl)benzamides as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 209807-69-2 CAPLUS

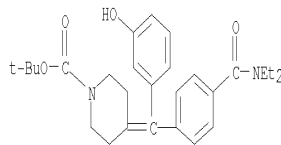
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 692246-40-5 CAPLUS

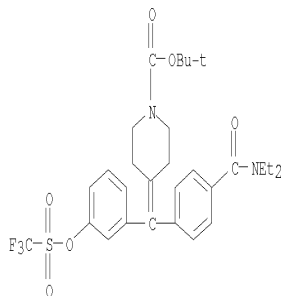
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



RN 692246-42-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-[[[(trifluoromethyl)sulfonyl]oxy]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 692245-00-4P 692245-02-6P 692245-04-8P

692245-06-0P 692245-09-3P 692245-13-9P

692245-17-3P 692245-21-9P 692245-25-3P

692245-29-7P 692245-33-3P 692245-37-7P

692245-41-3P 692245-45-7P 692245-49-1P

692245-53-7P 692245-57-1P 692245-61-7P

692245-65-1P 692245-69-5P 692245-73-1P

692245-77-5P 692245-81-1P 692245-86-6P

692245-90-2P 692245-94-6P 692245-98-0P

692246-02-9P 692246-06-3P 692246-10-9P

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692246-26-7P 692246-30-3P 692246-34-7P

692246-38-1P 692246-46-1P 692246-50-7P

692246-54-1P 692246-58-5P 692246-62-1P

692246-66-5P 692246-70-1P 692246-74-5P

692246-78-9P 692246-82-5P 692246-87-0P

692246-91-6P 692246-95-0P 692246-99-4P

692247-03-3P 692247-07-7P 692247-11-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

( $\delta$  receptor agonist; preparation of (phenylpiperidinylidenemethyl)benz amides as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 692245-00-4 CAPLUS

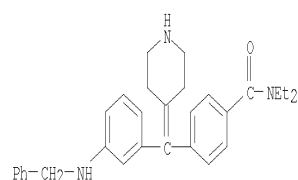
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CN Benzamide, N,N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-piperidinyldenemethyl]-, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 692244-99-8

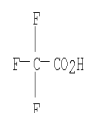
CMF C30 H35 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692245-02-6 CAPLUS

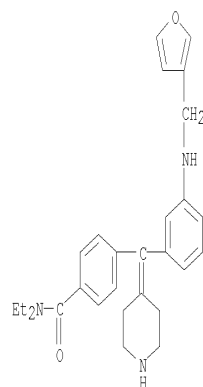
CN Benzamide, N,N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4-piperidinyldenemethyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-01-5

CMF C28 H33 N3 O2

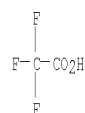
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692245-04-8 CAPLUS

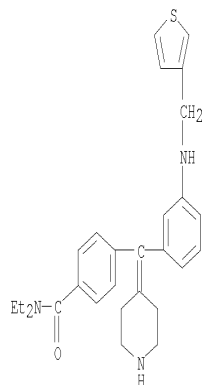
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[3-[(3-thienylmethyl)amino]phenyl]methyl]-, trifluoroacetate (5:12) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-03-7

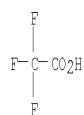
CMF C28 H33 N3 O S

Print selected from 10541656.trn



CM 2

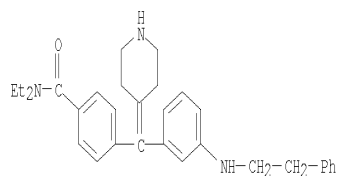
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-06-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

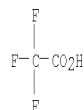
CRN 692245-05-9  
CMF C31 H37 N3 O



Print selected from 10541656.trn

CM 2

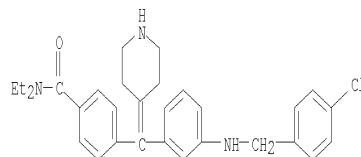
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-09-3 CAPLUS  
CN Benzamide, 4-[[3-[[[4-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

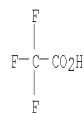
CM 1

CRN 692245-07-1  
CMF C30 H34 Cl N3 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



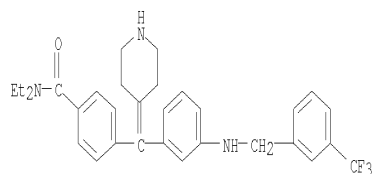
RN 692245-13-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[3-(trifluoromethyl)phenyl)methyl]amino]phenyl)methyl]-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

CRN 692245-11-7

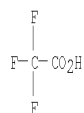
CMF C31 H34 F3 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



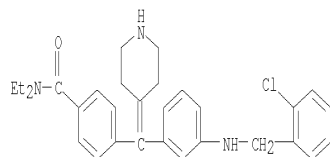
RN 692245-17-3 CAPLUS

CN Benzamide, 4-[[3-[[[(2-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-15-1

CMF C30 H34 Cl N3 O

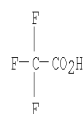


CM 2

Print selected from 10541656.trn

CRN 76-05-1

CMF C2 H F3 O2



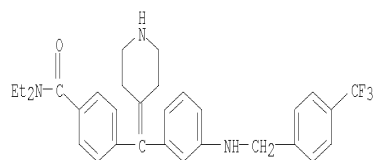
RN 692245-21-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]-, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-19-5

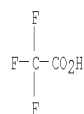
CMF C31 H34 F3 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692245-25-3 CAPLUS

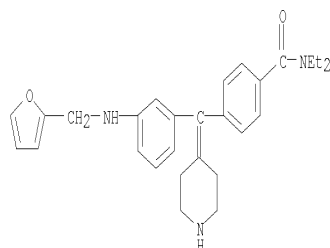
CN Benzamide, N,N-diethyl-4-[3-[(2-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-23-1

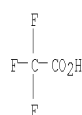
CMF C28 H33 N3 O2

Print selected from 10541656.trn



CM 2

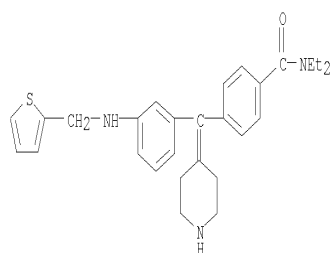
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-29-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl)methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

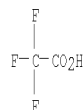
CRN 692245-27-5  
CMF C28 H33 N3 O S



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CM 2

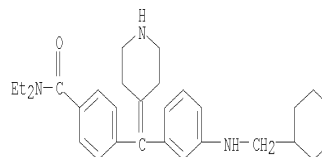
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-33-3 CAPLUS  
CN Benzamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

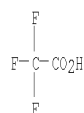
CM 1

CRN 692245-31-1  
CMF C30 H41 N3 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

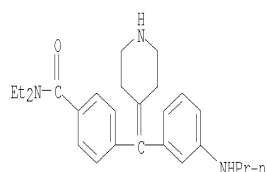


RN 692245-37-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl)methyl]-, trifluoroacetate (10:29) (9CI) (CA INDEX NAME)

CM 1

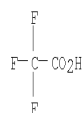
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CRN 692245-35-5  
CMF C26 H35 N3 O



CM 2

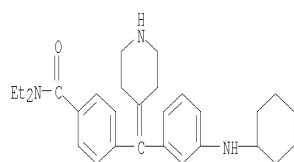
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-41-3 CAPLUS  
CN Benzamide, 4-[[3-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-39-9  
CMF C29 H39 N3 O

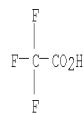


CM 2

CRN 76-05-1  
CMF C2 H F3 O2

Page 333

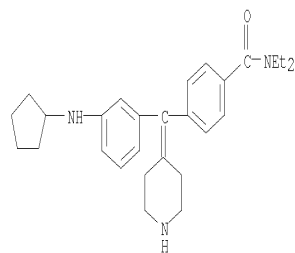
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RN 692245-45-7 CAPLUS  
CN Benzamide, 4-[[3-(cyclopentylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (10:23) (9CI) (CA INDEX NAME)

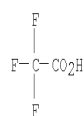
CM 1

CRN 692245-43-5  
CMF C28 H37 N3 O



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



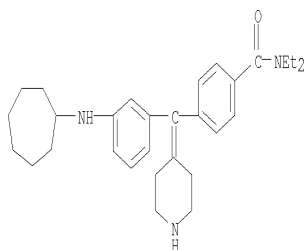
RN 692245-49-1 CAPLUS  
CN Benzamide, 4-[[3-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-47-9  
CMF C30 H41 N3 O

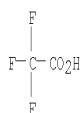
Page 334

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CM 2

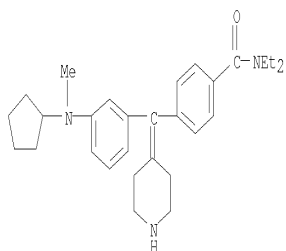
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-53-7 CAPLUS  
CN Benzamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:14) (9CI) (CA INDEX NAME)

CM 1

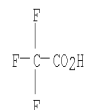
CRN 692245-51-5  
CMF C29 H39 N3 O



Print selected from 10541656.trn

CM 2

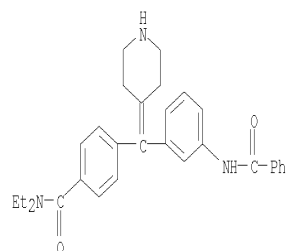
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-57-1 CAPLUS  
CN Benzamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

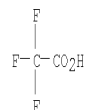
CM 1

CRN 692245-55-9  
CMF C30 H33 N3 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-61-7 CAPLUS  
CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)



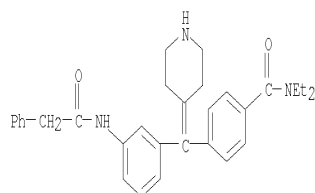
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NAME)

CM 1

CRN 692245-59-3

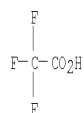
CMF C31 H35 N3 02



CM 2

CRN 76-05-1

CMF C2 H F3 02



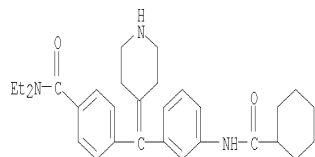
RN 692245-65-1 CAPLUS

CN Benzamide, 4-[[3-[[[cyclohexylcarbonyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-63-9

CMF C30 H39 N3 O2

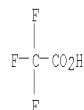


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CM 2

CRN 76-05-1

CMF C2 H F3 02



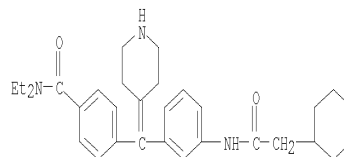
RN 692245-69-5 CAPLUS

CN Benzamide, 4-[[3-[(cyclohexylacetyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-67-3

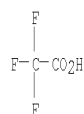
CMF C31 H41 N3 02



CM 2

CRN 76-05-1

CMF C2 H F3 O2



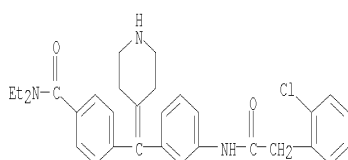
RN 692245-73-1 CAPLUS

CN Benzeneacetamide, 2-chloro-N-[4-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

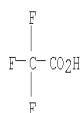
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CRN 692245-71-9  
CMF C31 H34 Cl N3 O2



CM 2

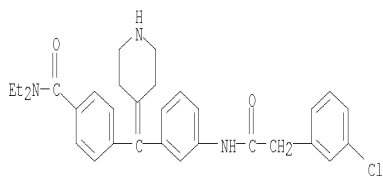
CRN 76-05-1  
CMF C2 H F3 O2



RN 692245-77-5 CAPLUS  
CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-75-3  
CMF C31 H34 Cl N3 O2

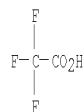


CM 2

CRN 76-05-1

Print selected from 10541656.trn

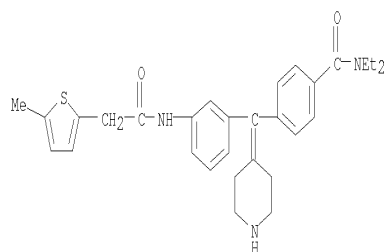
CMF C2 H F3 O2



RN 692245-81-1 CAPLUS  
CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-5-methyl-, trifluoroacetate (5:6) (9CI) (CA INDEX NAME)

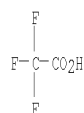
CM 1

CRN 692245-79-7  
CMF C30 H35 N3 O2 S



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



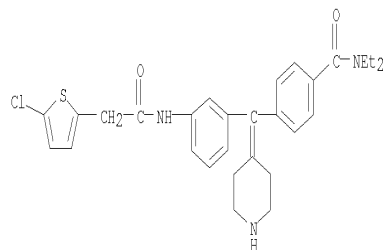
RN 692245-86-6 CAPLUS  
CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

CRN 692245-84-4

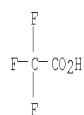
CMF C29 H32 Cl N3 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692245-90-2 CAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ S)-, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

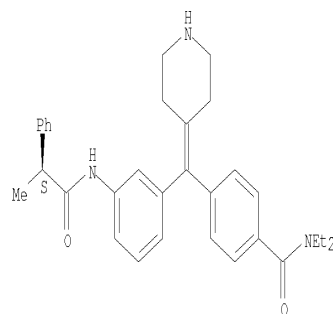
CM 1

CRN 692245-88-8

CMF C32 H37 N3 O2

Absolute stereochemistry.

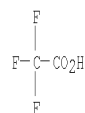
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692245-94-6 CAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, ( $\alpha$ R)-, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

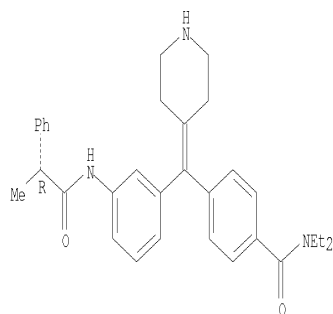
CM 1

CRN 692245-92-4

CMF C32 H37 N3 O2

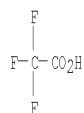
Absolute stereochemistry.

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



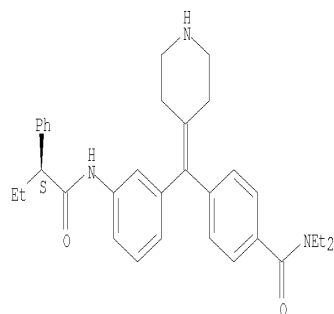
RN 692245-98-0 CAPLUS  
CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-α-ethyl-, (αS)-, trifluoroacetate (5:18) (9CI) (CA INDEX NAME)

CM 1

CRN 692245-96-8  
CMF C33 H39 N3 O2

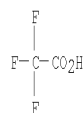
Absolute stereochemistry.

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



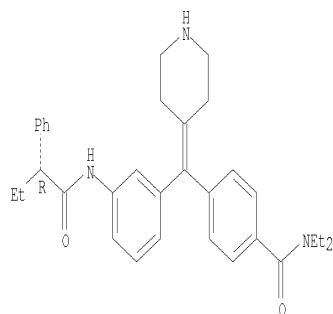
RN 692246-02-9 CAPLUS  
CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-α-ethyl-, (αR)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-00-7  
CMF C33 H39 N3 O2

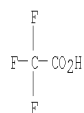
Absolute stereochemistry.

Print selected from 10541656.trn



CM 2

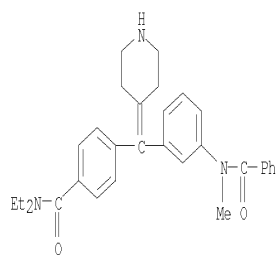
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-06-3 CAPLUS  
CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:13) (9CI) (CA INDEX NAME)

CM 1

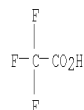
CRN 692246-04-1  
CMF C31 H35 N3 O2



Print selected from 10541656.trn

CM 2

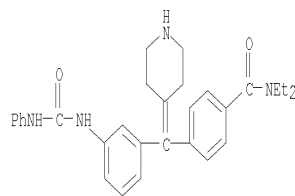
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-10-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(phenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

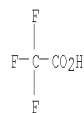
CM 1

CRN 692246-08-5  
CMF C30 H34 N4 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-14-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(phenylmethyl)amino]carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

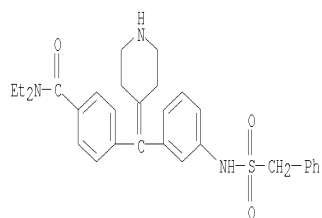


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CM 1

CRN 692246-24-5

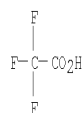
CMF C30 H35 N3 O3 S



CM 2

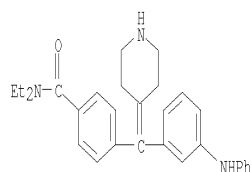
CRN 76-05-1

CMF C2 H F3 O2



RN 692246-30-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-(phenylamino)phenyl]-4-piperidinylidenemethyl]-, hydrochloride (5:6) (CA INDEX NAME)



●6/5 HCl

RN 692246-34-7 CAPLUS

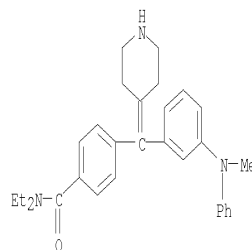
CN Benzamide, N,N-diethyl-4-[[3-(methylphenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:13) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

CM 1

CRN 692246-32-5

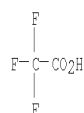
CMF C30 H35 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692246-38-1 CAPLUS

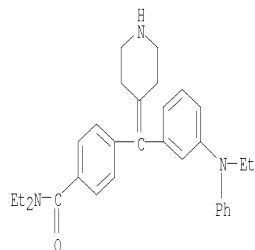
CN Benzamide, N,N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-36-9

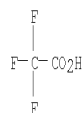
CMF C31 H37 N3 O

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

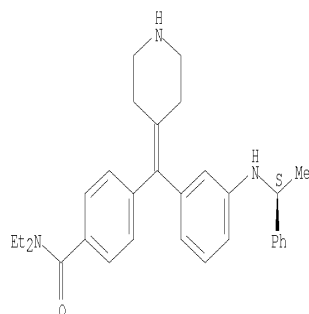


RN 692246-46-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(1S)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-44-9  
CMF C31 H37 N3 O

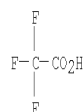
Absolute stereochemistry.



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CM 2

CRN 76-05-1  
CMF C2 H F3 O2

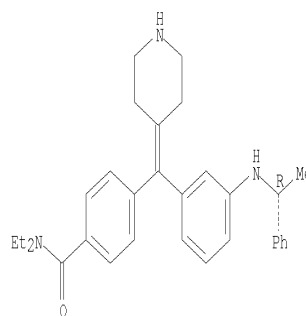


RN 692246-50-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:37) (9CI) (CA INDEX NAME)

CM 1

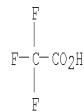
CRN 692246-48-3  
CMF C31 H37 N3 O

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2





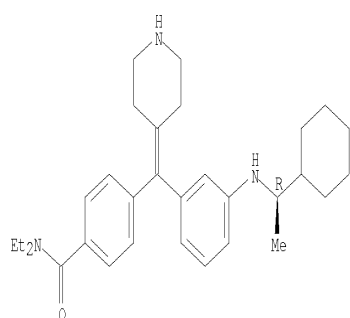
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RN 692246-54-1 CAPLUS  
CN Benzamide, 4-[[3-[[[(1R)-1-cyclohexylethyl]amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl-, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

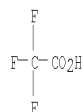
CRN 692246-52-9  
CMF C31 H43 N3 O

Absolute stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



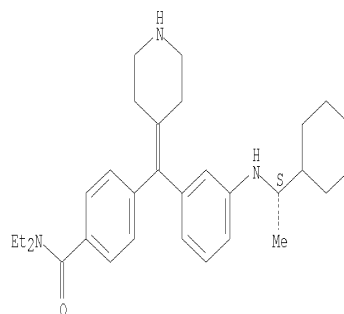
RN 692246-58-5 CAPLUS  
CN Benzamide, 4-[[3-[[[(1S)-1-cyclohexylethyl]amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl-, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-56-3  
CMF C31 H43 N3 O

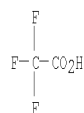
Absolute stereochemistry.

Print selected from 10541656.trn



CM 2

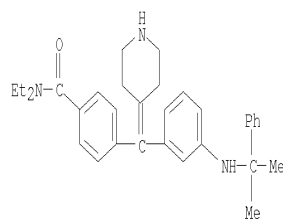
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-62-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(1-methyl-1-phenylethyl)amino]phenyl]-4-piperidinyldenemethyl]-, trifluoroacetate (10:29) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-60-9  
CMF C32 H39 N3 O

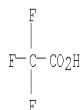


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CM 2

CRN 76-05-1

CMF C2 H F3 O2



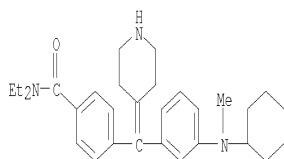
RN 692246-66-5 CAPLUS

CN Benzamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl-, trifluoroacetate (5:18) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-64-3

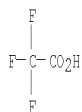
CMF C30 H41 N3 O



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 692246-70-1 CAPLUS

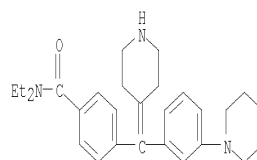
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-piperidinyl)phenyl]methyl]-, trifluoroacetate (10:29) (9CI) (CA INDEX NAME)

CM 1

Print selected from 10541656.trn

CRN 692246-68-7

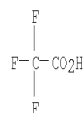
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



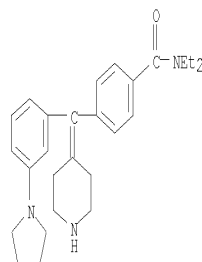
RN 692246-74-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(1-pyrrolidinyl)phenyl]methyl]-, trifluoroacetate (5:13) (9CI) (CA INDEX NAME)

CM 1

CRN 692246-72-3

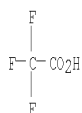
CMF C27 H35 N3 O



CM 2

Print selected from 10541656.trn

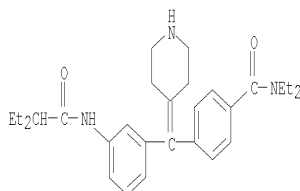
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-78-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

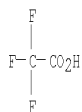
CM 1

CRN 692246-76-7  
CMF C29 H39 N3 O2



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

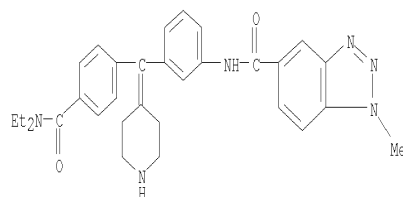


RN 692246-82-5 CAPLUS  
CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

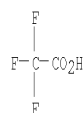
Print selected from 10541656.trn

CRN 692246-80-3  
CMF C31 H34 N6 O2



CM 2

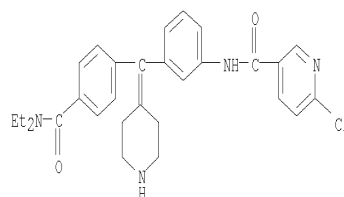
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-87-0 CAPLUS  
CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

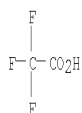
CRN 692246-85-8  
CMF C29 H31 Cl N4 O2



CM 2

Print selected from 10541656.trn

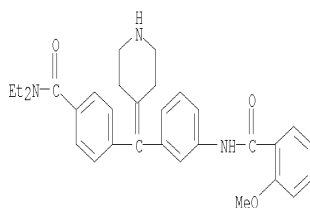
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-91-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[(2-methoxybenzoyl)amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

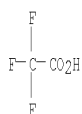
CM 1

CRN 692246-89-2  
CMF C31 H35 N3 O3



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

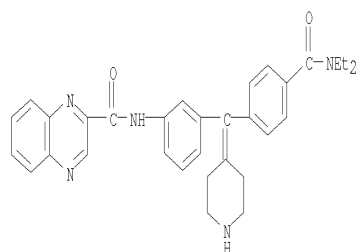


RN 692246-95-0 CAPLUS  
CN 2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

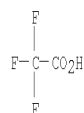
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CRN 692246-93-8  
CMF C32 H33 N5 O2



CM 2

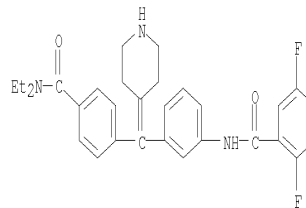
CRN 76-05-1  
CMF C2 H F3 O2



RN 692246-99-4 CAPLUS  
CN Benzamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-2,5-difluoro-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

CM 1

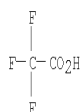
CRN 692246-97-2  
CMF C30 H31 F2 N3 O2



Print selected from 10541656.trn

CM 2

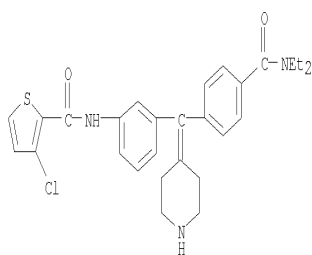
CRN 76-05-1  
CMF C2 H F3 O2



RN 692247-03-3 CAPLUS  
CN 2-Thiophenecarboxamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, trifluoroacetate (10:11) (9CI) (CA INDEX NAME)

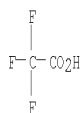
CM 1

CRN 692247-01-1  
CMF C28 H30 Cl N3 O2 S



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

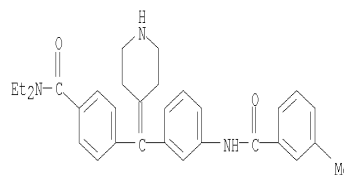


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RN 692247-07-7 CAPLUS  
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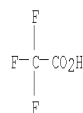
CM 1

CRN 692247-05-5  
CMF C31 H35 N3 O2



CM 2

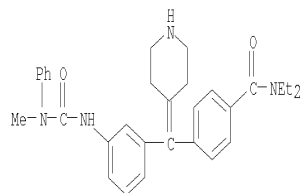
CRN 76-05-1  
CMF C2 H F3 O2



RN 692247-11-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]-, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

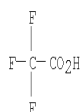
CRN 692247-09-9  
CMF C31 H36 N4 O2



Print selected from 10541656.trn

CM 2

CRN 76-05-1  
CME C2 H F3 O2



IT 692244-99-8P, 4-[[3-(Benzylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-01-5P, N,N-Diethyl-4-[[3-[(3-furylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-03-7P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[thien-3-yl)methyl]amino]phenyl]methyl]benzamide 692245-05-9P, N,N-Diethyl-4-[[3-[(2-phenylethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-07-1P, 4-[[3-[(4-Chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-11-7P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[3-(trifluoromethyl)benzyl]amino]phenyl]methyl]benzamide 692245-15-1P, 4-[[3-[(2-Chlorobenzyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-19-5P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[4-(trifluoromethyl)benzyl]amino]phenyl]methyl]benzamide 692245-23-1P, N,N-Diethyl-4-[[3-[(2-furylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-27-5P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-[[thien-2-yl)methyl]amino]phenyl]methyl]benzamide 692245-31-1P, 4-[[3-[(Cyclohexylmethyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-35-5P, N,N-Diethyl-4-[(piperidin-4-ylidene)[3-(propylamino)phenyl]methyl]benzamide 692245-39-9P, 4-[[3-(Cyclohexylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-43-5P, 4-[[3-(Cyclopentylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-47-9P, 4-[[3-(Cycloheptylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-51-5P, 4-[[3-[[3-(Cyclopentyl(methyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-55-9P, 4-[[3-(Benzoylamino)phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-59-3P, N,N-Diethyl-4-[[3-[(phenylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-63-9P, 4-[[3-[(Cyclohexylcarbonyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-67-3P, 4-[[3-[[3-(Cyclohexylacetyl)amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-71-9P, 4-[[3-[[3-(2-Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-75-3P, 4-[[3-[[3-(3-Chlorophenyl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]-N,N-diethylbenzamide 692245-79-7P, N,N-Diethyl-4-[[3-[[3-(5-methylthien-2-yl)acetyl]amino]phenyl](piperidin-4-ylidene)methyl]benzamide 692245-84-4P, 4-[[3-[[3-(5-Chlorothien-2-

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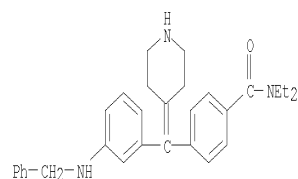
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

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(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
( $\delta$  receptor agonist; preparation of (phenylpiperidinyldenemethyl)benz amides as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

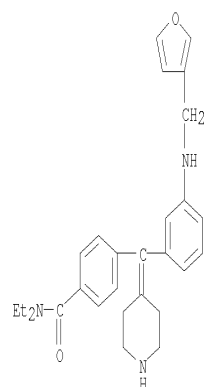
RN 692244-99-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(phenylmethyl)amino]phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



RN 692245-01-5 CAPLUS

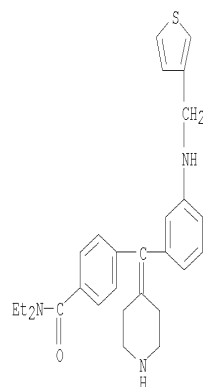
CN Benzamide, N,N-diethyl-4-[[3-[(3-furanylmethyl)amino]phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



RN 692245-03-7 CAPLUS

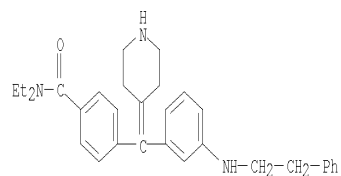
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[3-[(3-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)

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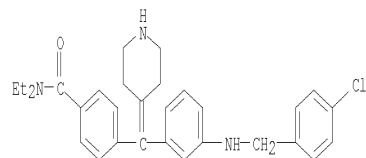
RN 692245-05-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(2-phenylethyl)amino]phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)



RN 692245-07-1 CAPLUS

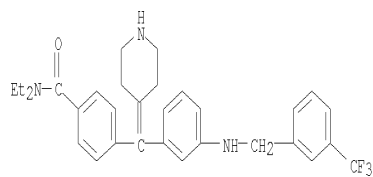
CN Benzamide, 4-[[3-[[[4-(4-chlorophenyl)methyl]amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl]- (CA INDEX NAME)



RN 692245-11-7 CAPLUS

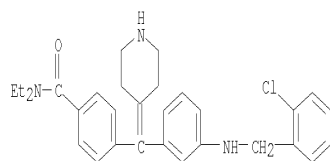
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[3-[[[3-(trifluoromethyl)phenyl]methyl]amino]phenyl]methyl]- (CA INDEX NAME)

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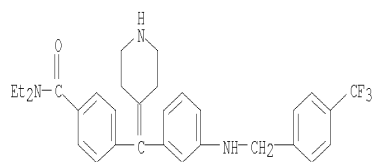
RN 692245-15-1 CAPLUS

CN Benamide, 4-[[3-[[[(2-chlorophenyl)methyl]amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl]- (CA INDEX NAME)



RN 692245-19-5 CAPLUS

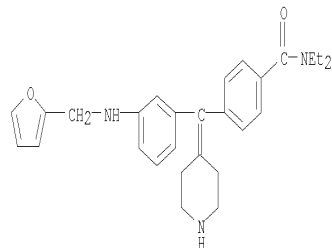
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RN 692245-23-1 CAPLUS

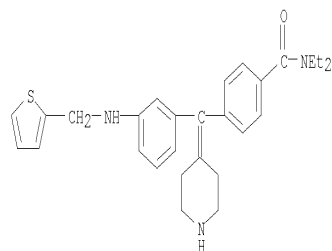
CN Benamide, N,N-diethyl-4-[3-[(2-furanylmethyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



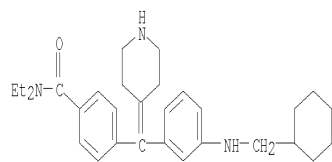
RN 692245-27-5 CAPLUS

CN Benamide, N,N-diethyl-4-[4-piperidinylidene[3-[(2-thienylmethyl)amino]phenyl]methyl]- (CA INDEX NAME)



RN 692245-31-1 CAPLUS

CN Benamide, 4-[[3-[(cyclohexylmethyl)amino]phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

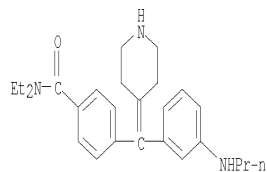


RN 692245-35-5 CAPLUS

CN Benamide, N,N-diethyl-4-[4-piperidinylidene[3-(propylamino)phenyl]methyl]- (CA INDEX NAME)

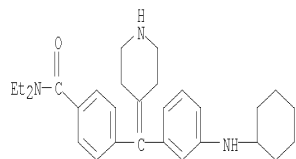


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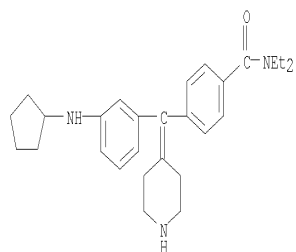
RN 692245-39-9 CAPLUS

CN Benamide, 4-[[3-(cyclohexylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 692245-43-5 CAPLUS

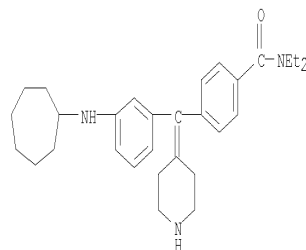
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RN 692245-47-9 CAPLUS

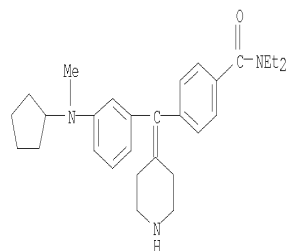
CN Benamide, 4-[[3-(cycloheptylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

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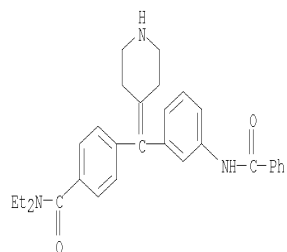
RN 692245-51-5 CAPLUS

CN Benamide, 4-[[3-(cyclopentylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 692245-55-9 CAPLUS

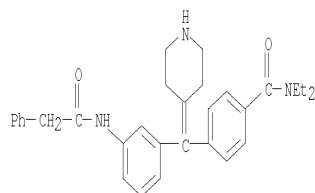
CN Benamide, 4-[[3-(benzoylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



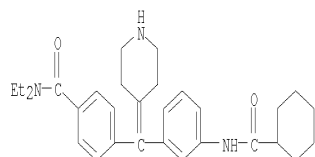
RN 692245-59-3 CAPLUS

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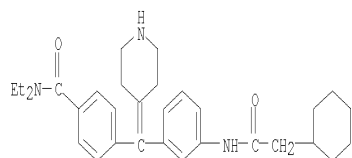
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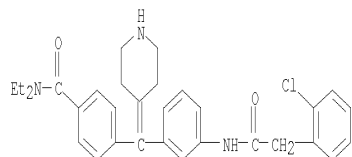
RN 692245-63-9 CAPLUS  
CN Benzamide, 4-[[3-[(cyclohexylcarbonyl)amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 692245-67-3 CAPLUS  
CN Benzamide, 4-[[3-[(cyclohexylacetyl)amino]phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

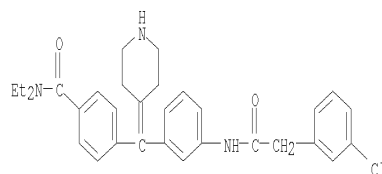


RN 692245-71-9 CAPLUS  
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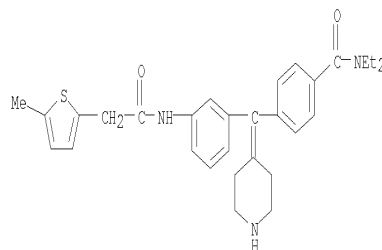


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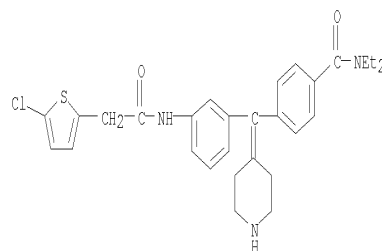
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CN Benzeneacetamide, 3-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (CA INDEX NAME)



RN 692245-79-7 CAPLUS  
CN 2-Thiopheneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]-5-methyl- (CA INDEX NAME)



RN 692245-84-4 CAPLUS  
CN 2-Thiopheneacetamide, 5-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinyldenemethyl]phenyl]- (CA INDEX NAME)

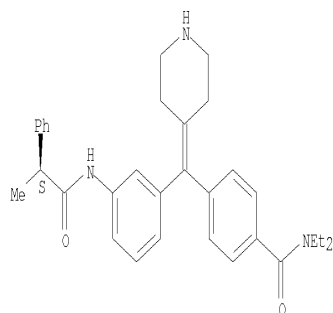


RN 692245-88-8 CAPLUS

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CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, (*aS*)- (CA INDEX NAME)

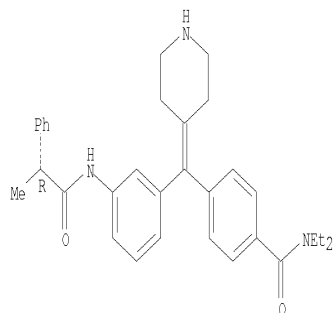
Absolute stereochemistry.



RN 692245-92-4 CAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -methyl-, (*aR*)- (CA INDEX NAME)

Absolute stereochemistry.

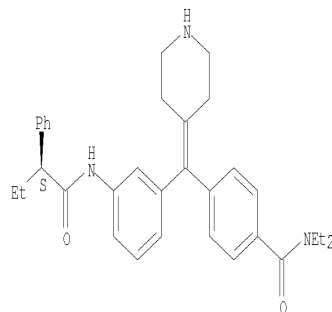


RN 692245-96-8 CAPLUS

CN Benzeneacetamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- $\alpha$ -ethyl-, (*aS*)- (CA INDEX NAME)

Absolute stereochemistry.

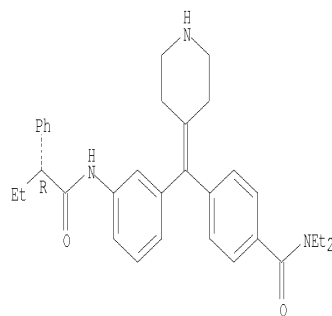
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RN 692246-00-7 CAPLUS

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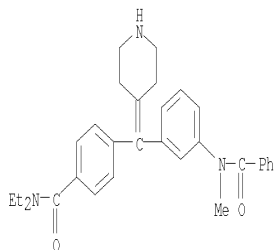
Absolute stereochemistry.



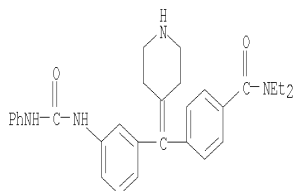
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CN Benzamide, 4-[[3-(benzoylmethylamino)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

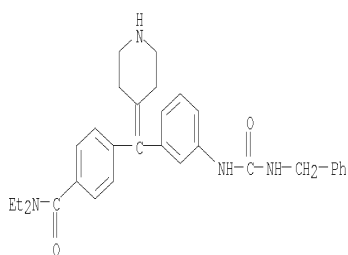
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RN 692246-08-5 CAPLUS  
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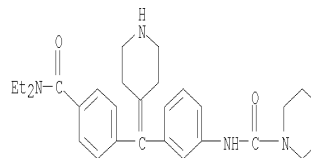


RN 692246-12-1 CAPLUS  
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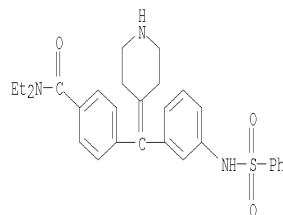


RN 692246-16-5 CAPLUS  
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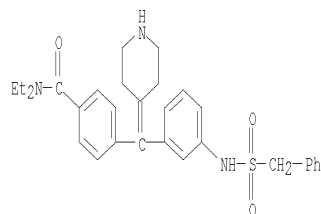
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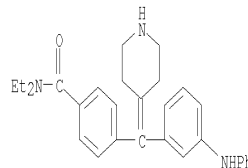
RN 692246-20-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(phenylsulfonyl)amino]phenyl]-4-piperidinylidenemethyl]-1-piperidinylidenemethyl]- (CA INDEX NAME)



RN 692246-24-5 CAPLUS  
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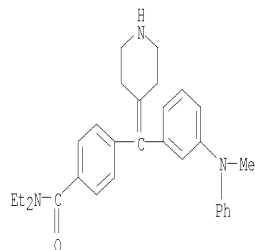


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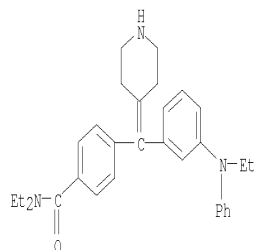


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RN 692246-32-5 CAPLUS  
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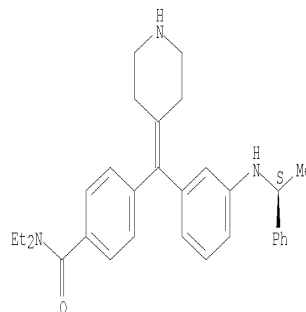
RN 692246-36-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-(ethylphenylamino)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 692246-44-9 CAPLUS  
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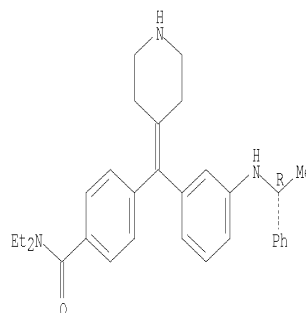
Absolute stereochemistry.

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RN 692246-48-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[3-[[[(1R)-1-phenylethyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)

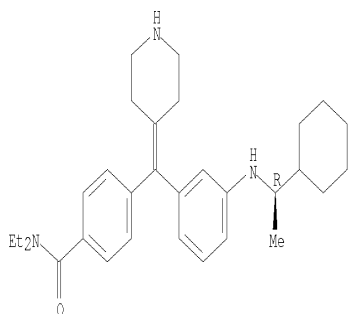
Absolute stereochemistry.



RN 692246-52-9 CAPLUS  
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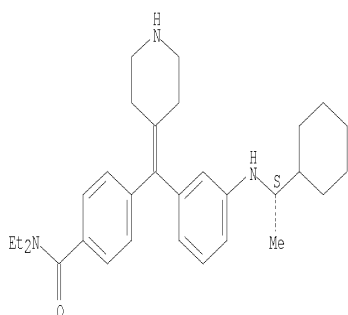
Absolute stereochemistry.

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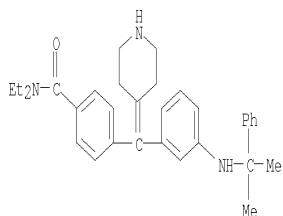


RN 692246-56-3 CAPLUS  
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Absolute stereochemistry.

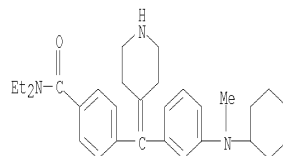


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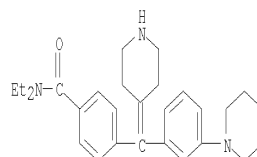


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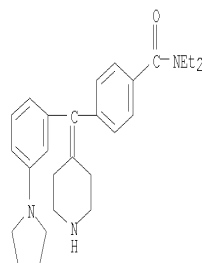
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CN Benamide, 4-[[3-(cyclohexylmethylamino)phenyl]-4-piperidinyldenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 692246-68-7 CAPLUS  
CN Benamide, N,N-diethyl-4-[[4-piperidinyldene[3-(1-piperidinyl)phenyl]methyl]- (CA INDEX NAME)



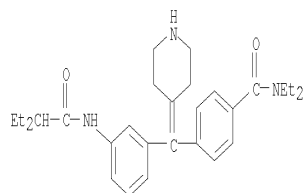
RN 692246-72-3 CAPLUS  
CN Benamide, N,N-diethyl-4-[[4-piperidinyldene[3-(1-pyrrolidinyl)phenyl]methyl]- (CA INDEX NAME)



RN 692246-76-7 CAPLUS  
CN Benamide, N,N-diethyl-4-[[3-[(2-ethyl-1-oxobutyl)amino]phenyl]-4-piperidinyldenemethyl]- (CA INDEX NAME)

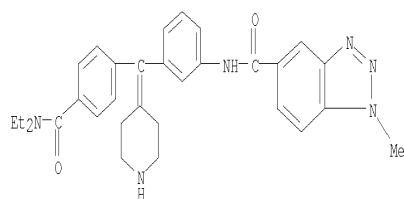


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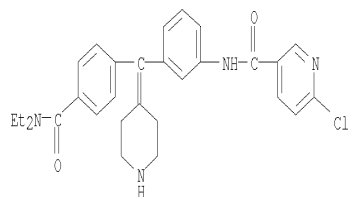
RN 692246-80-3 CAPLUS

CN 1H-Benzotriazole-5-carboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-1-methyl- (CA INDEX NAME)



RN 692246-85-8 CAPLUS

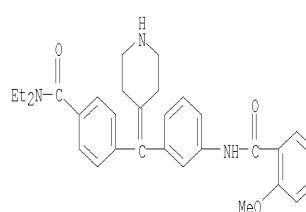
CN 3-Pyridinecarboxamide, 6-chloro-N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)



RN 692246-89-2 CAPLUS

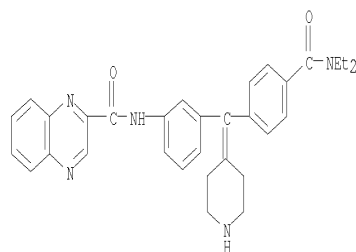
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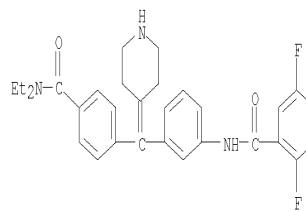
RN 692246-93-8 CAPLUS

CN 2-Quinoxalinecarboxamide, N-[3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]- (CA INDEX NAME)



RN 692246-97-2 CAPLUS

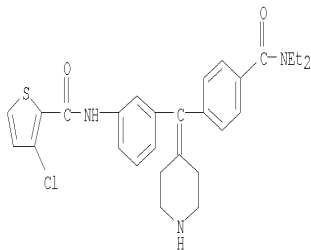
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RN 692247-01-1 CAPLUS

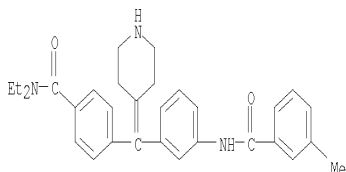
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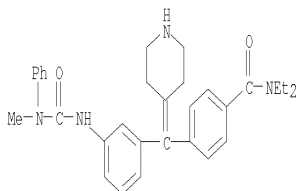
RN 692247-05-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[(3-methylbenzoyl)amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 692247-09-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[[3-[[[(methylphenylamino)carbonyl]amino]phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



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2004:303272 Document No. 141:64378 N-Alkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides,  $\mu$  and  $\delta$  opioid agonists: a  $\mu$  address. Carson, John R.; Coats, Steven J.; Codd, Ellen E.; Dax, Scott L.; Lee, Jung; Martinez, Rebecca P.; McKown, Linda A.; Neilson, Lou Anne; Pitlis, Philip M.; Wu, Wu-Nan; Zhang, Sui-Po (Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, LLC, Spring House, PA, 19477-0776, USA). Bioorganic & Medicinal Chemistry Letters, 14(9), 2113-2116 (English) 2004. CODEN: BMCLE8. ISSN: 0960-894X. Publisher:

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Elsevier Science B.V..

AB The tertiary amide  $\delta$  opioid agonist is a potent antinociceptive agent. The  $\delta$  selective opioid agonist was metabolized in vitro and in vivo to secondary amide, a potent and selective  $\mu$  opioid agonist. The structure-activity relationship of a series of N-alkyl-4-[(8-azabicyclo[3.2.1]-oct-3-ylidene)phenylmethyl]benzamides was examined

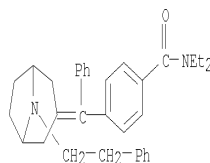
IT 359862-85-4

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationship studies of substituted benzamides as  $\mu$  and  $\delta$  opioid receptor agonists)

RN 359862-85-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



IT 359862-90-1 359862-91-2 359862-99-0

359863-00-6 359863-02-8 359863-03-9

359863-05-1 359863-06-2 359863-08-4

359863-13-1 359863-14-2 359863-15-3

359863-29-9 710983-36-1 710983-47-4

710983-51-0 710983-71-4 710983-75-8

710983-79-2 710983-83-8 710983-87-2

710983-91-8 710983-94-1 710983-98-5

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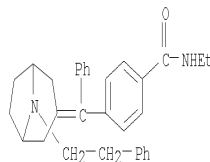
710984-60-4 710984-64-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationship studies of substituted benzamides as  $\mu$  and  $\delta$  opioid receptor agonists)

RN 359862-90-1 CAPLUS

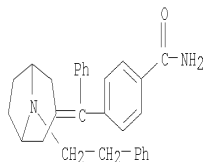
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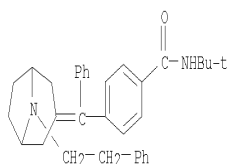


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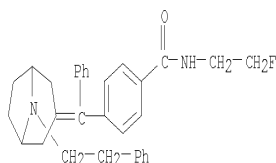
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CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359862-99-0 CAPLUS  
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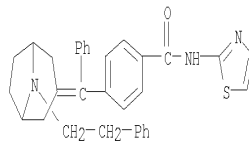


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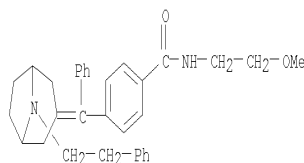


RN 359863-02-8 CAPLUS  
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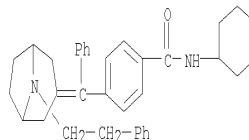
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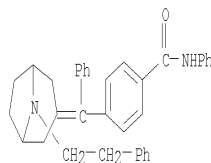
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CN Benzamide, N-(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-05-1 CAPLUS  
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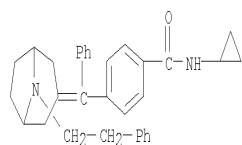


RN 359863-06-2 CAPLUS  
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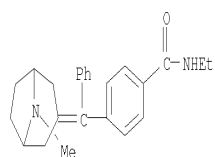
RN 359863-08-4 CAPLUS  
CN Benzamide, N-cyclopropyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

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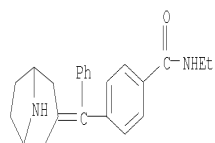
RN 359863-13-1 CAPLUS

CN Benzamide, N-ethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]- (CA INDEX NAME)



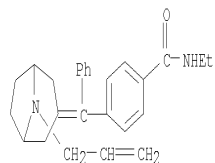
RN 359863-14-2 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N-ethyl- (CA INDEX NAME)



RN 359863-15-3 CAPLUS

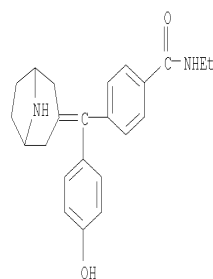
CN Benzamide, N-ethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 359863-29-9 CAPLUS

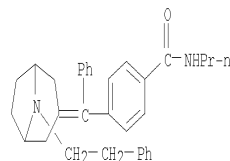
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CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)



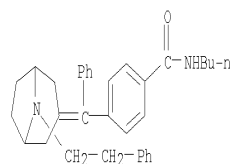
RN 710983-36-1 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-propyl- (CA INDEX NAME)



RN 710983-47-4 CAPLUS

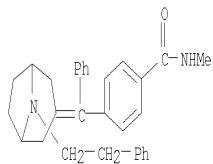
CN Benzamide, N-butyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



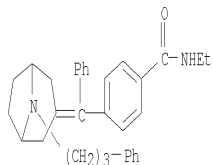
RN 710983-51-0 CAPLUS

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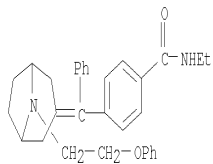
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RN 710983-71-4 CAPLUS  
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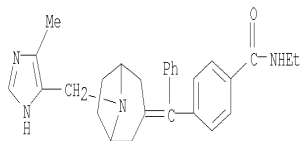
RN 710983-75-8 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(2-phenoxyethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 710983-79-2 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(2-(1H-indol-3-yl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

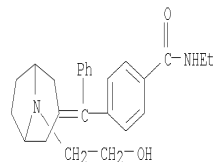
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 710983-83-8 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-[(5-methyl-1H-imidazol-4-yl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (9CI) (CA INDEX NAME)

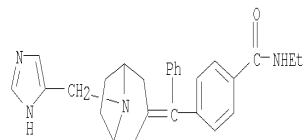


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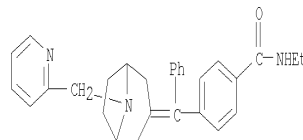
RN 710983-87-2 CAPLUS  
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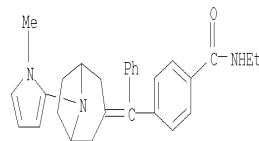
RN 710983-91-8 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(1H-imidazol-4-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (9CI) (CA INDEX NAME)



RN 710983-94-1 CAPLUS  
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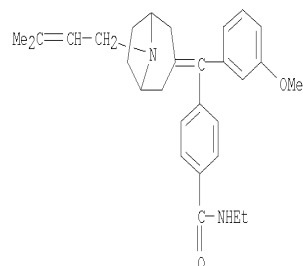


RN 710983-98-5 CAPLUS  
CN Benzamide, N-ethyl-4-[[8-(1-methyl-1H-pyrrol-2-yl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

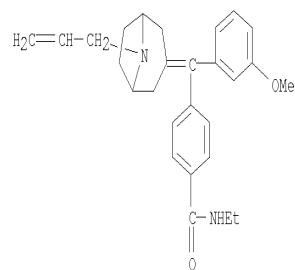


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RN 710984-04-6 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)

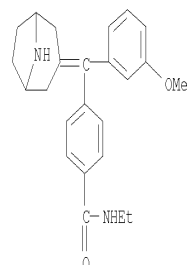


RN 710984-08-0 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)

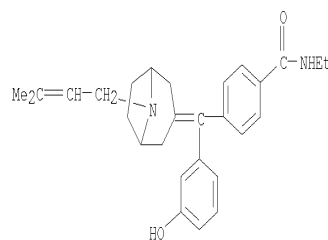


RN 710984-11-5 CAPLUS  
CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(3-methoxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)

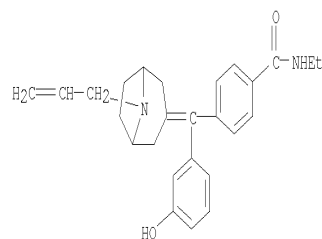
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RN 710984-14-8 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-hydroxyphenyl)[8-(3-methyl-2-butenyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)

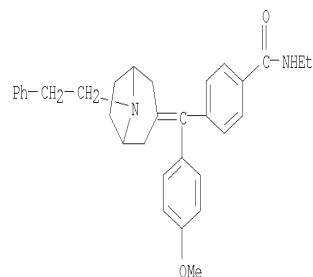


RN 710984-17-1 CAPLUS  
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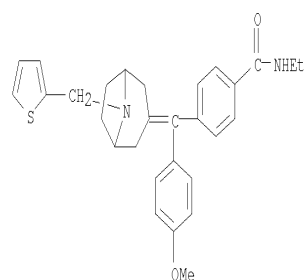
RN 710984-20-6 CAPLUS  
CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



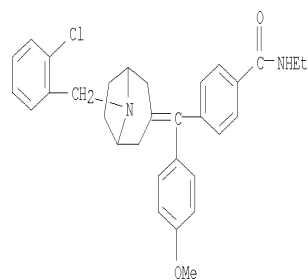
RN 710984-24-0 CAPLUS

CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)[8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 710984-28-4 CAPLUS

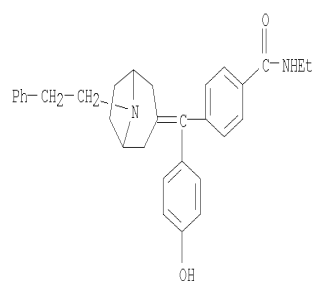
CN Benzamide, 4-[[8-[(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](4-methoxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)



RN 710984-32-0 CAPLUS

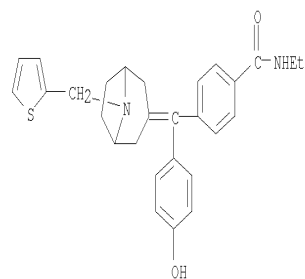
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CN Benzamide, N-ethyl-4-[(4-hydroxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



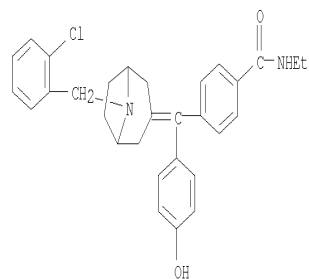
RN 710984-36-4 CAPLUS

CN Benzamide, N-ethyl-4-[(4-hydroxyphenyl)[8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



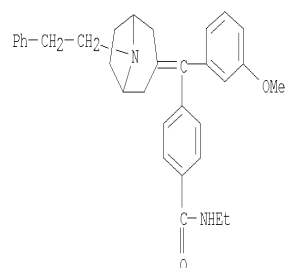
RN 710984-40-0 CAPLUS

CN Benzamide, 4-[[8-[(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](4-hydroxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)

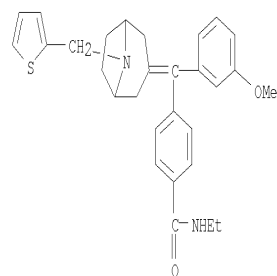


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RN 710984-44-4 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



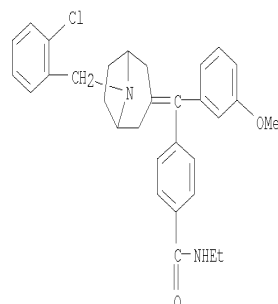
RN 710984-48-8 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-methoxyphenyl)[8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



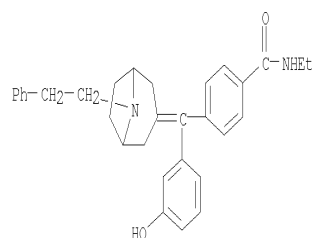
RN 710984-52-4 CAPLUS  
CN Benzamide, 4-[[8-[(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-methoxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)



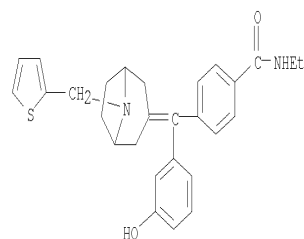
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RN 710984-56-8 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-hydroxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

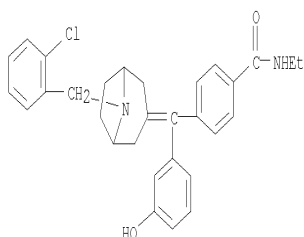


RN 710984-60-4 CAPLUS  
CN Benzamide, N-ethyl-4-[(3-hydroxyphenyl)[8-(2-thienylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 710984-64-8 CAPLUS  
CN Benzamide, 4-[[8-[(2-chlorophenyl)methyl]-8-azabicyclo[3.2.1]oct-3-ylidene](3-hydroxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)

Print selected from 10541656.trn



L11 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:303271 Document No. 141:54509 N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamides, potent, selective  $\delta$  opioid agonists. Carson, John R.; Coats, Steven J.; Codd, Ellen E.; Dax, Scott L.; Lee, Jung; Martinez, Rebecca P.; Neilson, Lou Anne; Pitis, Philip M.; Zhang, Sui-Po (Drug Discovery, Johnson and Johnson Pharmaceutical Research and Development, LLC, Spring House, PA, 19477-0776, USA). Bioorganic & Medicinal Chemistry Letters, 14(9), 2109-2112 (English) 2004. CODEN: BMCLE8. ISSN: 0960-894X. OTHER SOURCES: CASREACT 141:54509. Publisher: Elsevier Science B.V..

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

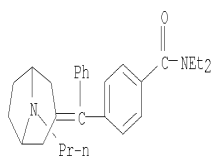
AB A series of N,N-dialkyl-4-(9-aryltropanylidene)methylbenzamides, e.g. I, was prepared. The lead compds., II (R = H) and II (R = allyl), exhibited extremely high affinity for the  $\delta$  opioid receptor with excellent selectivity vs. the  $\mu$  opioid receptor. They were full agonists at the  $\delta$  opioid receptor, as assessed by stimulation of GTPyS binding, and displayed antinociceptive activity.

IT 359862-84-3

RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
(N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamides as potent and selective  $\delta$  opioid agonists)

RN 359862-84-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(8-propyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

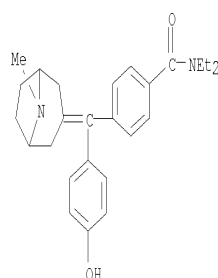
IT 705279-40-9P 705932-52-1P 705932-53-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamides as potent and selective  $\delta$  opioid agonists)

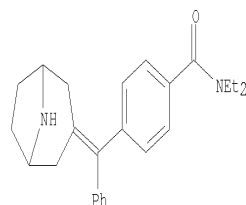
RN 705279-40-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-hydroxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 705932-52-1 CAPLUS

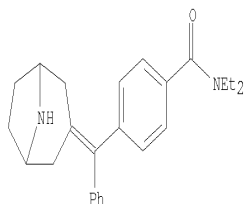
CN Benzamide, 4-[(1R,3Z,5S)-8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 705932-53-2 CAPLUS

CN Benzamide, 4-[(1R,3E,5S)-8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



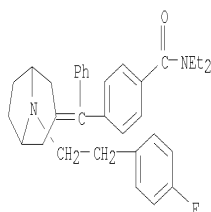
IT 359862-73-0P 359862-74-1P 359862-75-2P  
 359862-76-3P 359862-77-4P 359862-79-6P  
 359862-86-5P 359862-87-6P 359862-92-3P  
 359862-93-4P 359862-94-5P 359862-95-6P  
 359862-97-8P 359862-98-9P 359863-10-8P  
 359863-30-2P 359863-31-3P 705279-36-3P  
 705279-39-6P 705279-42-1P 705279-43-2P  
 705932-54-3P 705932-55-4P 705932-56-5P  
 705932-57-6P 705932-58-7P 705932-59-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(N,N-Dialkyl-4-[(8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamides as potent and selective  $\delta$  opioid agonists)

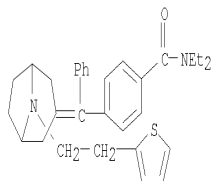
RN 359862-73-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-(4-fluorophenyl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-74-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-(2-thienyl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



Print selected from 10541656.trn

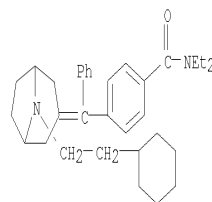
RN 359862-75-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-(1H-indol-3-yl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

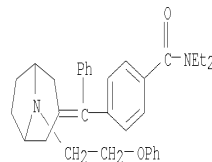
RN 359862-76-3 CAPLUS

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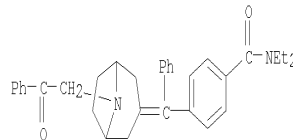
RN 359862-77-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-phenoxyethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-79-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

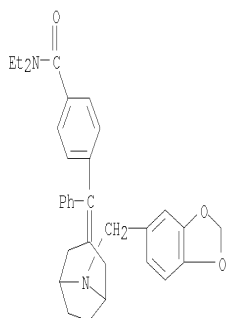


RN 359862-86-5 CAPLUS

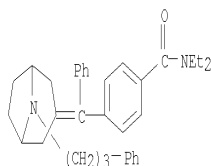
CN Benzamide, 4-[[8-(1,3-benzodioxol-5-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



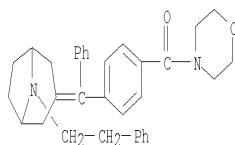
Print selected from 10541656.trn



RN 359862-87-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[8-(3-phenylpropyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

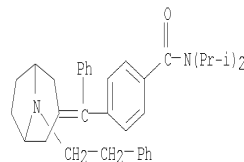


RN 359862-92-3 CAPLUS  
CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)

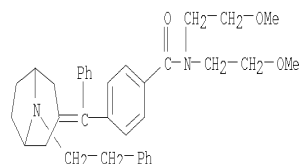


RN 359862-93-4 CAPLUS  
CN Benzamide, N,N-bis(1-methylethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

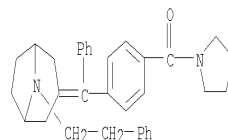
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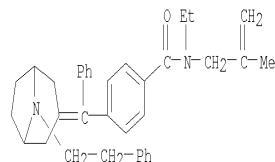
RN 359862-94-5 CAPLUS  
CN Benzamide, N,N-bis(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359862-95-6 CAPLUS  
CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



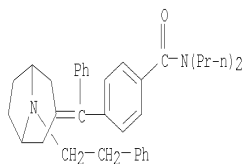
RN 359862-97-8 CAPLUS  
CN Benzamide, N-ethyl-N-(2-methyl-2-propenyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 359862-98-9 CAPLUS  
CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-

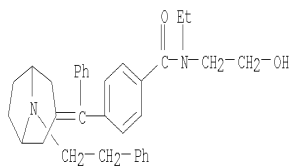
Print selected from 10541656.trn

ylidene)methyl]-N,N-dipropyl- (CA INDEX NAME)



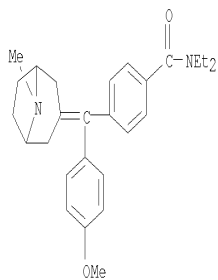
RN 359863-10-8 CAPLUS

CN Benzamide, N-ethyl-N-(2-hydroxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-30-2 CAPLUS

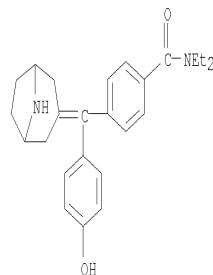
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-31-3 CAPLUS

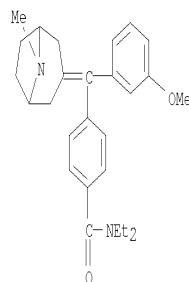
CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



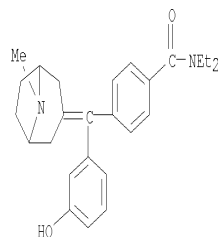
RN 705279-36-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 705279-39-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



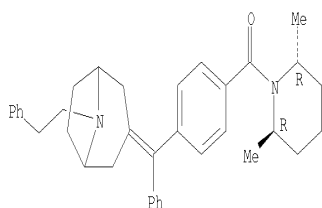
RN 705279-42-1 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]benzoyl]-, (2R,6R)-rel- (9CI) (CA

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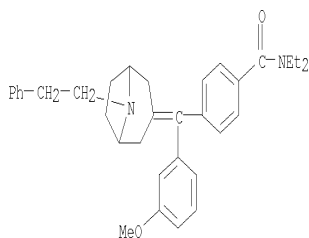
INDEX NAME)

Relative stereochemistry.



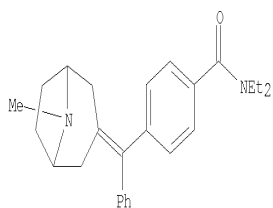
RN 705279-43-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 705932-54-3 CAPLUS

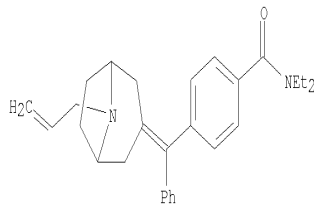
CN Benzamide, N,N-diethyl-4-[[[(1R,3Z,5S)-8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (9CI) (CA INDEX NAME)



RN 705932-55-4 CAPLUS

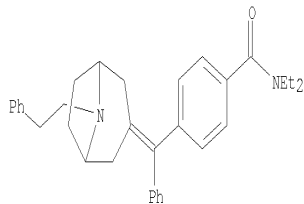
CN Benzamide, N,N-diethyl-4-[[phenyl[(1R,3Z,5S)-8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



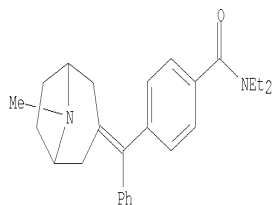
RN 705932-56-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[[phenyl[(1R,3Z,5S)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 705932-57-6 CAPLUS

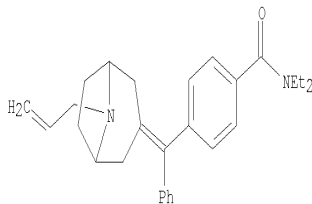
CN Benzamide, N,N-diethyl-4-[[[(1R,3E,5S)-8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (9CI) (CA INDEX NAME)



RN 705932-58-7 CAPLUS

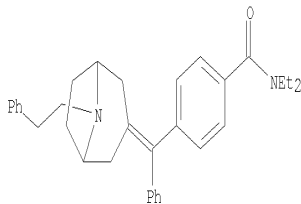
CN Benzamide, N,N-diethyl-4-[[phenyl[(1R,3E,5S)-8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 705932-59-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[(1R,3E,5S)-8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (9CI) (CA INDEX NAME)

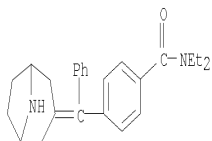


IT 359862-71-8

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); PROC (Process); RACT (Reactant or reagent) (N,N-Dialkyl-4-[[8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzamides as potent and selective  $\delta$  opioid agonists)

RN 359862-71-8 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephethylmethyl)-N,N-diethyl- (CA INDEX NAME)



L11 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2003:912953 Document No. 139:391370 Compositions and methods for combating lower urinary tract dysfunctions with delta opioid receptor agonists.

Chang, Kwen-Jen; Gengo, J. Peter; Biciunas, Kestutis P.; Ma, Xin; Pendergast, William; Jan, Shyi-Tai (Ardent Pharmaceuticals, Inc., USA). PCT Int. Appl. WO 2003094853 A2 20031120, 73 pp. DESIGNATED STATES: W:

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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-US14730 20030509. PRIORITY: US 2002-379186P 20020509; US 2003-434004 20030508.

AB Comps. and methods for treatment of a urinary tract dysfunction by administering to a subject in need of such treatment a pharmaceutical composition including a delta opioid receptor agonist in an amount effective to reduce the effects of the urinary tract dysfunction. The comps. may further include an addnl. active agent that is used to treat urinary tract dysfunctions, e.g., alpha-adrenergic agonists, anticholinergics, alpha-adrenergic antagonists and tricyclic antidepressants.

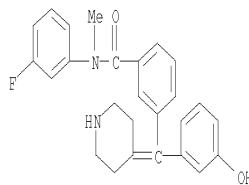
IT 625365-81-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(comps. and methods for combating lower urinary tract dysfunctions with delta opioid receptor agonists combined with other agents)

RN 625365-81-3 CAPLUS

CN Benzamide, N-(3-fluorophenyl)-3-[(3-hydroxyphenyl)-4-piperidinylidenemethyl]-N-methyl- (CA INDEX NAME)



IT 625365-90-4P 625365-91-5P

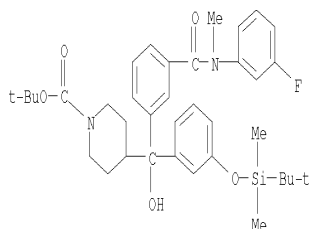
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(comps. and methods for combating lower urinary tract dysfunctions with delta opioid receptor agonists combined with other agents)

RN 625365-90-4 CAPLUS

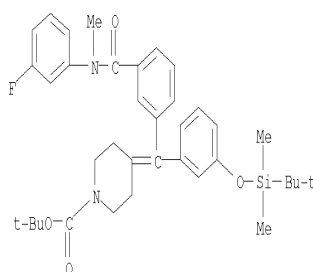
CN 1-Piperidinecarboxylic acid, 4-[[3-[[[1,1-dimethylethyl]dimethylsilyl]oxy]phenyl][3-[[[(3-fluorophenyl)methylamino]carbonyl]phenyl]hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Print selected from 10541656.trn



RN 625365-91-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3-[[[1,1-dimethylethyl]dimethylsilyl]oxy]phenyl][3-[[[3-fluorophenyl]methylamino]carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L11 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2003:567561 Document No. 140:122104 Pharmacological characterization of AR-M1000390 at human delta opioid receptors. Marie, Nicolas; Landemore, Gerard; Debout, Claire; Jauzac, Philippe; Allouche, Stephane (Laboratoire de Pharmacologie moleculaire de la tolerance aux opiaces, Universite de Caen, Caen, 14032, Fr.). Life Sciences, 73(13), 1691-1704 (English) 2003. CODEN: LIFSAK. ISSN: 0024-3205. Publisher: Elsevier Science Inc..

AB We investigated the pharmacol. properties of a newly synthesized  $\delta$  agonist AR-M1000390, derived from SNC-80 ((+)-4-[(alpha R)-alpha-((2S,5R)-4-allyl-2,5-dimethyl-1-piperazinyl)-3-methoxybenzyl]-N,N-diethyl-benzamide), in the neuroblastoma cell line SK-N-BE expressing only human  $\delta$ -opioid receptors. Binding and functional expts. showed a weak affinity ( $K_i = 106 \pm 34$  nM) correlated with a weak potency ( $EC_{50} = 111 \pm 31$  nM) to inhibit the forskolin-stimulated cAMP accumulation. Sustained activation of opioid receptors in the presence of the maximal inhibitory concentration of AR-M1000390 produced a rapid and strong desensitization. In order to examine the contribution of internalization and down-regulation in the desensitization processes, binding and functional expts. were conducted in the presence or in the absence of hypertonic sucrose solution to block clathrin-dependent opioid receptor endocytosis. We observed both the inability of AR-M1000390 to down-regulate

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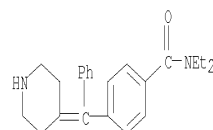
opioid receptors and the absence of any effect of sucrose on desensitization. The lack of  $\delta$ -opioid receptor internalization by AR-M1000390 was further corroborated by confocal microscopy using antibodies directed either against the endogenous  $\delta$ -opioid receptors or the FLAG-tagged  $\delta$ -opioid receptors stably expressed in the SK-N-BE cells. These data suggest that uncoupling rather than internalization is responsible for  $\delta$ -opioid receptors desensitization by AR-M1000390.

IT 209808-01-5, AR-M 1000390

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)  
(pharmacol. characterization of AR-M1000390 at human delta opioid receptors)

RN 209808-01-5 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylidenemethyl)- (CA INDEX NAME)

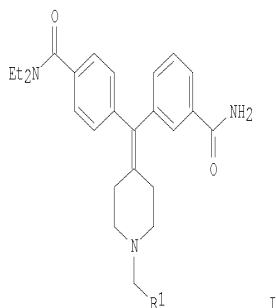


L11 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2003:282537 Document No. 138:304167 Preparation of 4-[(piperidin-4-ylidene)(3-carbamoylphenyl)methyl]benzamides for the treatment of pain, spinal injuries or gastrointestinal disorders. Brown, William; Walpole, Christopher; Wei, Zhongyong (Astrazeneca Ab, Swed.). PCT Int. Appl. WO 2003029215 A1 20030410, 30 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-SE1804 20021002. PRIORITY: SE 2001-3313 20011003.

GI

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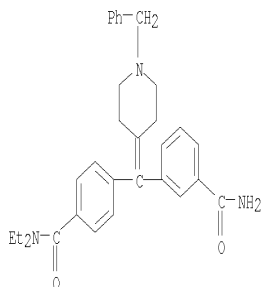


I

AB The title compds. [I; R1 = (un)substituted Ph, pyridinyl, thienyl, furanyl, imidazolyl, pyrrolyl and triazolyl], useful in therapy, in particular in the management of pain, were prepared E.g., a 4-step synthesis of ten compds. I [R1 = 2- and 3-thienyl, 2- and 3-furanyl, 2-, 3- and 4-pyridyl, 2-pyrrolyl, 4-imidazolyl, 2-thiazolyl], was given. The compds. I were tested in vitro in human 293S cells expressing cloned human  $\mu$ ,  $\delta$  and  $\kappa$  receptors, and in mouse and rat brains (biol. data given). Thus, compound I [R1 = 2-thienyl] showed IC50 of 0.373 nM against human  $\delta$  receptor binding.

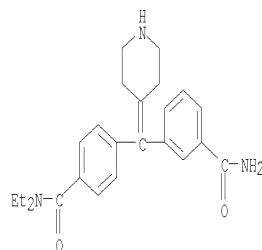
IT 508223-83-4P 508223-84-5P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of 4-[(piperidin-4-ylidene)(3-carbamoylphenyl)methyl]benzamides for the treatment of pain, spinal injuries or gastrointestinal disorders)

RN 508223-83-4 CAPLUS  
 CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



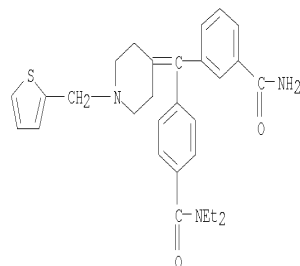
RN 508223-84-5 CAPLUS  
 CN Benzamide, 4-[[3-(aminocarbonyl)phenyl]-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



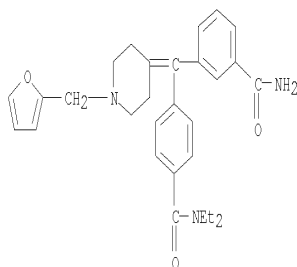
IT 508223-70-9P 508223-71-0P 508223-72-1P  
 508223-73-2P 508223-74-3P 508223-75-4P  
 508223-76-5P 508223-77-6P 508223-78-7P  
 508223-79-8P 508223-85-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 4-[(piperidin-4-ylidene)(3-carbamoylphenyl)methyl]benzamides for the treatment of pain, spinal injuries or gastrointestinal disorders)

RN 508223-70-9 CAPLUS  
 CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



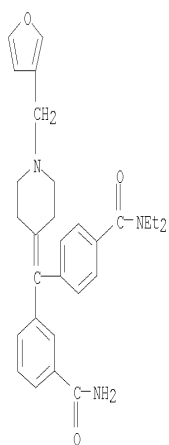
RN 508223-71-0 CAPLUS  
 CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

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RN 508223-72-1 CAPLUS

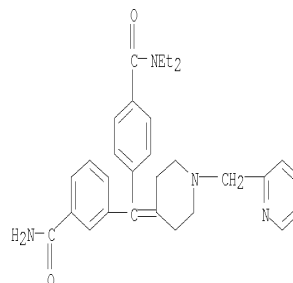
CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 508223-73-2 CAPLUS

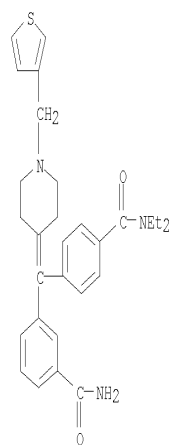
CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 508223-74-3 CAPLUS

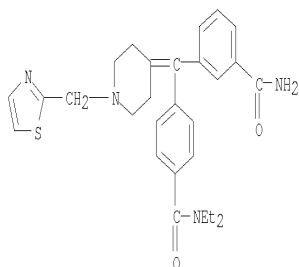
CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 508223-75-4 CAPLUS

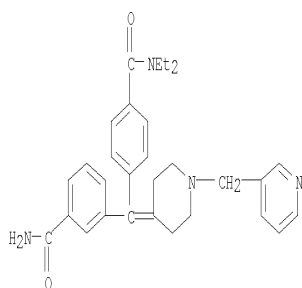
CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



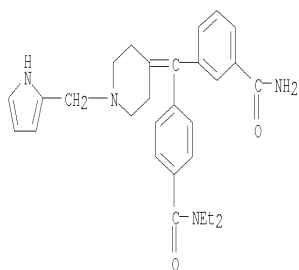
RN 508223-76-5 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 508223-77-6 CAPLUS

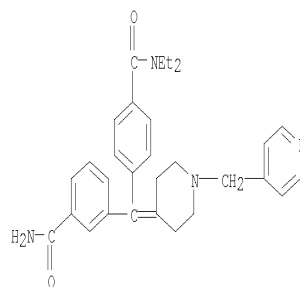
CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 508223-78-7 CAPLUS

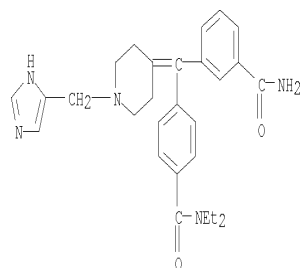
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CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 508223-79-8 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(1H-imidazol-4-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



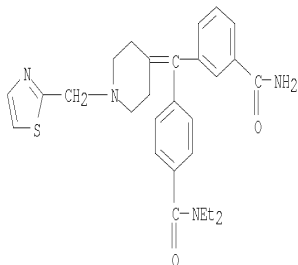
RN 508223-85-6 CAPLUS

CN Benzamide, 4-[[3-(aminocarbonyl)phenyl][1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl-, hydrochloride (2:5) (CA INDEX NAME)



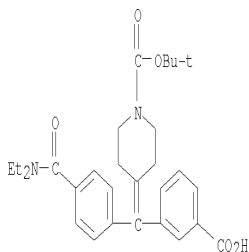


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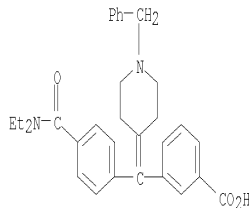
● 5/2 HCl

IT 508223-80-1P 508223-81-2P 508223-82-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of 4-[(piperidin-4-ylidene)(3-carbamoylphenyl)methyl]benzamides  
for the treatment of pain, spinal injuries or gastrointestinal  
disorders)  
RN 508223-80-1 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[3-(3-carboxyphenyl)[4-  
[(diethylamino)carbonyl]phenyl]methylene]-, 1-(1,1-dimethylethyl) ester  
(CA INDEX NAME)

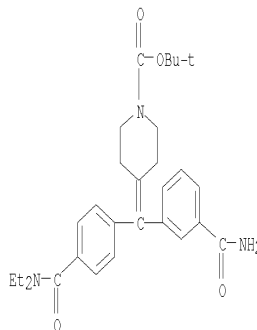


RN 508223-81-2 CAPLUS  
CN Benzoic acid, 3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-  
piperidinylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



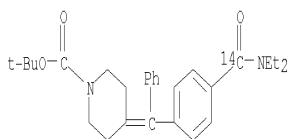
RN 508223-82-3 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[3-(aminocarbonyl)phenyl][4-  
[(diethylamino)carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA  
INDEX NAME)



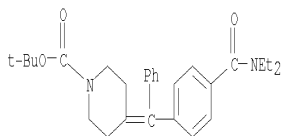
L11 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2003:190693 Document No. 139:101002 Synthesis of N, N-diethyl-4-[phenyl-  
(piperidin-4-ylidene)methyl]- [carboxy-14C]benzamide, a potent  $\delta$   
opioid receptor agonist. Gawell, Lars (Department of Chemistry,  
AstraZeneca R and D, Ville Saint-Laurent, QC, H4S 1Z9, Can.). Journal of  
Labelled Compounds & Radiopharmaceuticals, 46(2), 131-138 (English) 2003.  
CODEN: JLCRD4. ISSN: 0362-4803. OTHER SOURCES: CASREACT 139:101002.  
Publisher: John Wiley & Sons Ltd..  
AB The synthesis of carbon-14 labeled N,N-diethyl-4-[phenyl-(piperidin-4-  
ylidene)methyl]-benzamide is described. The radioisotope is introduced  
via an aryllithium reaction with  $^{14}\text{CO}_2$  to form the labeled acid, which is  
subsequently transformed into the amide.  
IT 557795-50-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(deprotection of; preparation of carbon 14-labeled  
diethylphenylpiperidinyldenemethylcarboxybenzamide via multi-step  
synthetic route)  
RN 557795-50-3 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]-

Print selected from 10541656.trn

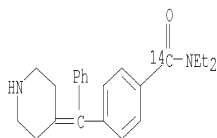
14C[phenyl]phenylmethylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 557795-48-9P 557795-51-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of carbon 14-labeled diethylphenylpiperidinyldenemethylcarboxy  
benzamide via multi-step synthetic route)  
RN 557795-48-9 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]phenylme  
thylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 557795-51-4 CAPLUS  
CN Benzamide-carbonyl-14C, N,N-diethyl-4-(phenyl-4-piperidinyldenemethyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L11 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2002:906200 Document No. 138:4523 Preparation of 4-(phenyl-piperidin-4-  
ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the  
treatment of pain, anxiety or gastrointestinal disorders. Wei, Zhongyong;  
Brown, William; Walpole, Christopher (Astrazeneca Ab, Swed.). PCT Int.  
Appl. WO 2002094812 A1 20021128, 35 pp. DESIGNATED STATES: W: AE, AG,

Print selected from 10541656.trn

AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ,  
DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,  
IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,  
MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE,  
DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN,  
TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-SE953  
20020516. PRIORITY: SE 2001-1765 20010518.

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

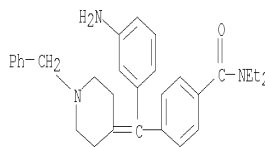
AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl,  
furanlyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in  
therapy, in particular in the management of pain, anxiety and functional  
gastrointestinal disorders, were prepared and formulated. Thus, treating  
the vinyl bromide II (5-step synthesis given) with TFA in CH2Cl2 followed  
by N-alkylation of the deprotected intermediate with PhCH2Br, and coupling  
of III with 3-aminophenylboronic acid afforded I [R1 = Ph]. The  
exemplified compds. I showed IC50 of 0.22-2.18 nM against  $\delta$  receptor  
binding.

IT 477185-74-3P 477185-75-4P 477185-76-5P  
477185-77-6P 477185-78-7P 477185-79-8P  
477185-80-1P 477185-81-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

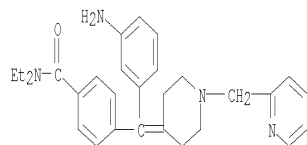
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$   
opioid receptor agonists for the treatment of pain, anxiety or  
gastrointestinal disorders)

RN 477185-74-3 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-  
N,N-diethyl- (CA INDEX NAME)



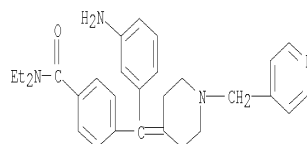
RN 477185-75-4 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(2-pyridinylmethyl)-4-  
piperidinyldene]methyl]-N,N-diethyl- (CA INDEX NAME)

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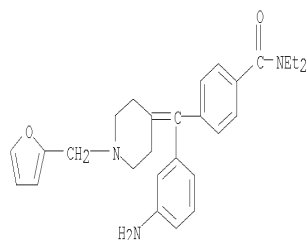
RN 477185-76-5 CAPLUS

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RN 477185-77-6 CAPLUS

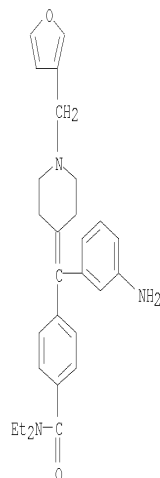
CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-78-7 CAPLUS

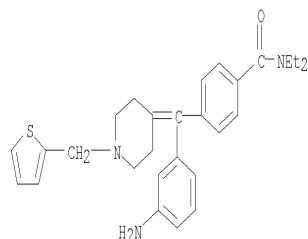
CN Benzamide, 4-[(3-aminophenyl)[1-(3-furanylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 477185-79-8 CAPLUS

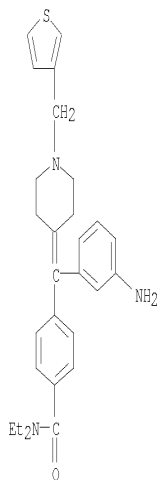
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



RN 477185-80-1 CAPLUS

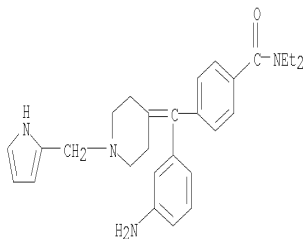
CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)

Print selected from 10541656.trn



RN 477185-81-2 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



IT 209807-69-2

RL: RCT (Reactant); RACT (Reactant or reagent)

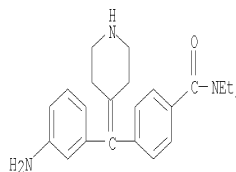
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 209807-69-2 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA  
INDEX NAME)

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L11 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2002:906197 Document No. 138:4533 Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders. Brown, William; Walpole, Christopher; Wei, Zhongyong (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2002/094810 A1 20021128, 38 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LI, LG, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RU, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, CG, CH, CN, DM, DU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: P1XXD2. APPLICATION: WO 2002-SE946 20020516. PRIORITY: SE 2001-1767 20010518.

GT

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl, and pyridyl N-oxide] as well as their salts, useful in therapy, in particular in the management of pain, anxiety, and functional gastrointestinal disorders, were prepared and formulated. Thus, reductive amination of the amine II (7-step synthesis given) with benzaldehyde in the presence of NaBH(OAc)3 in THF afforded 36% I.HCl [R1 = Ph]. The exemplified compds. I showed IC50 of 0.36-1.45 nM against human  $\delta$  receptor binding.

IT 477192-39-5P 477192-40-8P 477192-41-9P

477192-42-0P 477192-43-1P 477192-44-2P

477192-45-3P 477192-46-4P 477192-47-5P

477192-48-6P 477192-49-7P 477192-50-0P

477192-54-4P

RL: PAC (Pha

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)

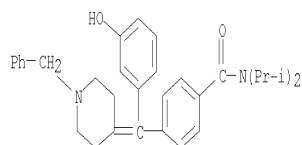
RN 477192-39-5 CAPLUS

CN Benzamide, 4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)-, hydrochloride (5:9) (CA

Page 424

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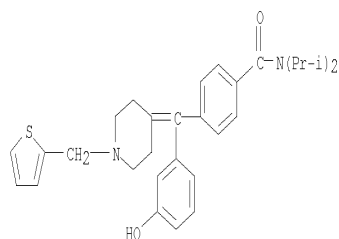
INDEX NAME)



●9/5 HCl

RN 477192-40-8 CAPLUS

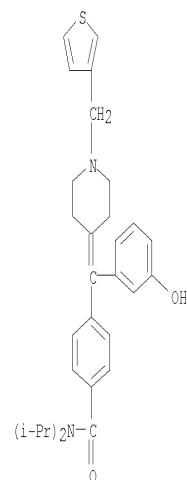
CN Benzanide, 4-[(3-hydroxyphenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 477192-41-9 CAPLUS

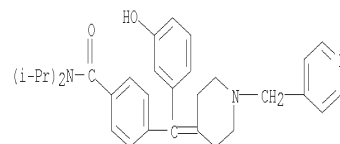
CN Benzanide, 4-[(3-hydroxyphenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

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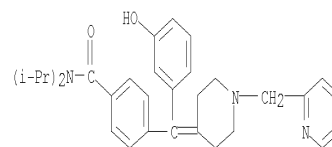
RN 477192-42-0 CAPLUS

CN Benzanide, 4-[(3-hydroxyphenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 477192-43-1 CAPLUS

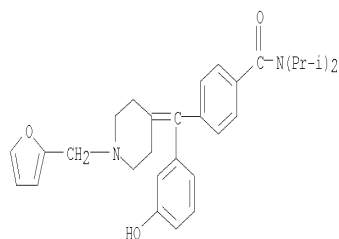
CN Benzanide, 4-[(3-hydroxyphenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



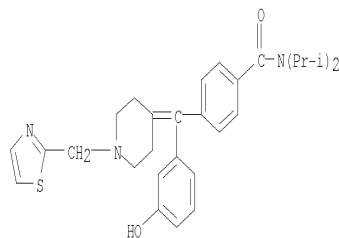
RN 477192-44-2 CAPLUS

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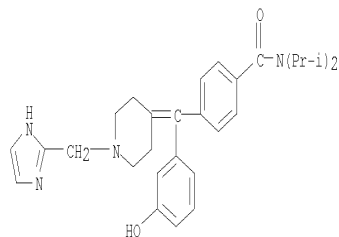
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RN 477192-45-3 CAPLUS  
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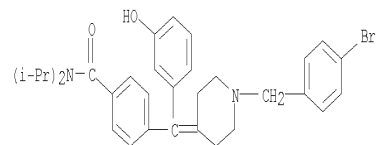


RN 477192-46-4 CAPLUS  
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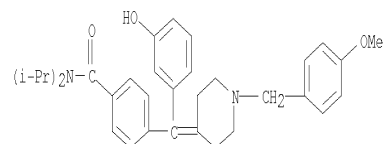


RN 477192-47-5 CAPLUS  
CN Benzamide, 4-[[1-[(4-bromophenyl)methyl]-4-piperidinylidene](3-hydroxyphenyl)methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

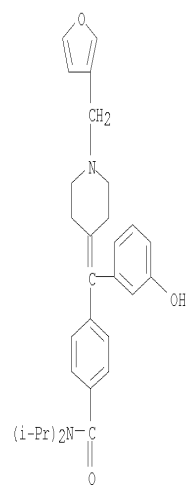
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RN 477192-48-6 CAPLUS  
CN Benzamide, 4-[(3-hydroxyphenyl)[1-[(4-methoxyphenyl)methyl]-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

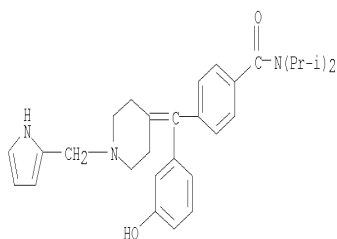


RN 477192-49-7 CAPLUS  
CN Benzamide, 4-[[1-(3-furanylmethyl)-4-piperidinylidene](3-hydroxyphenyl)methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

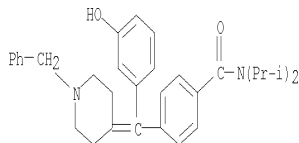


RN 477192-50-0 CAPLUS  
CN Benzamide, 4-[(3-hydroxyphenyl)[1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

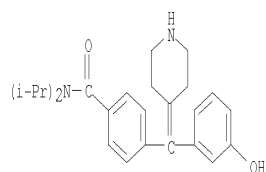
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RN 477192-54-4 CAPLUS  
CN Benamide, 4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



IT 477192-51-1P 477192-52-2P 477192-53-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for treating pain, anxiety or gastrointestinal disorders)  
RN 477192-51-1 CAPLUS  
CN Benamide, 4-[(3-hydroxyphenyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

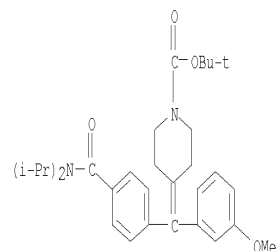


● HCl

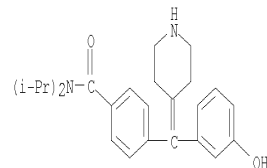
RN 477192-52-2 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[[bis(1-methylethyl)amino]carbonyl]phen

Print selected from 10541656.trn

yl[(3-methoxyphenyl)methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



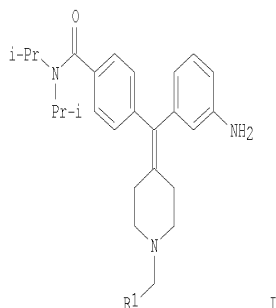
RN 477192-53-3 CAPLUS  
CN Benamide, 4-[(3-hydroxyphenyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



L11 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
2002:906158 Document No. 138:4531 Preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders. Brown, William; Walpole, Christopher; Wei, Zhongyong (Astrazeneca Ab, Swed.). PCT Int. Appl. WO 2002094786 A1 20021128, 38 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2002-SE954 20020516. PRIORITY: SE 2001-1766 20010518.

GI

Print selected from 10541656.trn



AB The title compds. [I; R1 = (un)substituted Ph, pyridyl, pyrrolyl, thienyl, furanyl, imidazolyl, triazolyl, thiazolyl and pyridyl N-oxide], useful in therapy, in particular in the management of pain, anxiety and functional gastrointestinal disorders, were prepared and formulated. E.g., two alternative multi-step preps. of the benzamide I [R1 = Ph], were given. The exemplified compds. I showed IC50 of 0.78-4.85 nM against  $\delta$  receptor binding.

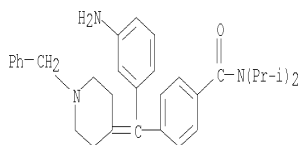
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477185-91-4P 477185-92-5P 477185-93-6P  
477185-94-7P 477185-95-8P 477185-96-9P  
477185-97-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-85-6 CAPLUS

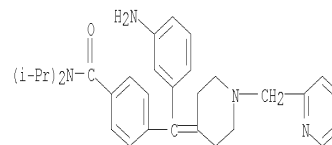
CN Benzamide, 4-[(3-aminophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 477185-86-7 CAPLUS

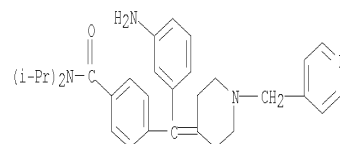
CN Benzamide, 4-[(3-aminophenyl)[1-(2-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

Print selected from 10541656.trn



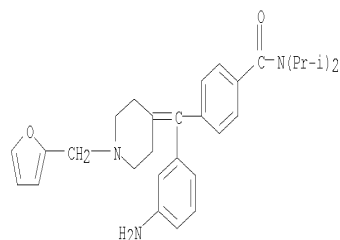
RN 477185-87-8 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(4-pyridinylmethyl)-4-piperidinyldene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



RN 477185-88-9 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)[1-(2-furanylmethyl)-4-piperidinyldene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

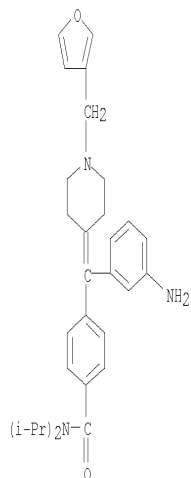


RN 477185-89-0 CAPLUS

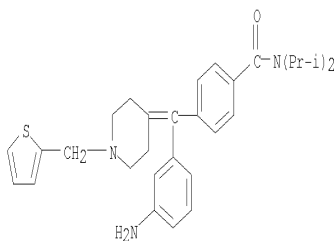
CN Benzamide, 4-[(3-aminophenyl)[1-(3-furanylmethyl)-4-piperidinyldene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



Print selected from 10541656.trn

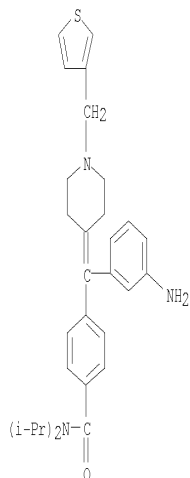


RN 477185-90-3 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thienylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

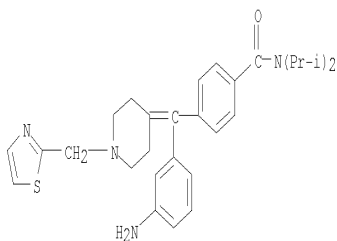


RN 477185-91-4 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(3-thienylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

Print selected from 10541656.trn

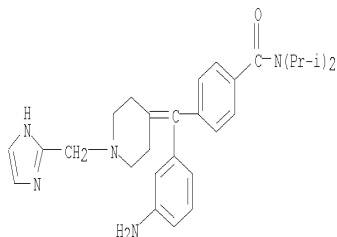


RN 477185-92-5 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

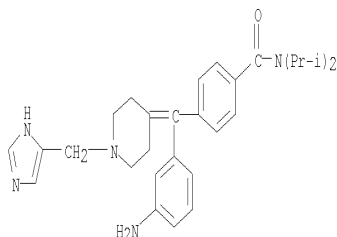


RN 477185-93-6 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(1H-imidazol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

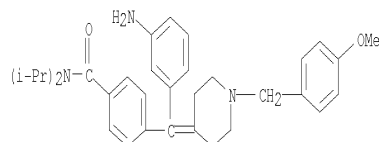
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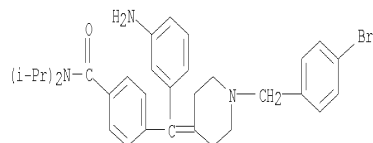
RN 477185-94-7 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(1H-imidazol-4-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 477185-95-8 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-[(4-methoxyphenyl)methyl]-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

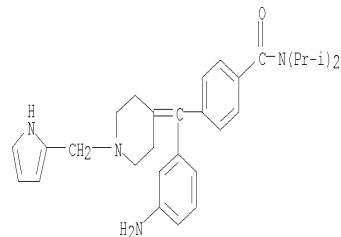


RN 477185-96-9 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-[(4-bromophenyl)methyl]-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



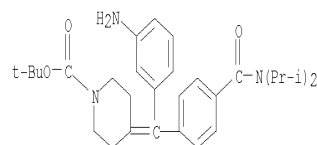
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RN 477185-97-0 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)[1-(1H-pyrrol-2-ylmethyl)-4-piperidinylidene]methyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

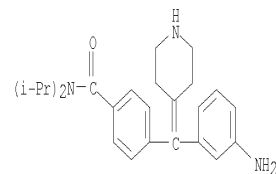


IT 477185-98-1P 477185-99-2P 477186-01-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-(phenyl-piperidin-4-ylidene-methyl)-benzamides as  $\delta$  opioid receptor agonists for the treatment of pain, anxiety or gastrointestinal disorders)

RN 477185-98-1 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[(3-aminophenyl)[4-[[bis(1-methylethyl)amino]carbonyl]phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



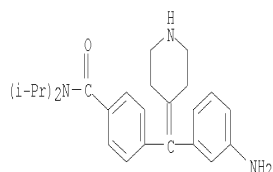
RN 477185-99-2 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)



Print selected from 10541656.trn

RN 477186-01-9 CAPLUS

CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-bis(1-methylethyl)-, hydrochloride (2:7) (CA INDEX NAME)



● 7/2 HC1

L11 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2002:67833 Document No. 136:363220 Interaction of nonpeptidic  $\delta$  agonists with P-glycoprotein by in situ mouse brain perfusion: liquid chromatography-mass spectrometry analysis and internal standard strategy. Dagenais, Claude; Ducharme, Julie; Pollack, Gary M. (Division of Drug Delivery and Disposition, School of Pharmacy, University of North Carolina at Chapel Hill, Chapel Hill, NC, 27599-7360, USA). Journal of Pharmaceutical Sciences, 91(1), 244-252 (English) 2002. CODEN: JPMSAE. ISSN: 0022-3549. Publisher: Wiley-Liss, Inc..

AB Many opioids are substrates of the efflux transporter P-glycoprotein (P-gp) in the blood-brain barrier (BBB). In situ brain perfusion in wild-type and mdr 1a(-/-) P-gp-deficient mice was utilized to investigate potential P-gp-mediated transport of novel nonpeptidic  $\delta$  agonists (AR-M  $\delta$  compds.). Because radioactive compds. were not available for this series, liquid chromatog.-mass spectrometric detection (LC-MS) was the assay methodol. of choice. Verapamil in the perfusion buffer (0.5  $\mu$ M) served as a pos. control for P-gp-mediated efflux and as an exptl. internal standard for P-gp modulation by AR-M  $\delta$  compds. LC-MS provided excellent assay sensitivity with no significant interferences. In P-gp-competent mice, the brain extraction of AR-M  $\delta$  compds. ranged from 1.1 to 96%. The ratio of initial brain uptake clearances (Clup) in P-gp-deficient and wild-type mice (P-gp effect) ranged from 0.96 to 4.91. Some compds. increased the Clup of verapamil in P-gp-competent mice, consistent with P-gp inhibition. These results demonstrate that LC-MS is an appropriate assay methodol. for mouse brain perfusion samples, that AR-M  $\delta$  compds. may interact with P-gp in the BBB, and that the internal strategy can provide useful information concerning P-gp modulation by compds. of interest.

IT 209808-01-5D, derivs.

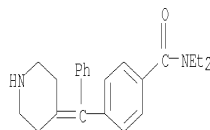
RL: PKT (Pharmacokinetics); BIOL (Biological study)  
(interaction of nonpeptidic  $\delta$  opioid agonists with P-glycoprotein by in situ mouse brain perfusion using liquid chromatog.-mass spectrometry anal. and internal standard strategy)

RN 209808-01-5 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylidenemethyl)- (CA INDEX

Print selected from 10541656.trn

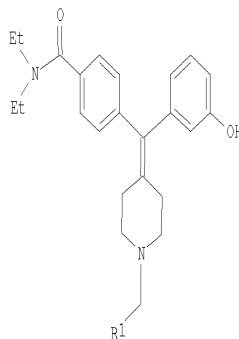
NAME)



L11 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2001:747777 Document No. 135:288700 Preparation of N,N-diethyl-4-(3-hydroxyphenyl)piperidin-4-ylidenemethyl)benzamide derivatives useful in the management of pain. Brown, William; Walpole, Christopher (Astrazeneca Ab, Swed.). PCT Int. Appl. WO 2001074804 A1 20011011, 48 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-SE707 20010330. PRIORITY: SE 2000-1207 20000404.

GI



AB Compds. I and their pharmaceutically acceptable salts, (R1 = Ph, pyridinyl, thienyl, furanyl, imidazolyl, triazolyl and thiazolyl; each R1 Ph ring and R1 heteroarom. ring may optionally and independently be further substituted by 1, 2 or 3 substituents selected from straight and branched C1-C6 alkyl, NO2, CF3, C1-C6 alkoxy, chloro, fluoro, bromo, and

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iodo) were prepared. Thus, a multi-step synthesis of I (R1 = Ph) was presented. The prepared compds. are useful in the management of pain. Biol. data for compds. I were given.

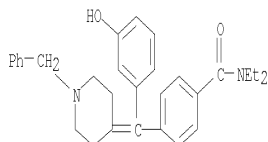
IT 364757-40-4P 364757-41-5P 364757-42-6P  
364757-43-7P 364757-44-8P 364757-45-9P  
364757-46-0P 364757-47-1P 364757-48-2P  
364757-49-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diethyl(hydroxyphenylpiperidinyldene)methyl)benzamide derivs. useful in management of pain)

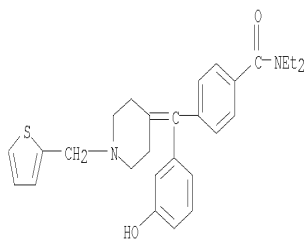
RN 364757-40-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]- (CA INDEX NAME)



RN 364757-41-5 CAPLUS

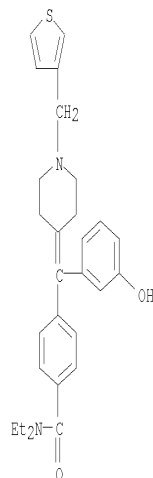
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-thienylmethyl)-4-piperidinyldene]methyl]- (CA INDEX NAME)



RN 364757-42-6 CAPLUS

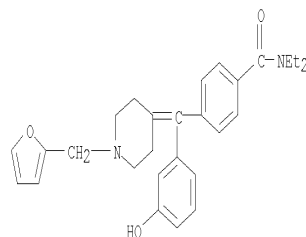
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(3-thienylmethyl)-4-piperidinyldene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



RN 364757-43-7 CAPLUS

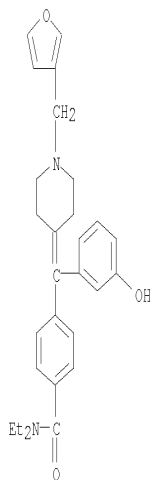
CN Benzamide, N,N-diethyl-4-[[1-(2-furanylmethyl)-4-piperidinyldene](3-hydroxyphenyl)methyl]- (CA INDEX NAME)



RN 364757-44-8 CAPLUS

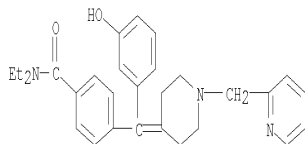
CN Benzamide, N,N-diethyl-4-[[1-(3-furanylmethyl)-4-piperidinyldene](3-hydroxyphenyl)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



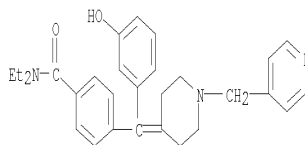
RN 364757-45-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



RN 364757-46-0 CAPLUS

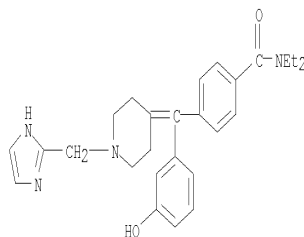
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



RN 364757-47-1 CAPLUS

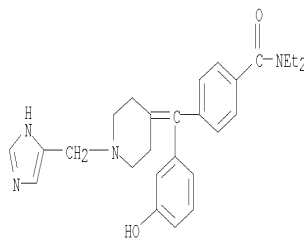
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1H-imidazol-2-ylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



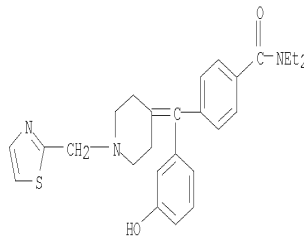
RN 364757-48-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(1H-imidazol-4-ylmethyl)-4-piperidinylidene]methyl]- (9CI) (CA INDEX NAME)



RN 364757-49-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)[1-(2-thiazolylmethyl)-4-piperidinylidene]methyl]- (CA INDEX NAME)



IT 364757-38-0P

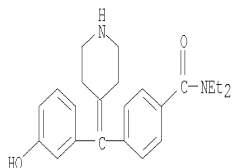
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diethyl(hydroxyphenylpiperidinylidenemethyl)benzamide derivs. useful in management of pain)

RN 364757-38-0 CAPLUS

Print selected from 10541656.trn

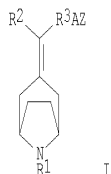
CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)-4-piperidinylidenemethyl]-  
(CA INDEX NAME)



L11 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2001:676766 Document No. 135:242144 Preparation of 3-(diarylmethylene)-8-azabicyclo[3.2.1]octanes as  $\delta$ - or  $\mu$ -opioid receptor modulators..  
Carson, John R.; Coats, Steven J.; Neilson, Lou Anne; Wu, Wu-Nan; Boyd, Robert E.; Pitis, Philip M. (Ortho-McNeil Pharmaceutical, Inc., USA). PCT Int. Appl. WO 2001066543 A2 20010913, 75 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2001-US5735 20010222. PRIORITY: US 2000-PV186778 20000303.

GI



AB Title compds. [I; R1 = H, alkyl, haloalkyl, alkenyl, alkoxyalkenyl, alkynyl, alkoxyalkynyl, cycloalkyl, (substituted) heterocyclyl, heterocyclylalkyl, aryl, aralkyl, etc.; R2, R3 = (substituted) aryl, heteroaryl; A = CX, SO2; X = O, S; Z = OR4, NR5R6; R4-R6 = H, (substituted) alkyl, alkoxyalkyl, alkenyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.], were prepared Thus, tropinone and N,N-diethyl-4-benzoylbenzamide (preparation given) in THF were added to a prerefluxed mixture of TiCl4 and Zn in THF followed by 3 h reflux to give N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]benzamide hydrochloride. The latter at 150  $\mu$ mole/kg orally in mice gave 87% inhibition of acetylcholine bromide-induced abdominal constriction.

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Print selected from 10541656.trn

Depending on their agonist or antagonist effect, I are analgesics, immunosuppressants, antiinflammatory agents, neurol. and psychiatric drugs, medicaments for drug and alc. abuse, agents for treating gastritis and diarrhea, cardiovascular agents and agents for the treatment of respiratory diseases.

IT 360563-54-8P 360563-56-0P 360563-59-3P  
360563-60-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)

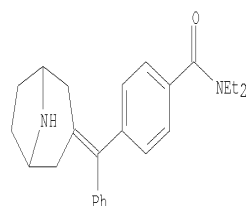
RN 360563-54-8 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephnylmethyl)-N,N-diethyl-,  
(+)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 360563-53-7

CMF C25 H30 N2 O

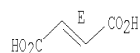


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 360563-56-0 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephnylmethyl)-N,N-diethyl-,  
(-)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

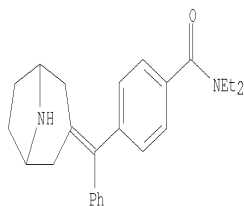
CM 1

CRN 360563-55-9

CMF C25 H30 N2 O

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Print selected from 10541656.trn

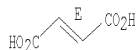


CM 2

CRN 110-17-8

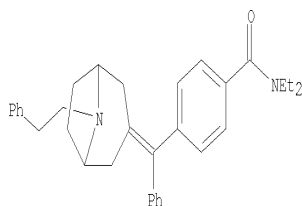
CME C4 H4 O4

Double bond geometry as shown.



RN 360563-59-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

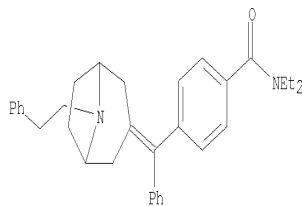


● HCl

RN 360563-60-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



● HCl

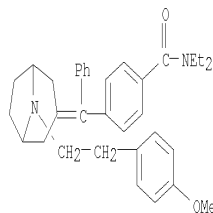
IT 359863-16-4P 359863-18-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)

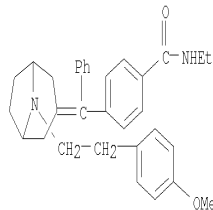
RN 359863-16-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359863-18-6 CAPLUS

CN Benzamide, N-ethyl-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



Print selected from 10541656.trn

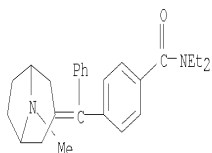
IT 359862-70-7P 359862-71-8P 359862-72-9P  
359862-73-0P 359862-74-1P 359862-75-2P  
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359862-79-6P 359862-80-9P 359862-81-0P  
359862-82-1P 359862-83-2P 359862-84-3P  
359862-85-4P 359862-86-5P 359862-87-6P  
359862-88-7P 359862-90-1P 359862-91-2P  
359862-92-3P 359862-93-4P 359862-94-5P  
359862-95-6P 359862-96-7P 359862-97-8P  
359862-98-9P 359862-99-0P 359863-00-6P  
359863-02-8P 359863-03-9P 359863-04-0P  
359863-05-1P 359863-06-2P 359863-07-3P  
359863-08-4P 359863-09-5P 359863-10-8P  
359863-11-9P 359863-12-0P 359863-13-1P  
359863-14-2P 359863-15-3P 359863-17-5P  
359863-19-7P 359863-20-0P 359863-21-1P  
359863-22-2P 359863-23-3P 359863-24-4P  
359863-25-5P 359863-26-6P 359863-27-7P  
359863-28-8P 359863-29-9P 359863-30-2P  
359863-31-3P 359863-32-4P 359863-33-5P  
359863-34-6P 359863-35-7P 359863-36-8P  
359863-37-9P 359863-39-1P 359863-43-7P  
359863-44-8P 359863-52-8P 359863-72-2P  
360563-58-2P 360563-62-8P 360563-63-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)

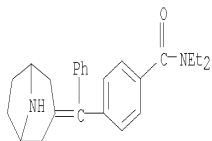
RN 359862-70-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]- (CA INDEX NAME)



RN 359862-71-8 CAPLUS

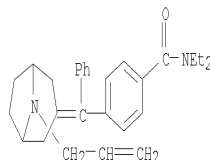
CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N,N-diethyl- (CA INDEX NAME)



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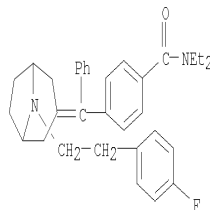
RN 359862-72-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



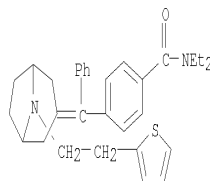
RN 359862-73-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-[2-(4-fluorophenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-74-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-[2-(2-thienyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359862-75-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[[8-[2-(1H-indol-3-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

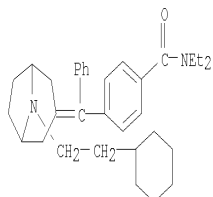
RN 359862-76-3 CAPLUS

CN Benzamide, 4-[[8-(2-cyclohexylethyl)-8-azabicyclo[3.2.1]oct-3-



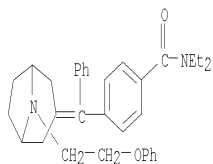
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ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



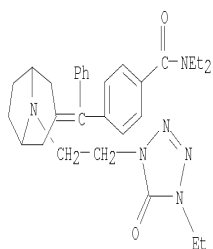
RN 359862-77-4 CAPLUS

CN Benamide, N,N-diethyl-4-[[8-(2-phenoxyethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-78-5 CAPLUS

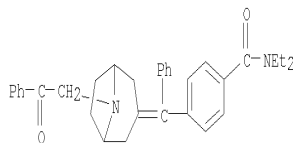
CN Benamide, N,N-diethyl-4-[[8-[2-(4-ethyl-4,5-dihydro-5-oxo-1H-tetrazol-1-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359862-79-6 CAPLUS

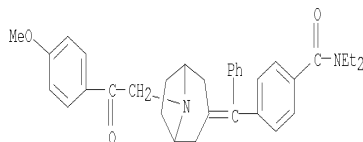
CN Benamide, N,N-diethyl-4-[[8-(2-oxo-2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



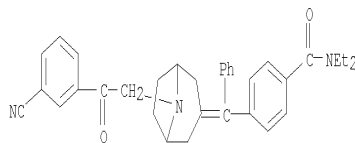
RN 359862-80-9 CAPLUS

CN Benamide, N,N-diethyl-4-[[8-[2-(4-methoxyphenyl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



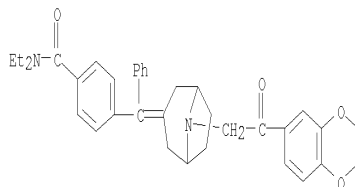
RN 359862-81-0 CAPLUS

CN Benamide, 4-[[8-[2-(3-cyanophenyl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 359862-82-1 CAPLUS

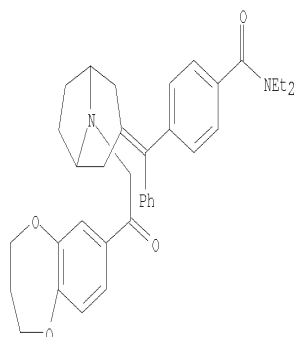
CN Benamide, 4-[[8-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



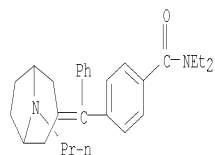
RN 359862-83-2 CAPLUS

CN Benamide, 4-[[8-[2-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-2-oxoethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

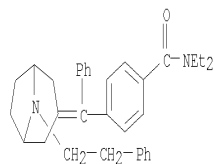
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RN 359862-84-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl(8-propyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

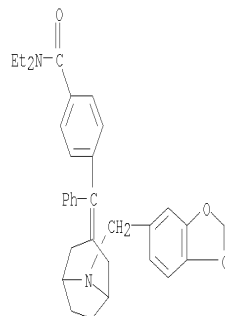


RN 359862-85-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl(8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

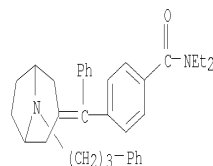


RN 359862-86-5 CAPLUS  
CN Benzamide, 4-[[8-(1,3-benzodioxol-5-ylmethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

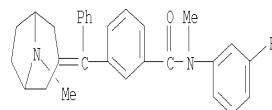
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RN 359862-87-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl(8-(3-phenylpropyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

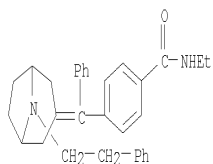


RN 359862-88-7 CAPLUS  
CN Benzamide, N-(3-fluorophenyl)-N-methyl-3-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]- (CA INDEX NAME)



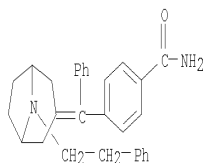
RN 359862-90-1 CAPLUS  
CN Benzamide, N-ethyl-4-[phenyl(8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



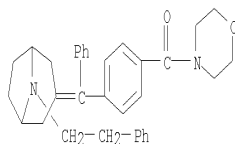
RN 359862-91-2 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



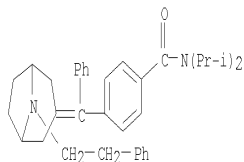
RN 359862-92-3 CAPLUS

CN Morpholine, 4-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359862-93-4 CAPLUS

CN Benzamide, N,N-bis(1-methylethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

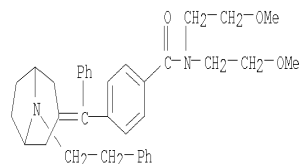


RN 359862-94-5 CAPLUS

CN Benzamide, N,N-bis(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-

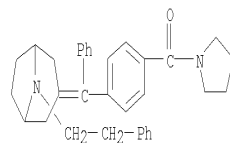
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azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



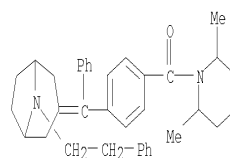
RN 359862-95-6 CAPLUS

CN Pyrrolidine, 1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



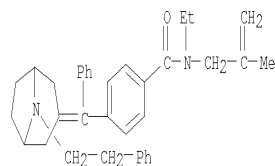
RN 359862-96-7 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359862-97-8 CAPLUS

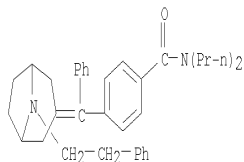
CN Benzamide, N-ethyl-N-(2-methyl-2-propenyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



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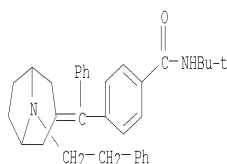
RN 359862-98-9 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N,N-dipropyl- (CA INDEX NAME)



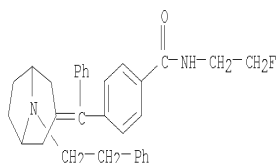
RN 359862-99-0 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-00-6 CAPLUS

CN Benzamide, N-(2-fluoroethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

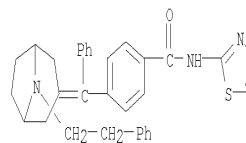


RN 359863-02-8 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-N-2-thiazolyl- (CA INDEX NAME)

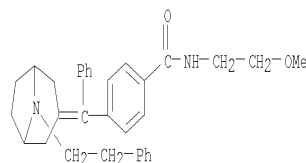


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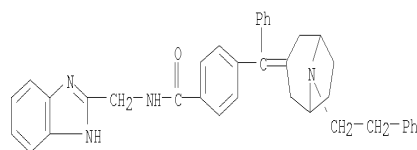
RN 359863-03-9 CAPLUS

CN Benzamide, N-(2-methoxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



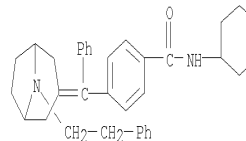
RN 359863-04-0 CAPLUS

CN Benzamide, N-(1H-benzimidazol-2-ylmethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



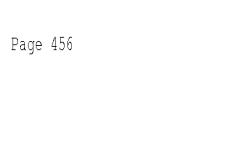
RN 359863-05-1 CAPLUS

CN Benzamide, N-cyclohexyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

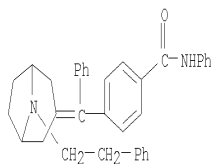


RN 359863-06-2 CAPLUS

CN Benzamide, N-phenyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

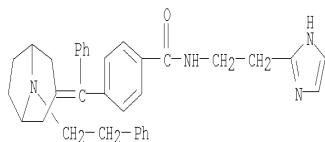


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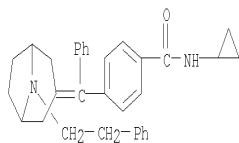
RN 359863-07-3 CAPLUS

CN Benzamide, N-[2-(1H-imidazol-2-yl)ethyl]-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



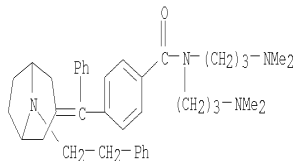
RN 359863-08-4 CAPLUS

CN Benzamide, N-cyclopropyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-09-5 CAPLUS

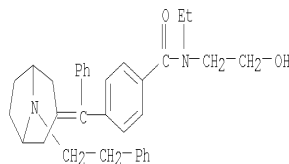
CN Benzamide, N,N-bis[3-(dimethylamino)propyl]-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-10-8 CAPLUS

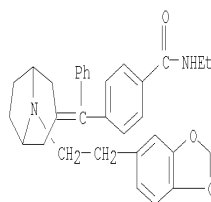
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CN Benzamide, N-ethyl-N-(2-hydroxyethyl)-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



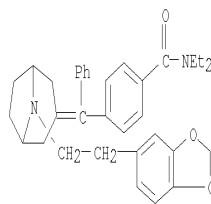
RN 359863-11-9 CAPLUS

CN Benzamide, 4-[[8-[2-(1,3-benzodioxol-5-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-ethyl- (CA INDEX NAME)



RN 359863-12-0 CAPLUS

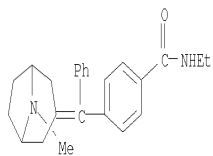
CN Benzamide, 4-[[8-[2-(1,3-benzodioxol-5-yl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 359863-13-1 CAPLUS

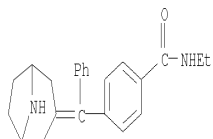
CN Benzamide, N-ethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]- (CA INDEX NAME)

Print selected from 10541656.trn



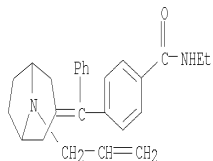
RN 359863-14-2 CAPLUS

CN Benzamide, 4-(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N-ethyl- (CA INDEX NAME)



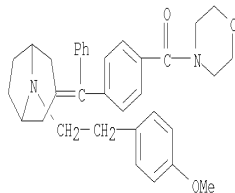
RN 359863-15-3 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (9CI) (CA INDEX NAME)



RN 359863-17-5 CAPLUS

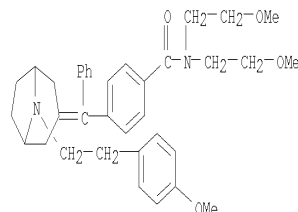
CN Morpholine, 4-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-19-7 CAPLUS

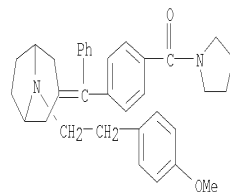
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CN Benzamide, N,N-bis(2-methoxyethyl)-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



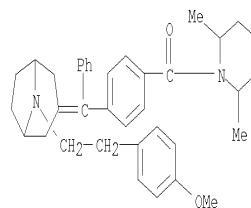
RN 359863-20-0 CAPLUS

CN Pyrrolidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 359863-21-1 CAPLUS

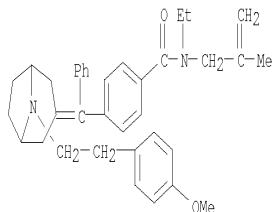
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl- (9CI) (CA INDEX NAME)



RN 359863-22-2 CAPLUS

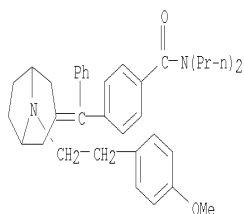
CN Benzamide, N-ethyl-4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N-(2-methyl-2-propenyl)- (9CI) (CA INDEX NAME)

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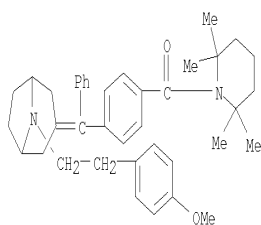
RN 359863-23-3 CAPLUS

CN Benzamide, 4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-dipropyl- (CA INDEX NAME)



RN 359863-24-4 CAPLUS

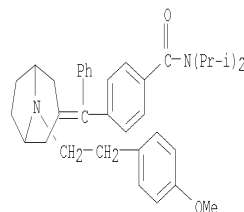
CN Piperidine, 1-[4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



RN 359863-25-5 CAPLUS

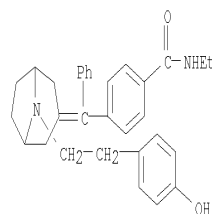
CN Benzamide, 4-[[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]-N,N-bis(1-methylethyl)- (CA INDEX NAME)

Print selected from 10541656.trn



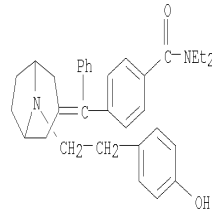
RN 359863-26-6 CAPLUS

CN Benzamide, N-ethyl-4-[[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359863-27-7 CAPLUS

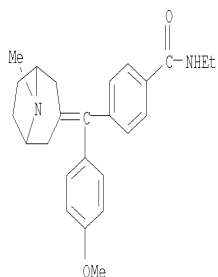
CN Benzamide, N,N-diethyl-4-[[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]- (CA INDEX NAME)



RN 359863-28-8 CAPLUS

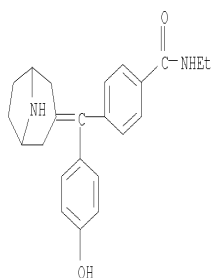
CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



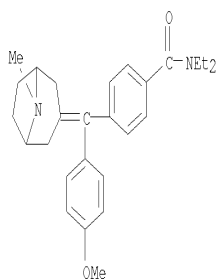
RN 359863-29-9 CAPLUS

CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N-ethyl- (CA INDEX NAME)



RN 359863-30-2 CAPLUS

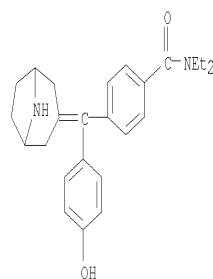
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-31-3 CAPLUS

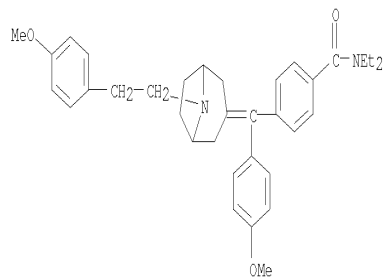
Print selected from 10541656.trn

CN Benzamide, 4-[8-azabicyclo[3.2.1]oct-3-ylidene(4-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



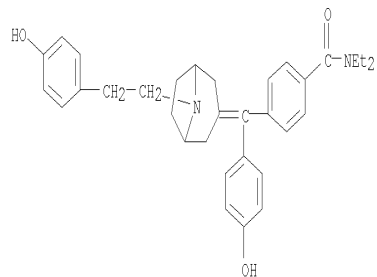
RN 359863-32-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)[8-[2-(4-methoxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)



RN 359863-33-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-hydroxyphenyl)[8-[2-(4-hydroxyphenyl)ethyl]-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]- (CA INDEX NAME)

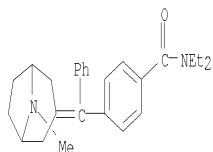




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RN 359863-34-6 CAPLUS

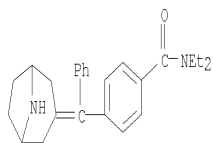
CN Benzamide, N,N-diethyl-4-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 359863-35-7 CAPLUS

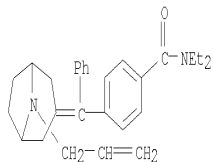
CN Benzamide, 4-[(8-azabicyclo[3.2.1]oct-3-ylidenephénylmethyl)-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 359863-36-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

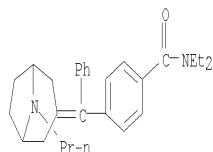


● HCl

Print selected from 10541656.trn

RN 359863-37-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(8-propyl-8-azabicyclo[3.2.1]oct-3-ylidene)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

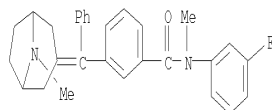
RN 359863-39-1 CAPLUS

CN Benzamide, N-(3-fluorophenyl)-N-methyl-3-[(8-methyl-8-azabicyclo[3.2.1]oct-3-ylidene)phenylmethyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 359862-88-7

CMF C29 H29 F N2 O

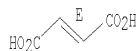


CM 2

CRN 110-17-8

CMF C4 H4 O4

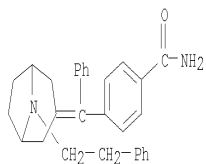
Double bond geometry as shown.



RN 359863-43-7 CAPLUS

CN Benzamide, 4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

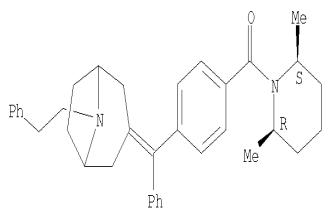


● HCl

RN 359863-44-8 CAPLUS

CN Piperidine, 2,6-dimethyl-1-[4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]benzoyl]-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

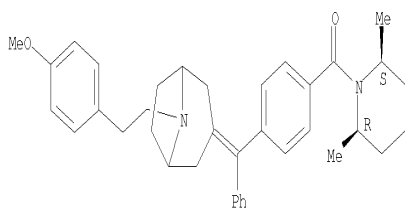
Relative stereochemistry.



RN 359863-52-8 CAPLUS

CN Piperidine, 1-[4-[[8-(2-(4-methoxyphenyl)ethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]phenylmethyl]benzoyl]-2,6-dimethyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

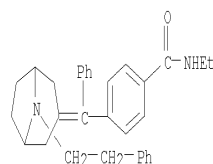
Relative stereochemistry.



RN 359863-72-2 CAPLUS

CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



● HCl

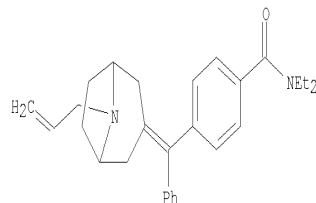
RN 360563-58-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[8-(2-propenyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, (-)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 360563-57-1

CMF C28 H34 N2 O

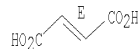


CM 2

CRN 110-17-8

CMF C4 H4 O4

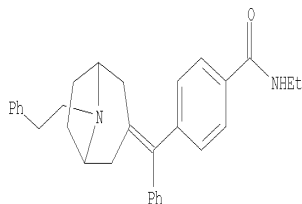
Double bond geometry as shown.



RN 360563-62-8 CAPLUS

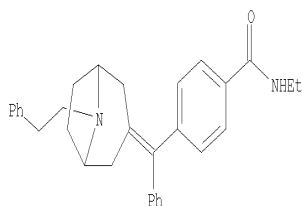
CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



● HCl

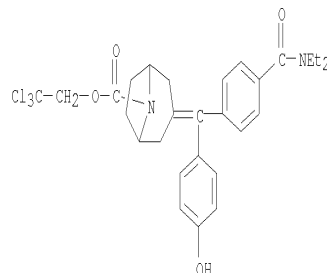
RN 360563-63-9 CAPLUS  
CN Benzamide, N-ethyl-4-[phenyl[8-(2-phenylethyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)



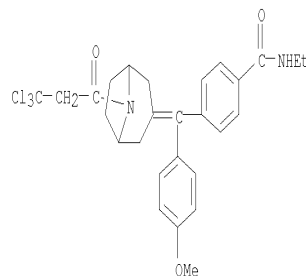
● HCl

IT 359863-60-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)  
RN 359863-60-8 CAPLUS  
CN 8-Azabicyclo[3.2.1]octane-8-carboxylic acid, 3-[[4-[(diethylamino)carbonyl]phenyl](4-hydroxyphenyl)methylene]-, 2,2,2-trichloroethyl ester (CA INDEX NAME)

Print selected from 10541656.trn

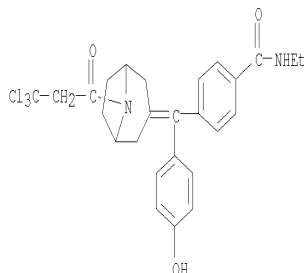


IT 359863-54-0P 359863-55-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethyleneazabicyclooctanes as  $\delta$ - or  $\mu$ -opioid receptor modulators)  
RN 359863-54-0 CAPLUS  
CN Benzamide, N-ethyl-4-[(4-methoxyphenyl)[8-(3,3,3-trichloro-1-oxopropyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)



RN 359863-55-1 CAPLUS  
CN Benzamide, N-ethyl-4-[(4-hydroxyphenyl)[8-(3,3,3-trichloro-1-oxopropyl)-8-azabicyclo[3.2.1]oct-3-ylidene]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



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2000:653166 Document No. 134:4837 N,N-Diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide: A Novel, Exceptionally Selective, Potent  $\delta$  Opioid Receptor Agonist with Oral Bioavailability and Its Analogues. Wei, Zhong-Yong; Brown, William; Takasaki, Bryan; Plobeck, Niklas; Delorme, Daniel; Zhou, Fei; Yang, Hua; Jones, Paul; Gallowell, Lars; Gagnon, Helene; Schmidt, Ralf; Yue, Shi-Yi; Walpole, Chris; Payza, Kemal; St-Onge, Stephane; Labarre, Maryse; Godbout, Claude; Jakob, Andrea; Butterworth, Joanne; Kamassah, Augustus; Morin, Pierre-Emmanuel; Projean, Denis; Ducharme, Julie; Roberts, Edward (Departments of Chemistry and Pharmacology, Astra Zeneca R&D Montreal, Saint-Laurent, QC, H4S 1Z9, Can.). Journal of Medicinal Chemistry, 43(21), 3895-3905 (English) 2000. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

AB The design, synthesis, and pharmacol. evaluation of a novel class of  $\delta$  opioid receptor agonists, N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide (I) and its analogs, are described. These compds., formally derived from SNC-80 by replacing the piperazine ring with a piperidine ring containing an exocyclic carbon carbon double bond, were found to bind with high affinity and exhibit excellent selectivity for the  $\delta$  opioid receptor as full agonists. I, the simplest structure in the class, exhibited an  $IC_{50} = 0.87$  nM for the  $\delta$  opioid receptors and extremely high selectivity over the  $\mu$  receptors ( $\mu/\delta = 4370$ ) and the  $\kappa$  receptors ( $\kappa/\delta = 8590$ ). Rat liver microsome studies on a selected number of compds. show these olefinic piperidine compds. to be considerably more stable than SNC-80. This novel series of compds. appear to interact with  $\delta$  opioid receptors in a similar way to SNC-80 since they demonstrate similar SAR. Two general approaches have been established for the synthesis of these compds., based on dehydration of benzhydryl alos. and Suzuki coupling reactions of vinyl bromide.

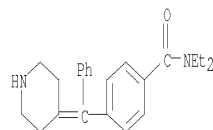
IT 209808-01-5P 307992-18-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide and its analogs as selective  $\delta$ -opioid receptor agonists)

RN 209808-01-5 CAPLUS

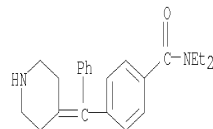
CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinyldenemethyl)- (CA INDEX NAME)

Print selected from 10541656.trn



RN 307992-18-3 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinyldenemethyl)-, hydrochloride (10:21) (CA INDEX NAME)



21/10 HCl

IT 209807-36-3P 209807-40-9P 209807-41-0P  
209807-42-1P 209807-44-3P 209807-45-4P  
209807-46-5P 209807-49-8P 209807-51-2P  
209807-53-4P 209807-56-7P 209807-60-3P  
209807-63-6P 209807-68-1P 209807-69-2P  
209807-71-6P 209807-72-7P 209807-75-0P  
209807-80-7P 209808-36-6P 307992-19-4P  
307992-20-7P 307992-22-9P 307992-23-0P  
307992-24-1P 307992-25-2P 307992-26-3P  
307992-27-4P 307992-28-5P 307992-29-6P  
307992-31-0P 307992-32-1P 307992-33-2P  
307992-34-3P 307992-35-4P 307992-36-5P  
307992-37-6P 307992-38-7P 307992-39-8P  
307992-40-1P 307992-41-2P 307992-42-3P

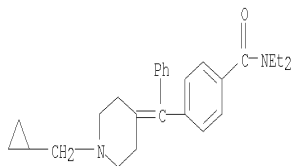
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide and its analogs as selective  $\delta$ -opioid receptor agonists)

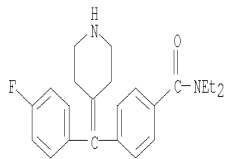
RN 209807-36-3 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyldene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

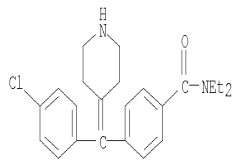
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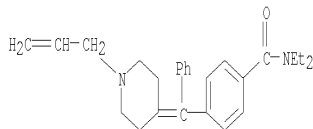
RN 209807-40-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(4-fluorophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 209807-41-0 CAPLUS  
CN Benzamide, 4-[(4-chlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



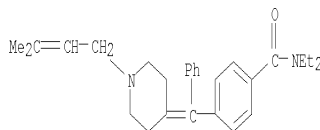
RN 209807-42-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(phenyl[1-(2-propenyl)-4-piperidinylidene]methyl)]- (9CI) (CA INDEX NAME)



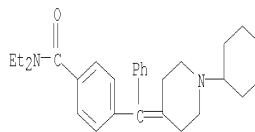
RN 209807-44-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[1-(3-methyl-2-butenyl)-4-

Print selected from 10541656.trn

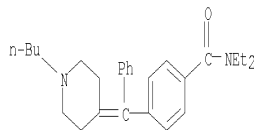
piperidinylidene]phenylmethyl]- (9CI) (CA INDEX NAME)



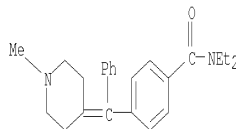
RN 209807-45-4 CAPLUS  
CN Benzamide, 4-[(1-cyclohexyl-4-piperidinylidene)phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 209807-46-5 CAPLUS  
CN Benzamide, 4-[(1-butyl-4-piperidinylidene)phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



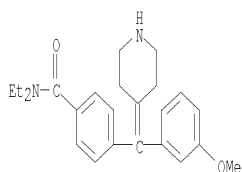
RN 209807-49-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinylidene)phenylmethyl]- (CA INDEX NAME)



RN 209807-51-2 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylidenemethyl]-

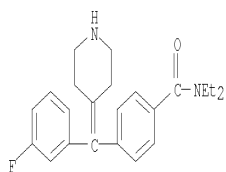
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(CA INDEX NAME)



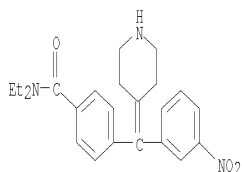
RN 209807-53-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



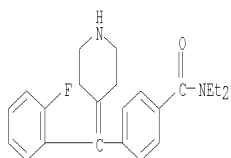
RN 209807-56-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 209807-60-3 CAPLUS

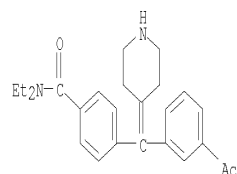
CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



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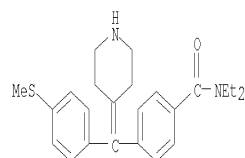
RN 209807-63-6 CAPLUS

CN Benzamide, 4-[(3-acetylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



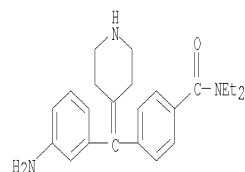
RN 209807-68-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[[4-(methylthio)phenyl]-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 209807-69-2 CAPLUS

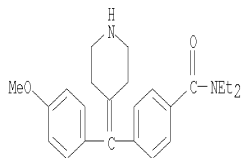
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



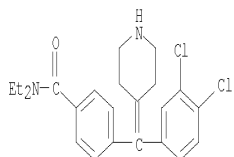
RN 209807-71-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

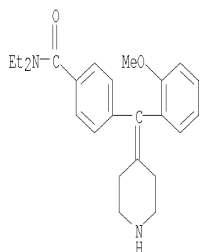
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RN 209807-72-7 CAPLUS  
CN Benzamide, 4-[(3,4-dichlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-  
(CA INDEX NAME)

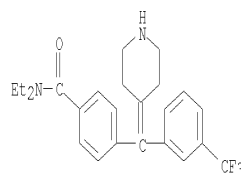


RN 209807-75-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)-4-piperidinylidenemethyl]-  
(CA INDEX NAME)

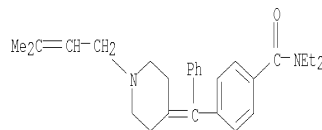


RN 209807-80-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn

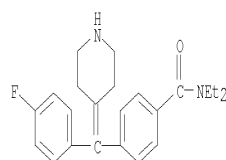


RN 209808-36-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[1-(3-methyl-2-butenyl)-4-piperidinylidene]phenylmethyl]-, hydrochloride (5:9) (9CI) (CA INDEX NAME)



●9/5 HCl

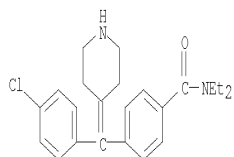
RN 307992-19-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(4-fluorophenyl)-4-piperidinylidenemethyl]-, hydrochloride (2:3) (CA INDEX NAME)



●3/2 HCl

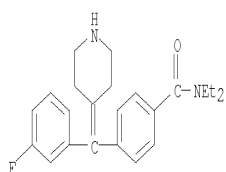
RN 307992-20-7 CAPLUS  
CN Benzamide, 4-[(4-chlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, hydrochloride (5:8) (CA INDEX NAME)

Print selected from 10541656.trn



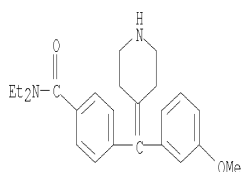
●8/5 HCl

RN 307992-22-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)-4-piperidinylidene]methyl-, hydrochloride (5:11) (CA INDEX NAME)



●11/5 HCl

RN 307992-23-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylidene]methyl-, hydrochloride (5:9) (CA INDEX NAME)

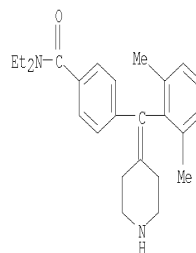


●9/5 HCl

RN 307992-24-1 CAPLUS  
CN Benzamide, 4-[(2,6-dimethylphenyl)-4-piperidinylidene]methyl-N,N-diethyl-,

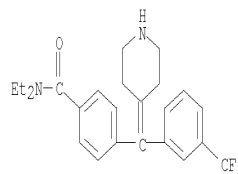
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hydrochloride (5:11) (CA INDEX NAME)



●11/5 HCl

RN 307992-25-2 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (10:13) (CA INDEX NAME)

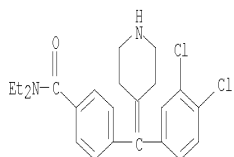


●13/10 HCl

RN 307992-26-3 CAPLUS  
CN Benzamide, 4-[(3,4-dichlorophenyl)-4-piperidinyldene]methyl-N,N-diethyl-, hydrochloride (2:3) (CA INDEX NAME)

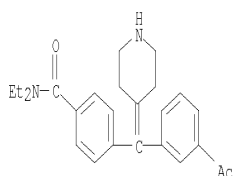


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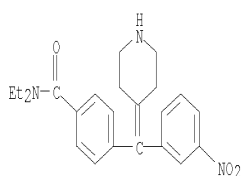
●3/2 HCl

RN 307992-27-4 CAPLUS  
CN Benzamide, 4-[(3-acetylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, hydrochloride (5:9) (CA INDEX NAME)



●9/5 HCl

RN 307992-28-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinylidenemethyl]-, hydrochloride (5:7) (CA INDEX NAME)

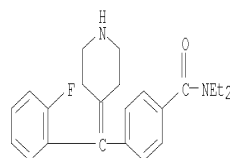


●7/5 HCl

RN 307992-29-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)-4-piperidinylidenemethyl]-, hydrochloride (5:6) (CA INDEX NAME)

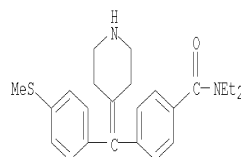
Print selected from 10541656.trn

hydrochloride (2:3) (CA INDEX NAME)



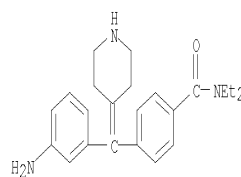
●3/2 HCl

RN 307992-31-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[4-(methylthio)phenyl]-4-piperidinylidenemethyl]-, hydrochloride (2:5) (CA INDEX NAME)



●5/2 HCl

RN 307992-32-1 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, hydrochloride (5:6) (CA INDEX NAME)

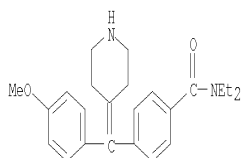


●6/5 HCl

Print selected from 10541656.trn

RN 307992-33-2 CAPLUS

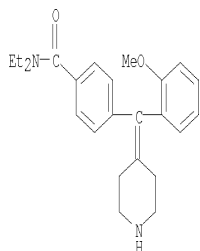
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)-4-piperidinyldenemethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 307992-34-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)-4-piperidinyldenemethyl]-, hydrochloride (2:3) (CA INDEX NAME)

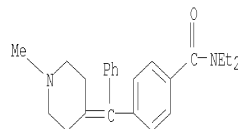


●3/2 HCl

RN 307992-35-4 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyldene)phenylmethyl]-, hydrochloride (5:16) (CA INDEX NAME)

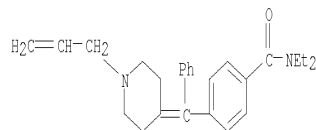
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●16/5 HCl

RN 307992-36-5 CAPLUS

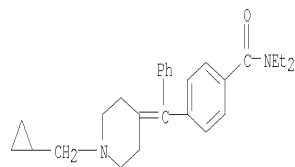
CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyldene]methyl]-, hydrochloride (5:8) (9CI) (CA INDEX NAME)



●8/5 HCl

RN 307992-37-6 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyldene]phenylmethyl]-N,N-diethyl-, hydrochloride (10:23) (CA INDEX NAME)

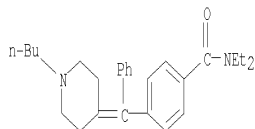


●23/10 HCl

RN 307992-38-7 CAPLUS

CN Benzamide, 4-[(1-butyl-4-piperidinyldene)phenylmethyl]-N,N-diethyl-, hydrochloride (10:19) (CA INDEX NAME)

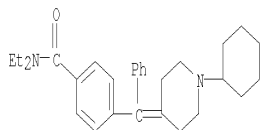
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●19/10 HCl

RN 307992-39-8 CAPLUS

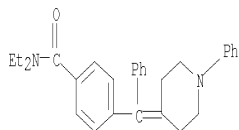
CN Benzamide, 4-[(1-cyclohexyl-4-piperidinylidene)phenylmethyl]-N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 307992-40-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-phenyl-4-piperidinylidene)methyl]-, hydrochloride (10:17) (CA INDEX NAME)

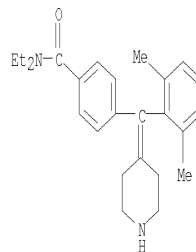


●17/10 HCl

RN 307992-41-2 CAPLUS

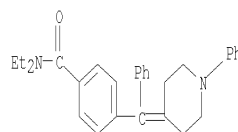
CN Benzamide, 4-[(2,6-dimethylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, (CA INDEX NAME)

Print selected from 10541656.trn



RN 307992-42-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-phenyl-4-piperidinylidene)methyl]- (CA INDEX NAME)



IT 193217-40-2P 209808-00-4P 209808-07-1P

209808-08-2P 209808-10-6P 209808-11-7P

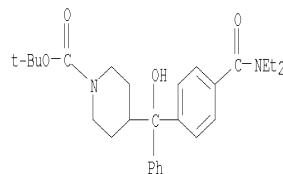
209808-19-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N,N-diethyl-4-(phenylpiperidin-4-ylidenemethyl)benzamide and its analogs as selective  $\delta$ -opioid receptor agonists)

RN 193217-40-2 CAPLUS

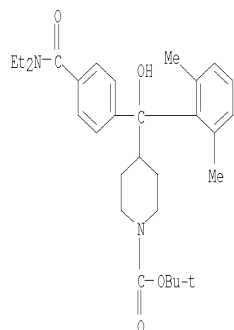
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



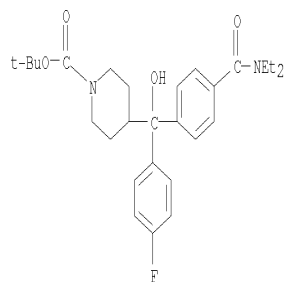
RN 209808-00-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](2,6-dimethylphenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

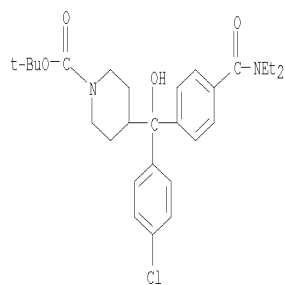
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RN 209808-07-1 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](4-fluorophenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

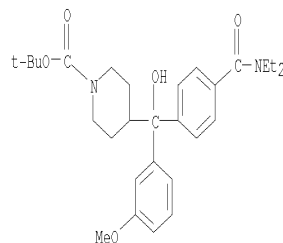


RN 209808-08-2 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[(4-chlorophenyl)[4-[(diethylamino)carbonyl]phenyl]hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

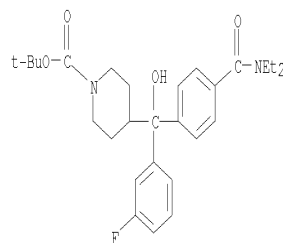


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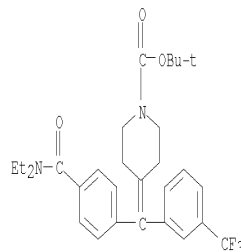
RN 209808-10-6 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]hydroxy(3-methoxyphenyl)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 209808-11-7 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](3-fluorophenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 209808-19-5 CAPLUS  
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-(trifluoromethyl)phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



Print selected from 10541656.trn

L11 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2000:653165 Document No. 134:4912 New Diarylmethylpiperazines as Potent and Selective Nonpeptidic  $\delta$  Opioid Receptor Agonists with Increased In Vitro Metabolic Stability. Plobeck, Niklas; Delorme, Daniel; Wei, Zhong-Yong; Yang, Hua; Zhou, Fei; Schwarz, Peter; Gawell, Lars; Gagnon, Helene; Pelcman, Benjamin; Schmidt, Ralf; Yue, Shi Yi; Walpole, Christopher; Brown, William; Zhou, Edward; Labarre, Maryse; Payza, Kemal; St-Onge, Stephane; Kamassah, Augustus; Morin, Pierre-Emmanuel; Projean, Denis; Ducharme, Julie; Roberts, Edward (Departments of Chemistry and Pharmacology, Astra Zeneca R&D Montreal, Saint-Laurent, QC, H4S 1Z9, Can.). Journal of Medicinal Chemistry, 43(21), 3878-3894 (English) 2000. CODEN: JMCMAR. ISSN: 0022-2623. OTHER SOURCES: CASREACT 134:4912. Publisher: American Chemical Society.

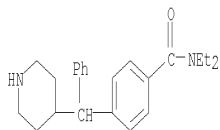
AB Nonpeptide  $\delta$  opioid agonists are analgesics with a potentially improved side-effect and abuse liability profile, compared to classical opioids. Andrews anal. of the NIH nonpeptide lead SNC-80 suggested the removal of substituents not predicted to contribute to binding. This approach led to a simplified lead, N,N-diethyl-4-[phenyl(1-piperazinyl)methyl]benzamide which retained potent binding affinity and selectivity to the human  $\delta$  receptor (IC<sub>50</sub> = 11 nM,  $\mu/\delta$  = 740,  $\kappa/\delta$  > 900) and potency as a full agonist (EC<sub>50</sub> = 36 nM) but had a markedly reduced mol. weight, only one chiral center, and increased in vitro metabolic stability. From this lead, the key pharmacophore groups for  $\delta$  receptor affinity and activation were more clearly defined by SAR and mutagenesis studies. Further structural modifications confirmed the importance of the N,N-diethylbenzamide group and the piperazine lower basic nitrogen for  $\delta$  binding, in agreement with mutagenesis data. A number of piperazine N-alkyl substituents were tolerated. In contrast, modifications of the Ph group led to the discovery of a series of diarylmethylpiperazines exemplified by N,N-diethyl-4-[1-piperazinyl(8-quinolinyl)methyl]benzamide which had an improved in vitro binding profile (IC<sub>50</sub> = 0.5 nM,  $\mu/\delta$  = 1239, EC<sub>50</sub> = 3.6 nM) and increased in vitro metabolic stability compared to SNC-80.

IT 193217-06-0P 308110-60-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(diarylmethylpiperazines as potent and selective nonpeptidic  $\delta$  opioid receptor agonists with increased in vitro metabolic stability)

RN 193217-06-0 CAPLUS

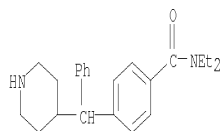
CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylmethyl)- (CA INDEX NAME)



RN 308110-60-3 CAPLUS

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CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

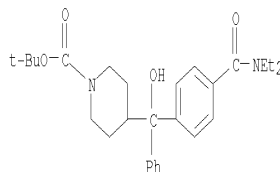
IT 193217-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(diarylmethylpiperazines as potent and selective nonpeptidic  $\delta$  opioid receptor agonists with increased in vitro metabolic stability)

RN 193217-40-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]hydroxyphenylmethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L11 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

2000:530560 Document No. 133:261089 Synthesis and evaluation of 4-(N,N-diarylamino)piperidines with high selectivity to the  $\delta$ -opioid receptor: a combined 3D-QSAR and ligand docking study. Podlogar, Brent L.; Poda, Gennady I.; Demeter, David A.; Zhang, Sui-Po; Carson, John R.; Neilson, Lou Anne; Reitz, Allen B.; Ferguson, David M. (Department of Chemistry, Bayer Research Center, West Haven, CT, 06516, USA). Drug Design and Discovery, 17(1), 34-50 (English) 2000. CODEN: DDDIEV. ISSN: 1055-9612. Publisher: Harwood Academic Publishers.

AB A series of 4-(N,N-diarylamino)piperidines are synthesized and evaluated for high affinity binding and selectivity to the  $\delta$ -opioid receptor using a combination of 3D-QSAR and mol. docking techniques. Based on exptl. ligand binding data to both  $\mu$ - and  $\delta$ -opioid receptors, CoMFA fields are generated and applied to identify potential ligand modifications to further optimize lead compds. Mol. docking expts. to the  $\delta$ -receptor are also reported that explain the CoMFA trends predicted as well as the differential binding and selectivity displayed by various

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comps. in the series. An anal. of the binding site model proposed indicates the piperidines take advantage of 3 key sites or binding domains within the  $\delta$ -receptor. These include an aromatic pocket (approx. 1/3 into the receptor cavity), an aspartic acid residue (which serves as a docking point for the piperidinyl cationic amine) and a hydrophobic pocket at the extracellular boundary of the receptor cavity. Links are established between ligand modification and amino acid composition at these sites in  $\mu$  and  $\delta$ , providing new insight to the structural basis to binding and selectivity across the series and for related piperazines (i.e. SNC80 and BW373U86). Results are also presented that indicate  $\delta$ - and  $\mu$ -selectivity may be determined at alternate sites, suggesting opioid receptors may display multiple binding domains. The model is further supported by comparisons with opiate binding modes and site directed mutagenesis studies and is finally applied to suggest new strategies in ligand design.

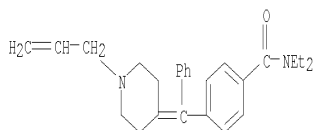
IT 209807-42-1 297750-41-5

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(synthesis and evaluation of (diarylamine)piperidines with high selectivity to  $\delta$ -opioid receptor over  $\mu$ -opioid receptor using combined 3D-QSAR and ligand docking study)

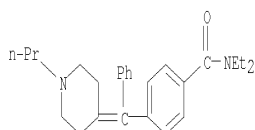
RN 209807-42-1 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinylidene]methyl]- (9CI) (CA INDEX NAME)



RN 297750-41-5 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl(1-propyl-4-piperidinylidene)methyl]- (CA INDEX NAME)



IT 209807-49-8P 297750-73-3P

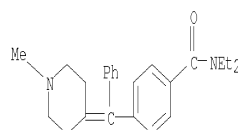
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(synthesis and evaluation of (diarylamine)piperidines with high selectivity to  $\delta$ -opioid receptor over  $\mu$ -opioid receptor using combined 3D-QSAR and ligand docking study)

Print selected from 10541656.trn

RN 209807-49-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinylidene)phenylmethyl]- (CA INDEX NAME)



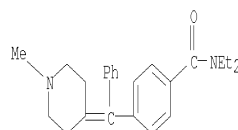
RN 297750-73-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinylidene)phenylmethyl]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 209807-49-8

CMF C24 H30 N2 O

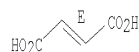


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 297750-69-7P

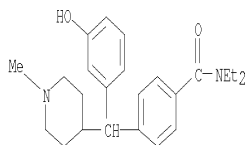
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and evaluation of (diarylamine)piperidines with high selectivity to  $\delta$ -opioid receptor over  $\mu$ -opioid receptor using combined 3D-QSAR and ligand docking study)

RN 297750-69-7 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)methyl]- (CA INDEX NAME)

Print selected from 10541656.trn



IT 297750-70-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis and evaluation of (diarylamino)piperidines with high selectivity to  $\delta$ -opioid receptor over  $\mu$ -opioid receptor using combined 3D-QSAR and ligand docking study)

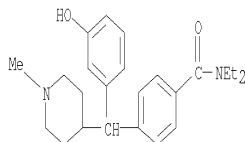
RN 297750-70-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-hydroxyphenyl)(1-methyl-4-piperidinyl)methyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 297750-69-7

CMF C24 H32 N2 O2

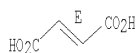


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



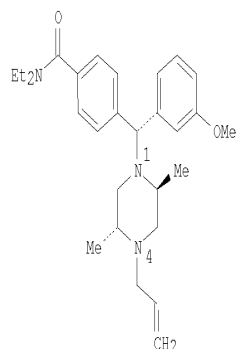
L11 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

1999:780596 Document No. 132:137355 Probes for Narcotic Receptor Mediated Phenomena. 26.Synthesis and Biological Evaluation of Diarylmethylpiperazines and Diarylmethylpiperidines as Novel, Nonpeptidic  $\delta$  Opioid Receptor Ligands. Zhang, Xiaoyan; Rice, Kenner C.; Calderon, Silvia N.; Kayakiri, Hiroshi; Smith, Larren; Coop, Andrew; Jacobson, Arthur E.; Rothman, Richard B.; Davis, Peg; Dersch, Christina M.; Porreca, Frank (Laboratory of Medicinal Chemistry, National Institute

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of Diabetes and Digestive and Kidney Diseases National Institutes of Health, Bethesda, MD, 20892, USA). Journal of Medicinal Chemistry, 42(26), 5455-5463 (English) 1999. CODEN: JMCMAR. ISSN: 0022-2623. Publisher: American Chemical Society.

GI



AB We recently reported (+)-4-[( $\alpha$ R)- $\alpha$ -(2S,5R)-4-allyl-2,5-dimethyl-1-piperazinyl]-3-methoxybenzyl]-N,N-diethylbenzamide (1b, SNC80, I) as a novel nonpeptidic  $\delta$  receptor agonist and explored the structure-activity relationships (SAR) of a series of related derivs. We have found that  $\delta$  binding activities and selectivity showed little change when the 3-methoxy group in 1b was removed or replaced by the other substituents, whereas the N,N-diethylbenzamide group is important for interaction with the  $\delta$  receptor. Extensive modification of the piperazine nucleus led to the synthesis of a new series of N,N-diethyl( $\alpha$ -piperazinylbenzyl)benzamides, N,N-diethyl( $\alpha$ -piperidinyl or piperidinylidenebenzyl)benzamides, and related derivs. Several compds. strongly bound to the  $\delta$  receptor with  $K_i$  values in the low nanomolar range. On the other hand, the binding affinities of these compds. for the  $\mu$  and  $\kappa$  receptors were negligible, indicating excellent  $\delta$  opioid receptor subtype selectivity. The two nitrogen atoms on the piperazine nucleus showed different SAR in the interaction of this series of compds. at the  $\delta$  receptor. Nitrogen N4 appears to be an important structural element and is essential for electrostatic interaction, while N1 seems to be unnecessary for recognition at the  $\delta$  receptor.

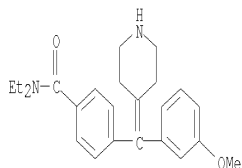
IT 209807-51-2P 209807-52-3P 256504-46-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and biol. evaluation of (diarylmethyl)piperazines and (diarylmethyl)piperidines as nonpeptidic  $\delta$  opioid receptor ligands)

RN 209807-51-2 CAPLUS

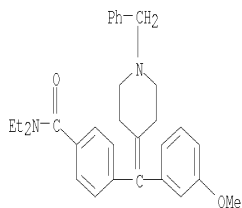
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CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylidenemethyl]-  
(CA INDEX NAME)



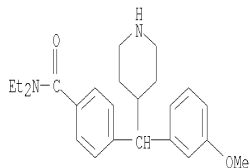
RN 209807-52-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl) [1-(phenylmethyl)-4-  
piperidinylidene]methyl]- (CA INDEX NAME)



RN 256504-46-8 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylmethyl]- (CA  
INDEX NAME)



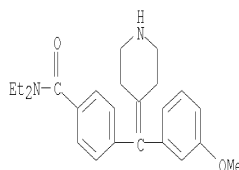
IT 209808-42-4P 209808-43-5P 256504-47-9P  
256504-48-0P 256504-57-1P 256504-58-2P  
256504-59-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
study, unclassified); SPN (Synthetic preparation); BIOL (Biological  
study); PREP (Preparation)  
(synthesis and biol. evaluation of (diarylmethyl)piperazines and  
(diarylmethyl)piperidines as nonpeptidic  $\delta$  opioid receptor  
ligands)

RN 209808-42-4 CAPLUS

Print selected from 10541656.trn

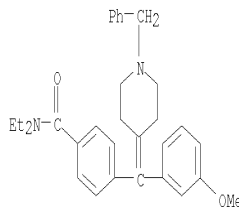
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylidenemethyl]-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 209808-43-5 CAPLUS

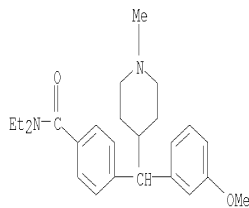
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl) [1-(phenylmethyl)-4-  
piperidinylidene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 256504-47-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl) (1-methyl-4-piperidinyl)methyl]-  
(CA INDEX NAME)

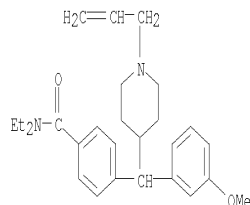




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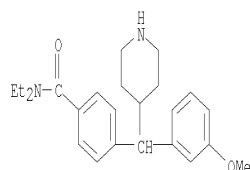
RN 256504-48-0 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(2-propenyl)-4-piperidinylmethyl]- (9CI) (CA INDEX NAME)



RN 256504-57-1 CAPLUS

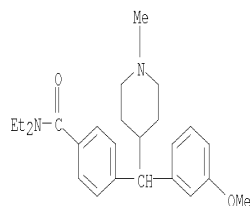
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 256504-58-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)(1-methyl-4-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

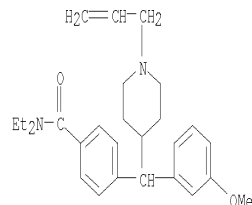


● HCl

Print selected from 10541656.trn

RN 256504-59-3 CAPLUS

CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(2-propenyl)-4-piperidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

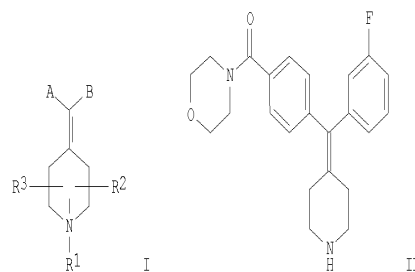


● HCl

L11 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2008 ACS ON STN

1998:479508 Document No. 129:95406 Preparation of 4-[diaryl- or (arylheteroaryl)methylene]piperidine derivatives with analgesic effect. Delorme, Daniel; Roberts, Edward; Wei, Zhongyong (Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)). PCT Int. Appl. WO 9828275 A1 19980702, 129 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1997-SE2050 19971209. PRIORITY: SE 1996-4785 19961220; SE 1997-2535 19970701.

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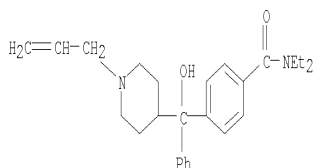
AB Compds. of general formula [I; R1 = H, linear or branched C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, C3-6 cycloalkyl-C1-2 alkyl, C6-10 aryl, heteroaryl having 5 to 10 atoms selected from C, S, N, and O, C1-2 alkyl-(un)substituted C6-10 aryl, C1-2 alkyl-(un)substituted heteroaryl having 5 to 10 atoms selected from C, S, N, and O; R2, R3 = H, C1-6 alkyl; A = N and/or benzene-ring 4-carbamoylphenyl, 4-sulfamoylphenyl, acylaminophenyl, or acylphenyl wherein N and/or benzene-ring are optionally substituted; B = (un)substituted aromatic, heteroarom., hydroarom., or heterohydroarom. moieties having 5 to 10 atoms selected from C, S, N, and O atoms] are disclosed and claimed in the present application, as well as their pharmaceutically acceptable salts, pharmaceutical compns. comprising the novel compds., their use in therapy, in particular in the management of pain and in the treatment of gastrointestinal disorders, spinal injuries, disorders of the sympathetic nervous system, and isotopically labeled I as diagnostic agents. The compds. are ligands for opioid receptor, have analgesic effect, and are useful for the treatment of various pain conditions such as chronic pain, acute pain, cancer pain, pain caused by rheumatoid arthritis, migraine, visceral pain, etc. (no data). Thus, tert-Bu 4-[bromo[4-(morpholinocarbonyl)phenyl]methylene]-1-piperidinecarboxylate (preparation given) was coupled with 3-fluorophenylboronic acid in the presence of (PPh3)4Pd and Na2CO3 in aqueous EtOH at 80° for 2 h under N followed by treatment with CF3CO2H and acidification with aqueous HCl to give the title compound (II.HCl).

IT 209808-28-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209808-28-6 CAPLUS

CN Benzamide, N,N-diethyl-4-[hydroxyphenyl[1-(2-propenyl)-4-piperidinyl]methyl]- (9CI) (CA INDEX NAME)



IT 209808-00-4P 209808-01-5P 209808-03-7P

209808-07-1P 209808-08-2P 209808-10-6P

209808-11-7P 209808-19-5P 209808-20-8P

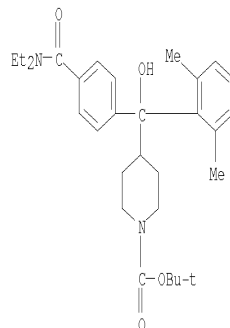
209808-30-0P 209808-47-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209808-00-4 CAPLUS

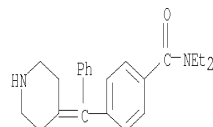
CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](2,6-dimethylphenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

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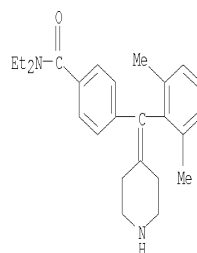
RN 209808-01-5 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylidenemethyl)- (CA INDEX NAME)



RN 209808-03-7 CAPLUS

CN Benzamide, 4-[(2,6-dimethylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



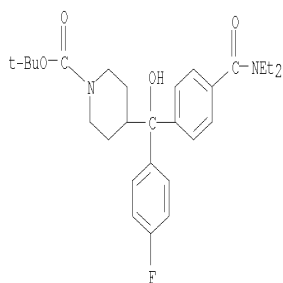
● HCl

RN 209808-07-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl](4-

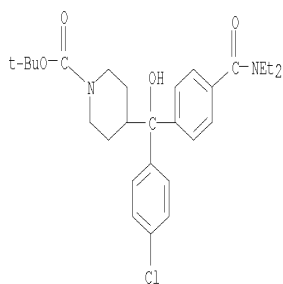
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fluorophenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



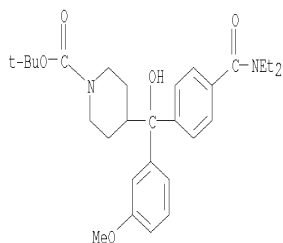
RN 209808-08-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-(4-chlorophenyl)[4-((diethylamino)carbonyl)phenyl]hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 209808-10-6 CAPLUS

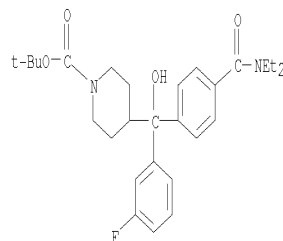
CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-(diethylamino)carbonyl]phenyl]hydroxy(3-methoxyphenyl)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



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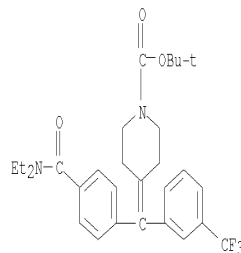
RN 209808-11-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-(diethylamino)carbonyl]phenyl][3-(fluorophenyl)hydroxymethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



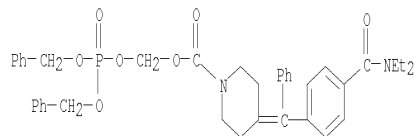
RN 209808-19-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-(diethylamino)carbonyl]phenyl][3-(trifluoromethyl)phenyl]methylene]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 209808-20-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[[4-(diethylamino)carbonyl]phenyl]phenylmethylene]-, [[bis(phenylmethoxy)phosphinyl]oxy]methyl ester (CA INDEX NAME)

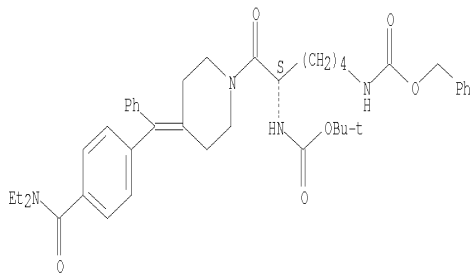


RN 209808-30-0 CAPLUS

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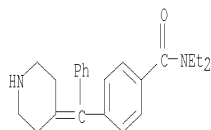
CN Carbamic acid, [(1S)-1-[[4-[[4-[(diethylamino)carbonyl]phenyl]phenylmethyl  
ene]-1-piperidinyl]carbonyl]-5-[[[(phenylmethoxy)carbonyl]amino]pentyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 209808-47-9 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinyldenemethyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 209807-35-2P 209807-36-3P 209807-37-4P  
209807-40-9P 209807-41-0P 209807-42-1P  
209807-43-2P 209807-44-3P 209807-45-4P  
209807-46-5P 209807-47-6P 209807-48-7P  
209807-49-8P 209807-51-2P 209807-52-3P  
209807-53-4P 209807-55-6P 209807-56-7P  
209807-57-8P 209807-58-9P 209807-59-0P  
209807-60-3P 209807-61-4P 209807-62-5P  
209807-63-6P 209807-64-7P 209807-68-1P  
209807-69-2P 209807-70-5P 209807-71-6P  
209807-72-7P 209807-73-8P 209807-74-9P  
209807-75-0P 209807-76-1P 209807-78-3P  
209807-79-4P 209807-80-7P 209807-81-8P  
209807-82-9P 209807-83-0P 209807-84-1P  
209807-85-2P 209808-27-5P 209808-29-7P  
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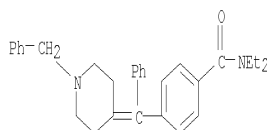
209808-39-9P 209808-40-2P 209808-42-4P

209808-43-5P 209808-44-6P 209808-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological  
study); PREP (Preparation); USES (Uses)  
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs.  
with analgesic effect)

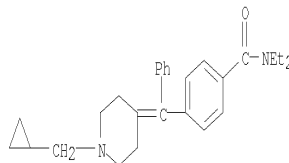
RN 209807-35-2 CAPLUS

CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-  
piperidinyldene]methyl]- (CA INDEX NAME)



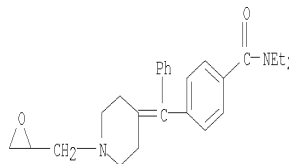
RN 209807-36-3 CAPLUS

CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyldene]phenylmethyl]-N,N-  
diethyl- (CA INDEX NAME)



RN 209807-37-4 CAPLUS

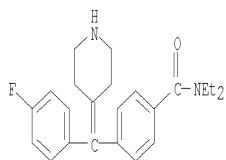
CN Benzamide, N,N-diethyl-4-[[1-(oxiranylmethyl)-4-  
piperidinyldene]phenylmethyl]- (9CI) (CA INDEX NAME)



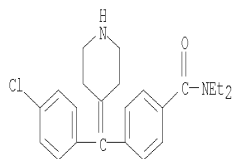
RN 209807-40-9 CAPLUS

CN Benzamide, N,N-diethyl-4-[(4-fluorophenyl)-4-piperidinyldenemethyl]- (CA  
INDEX NAME)

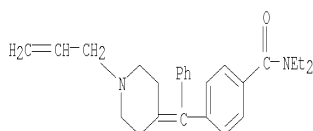
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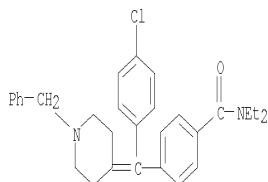
RN 209807-41-0 CAPLUS  
CN Benzamide, 4-[(4-chlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



RN 209807-42-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinylidene]methyl]- (9CI) (CA INDEX NAME)



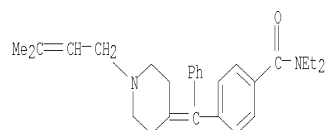
RN 209807-43-2 CAPLUS  
CN Benzamide, 4-[(4-chlorophenyl)[1-(phenylmethyl)-4-piperidinylidene]methyl]-N,N-diethyl- (CA INDEX NAME)



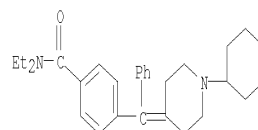
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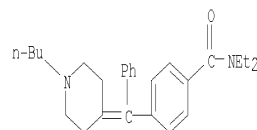
CN Benzamide, N,N-diethyl-4-[1-(3-methyl-2-butenyl)-4-piperidinylidene]phenylmethyl]- (9CI) (CA INDEX NAME)



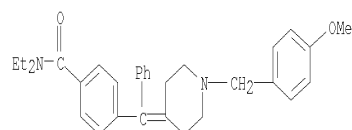
RN 209807-45-4 CAPLUS  
CN Benzamide, 4-[(1-cyclohexyl-4-piperidinylidene)phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



RN 209807-46-5 CAPLUS  
CN Benzamide, 4-[(1-butyl-4-piperidinylidene)phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



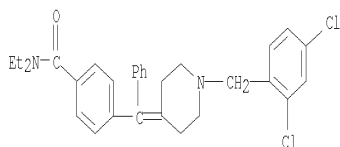
RN 209807-47-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[1-[(4-methoxyphenyl)methyl]-4-piperidinylidene]phenylmethyl]- (CA INDEX NAME)



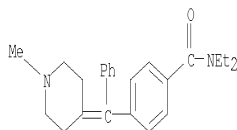
RN 209807-48-7 CAPLUS

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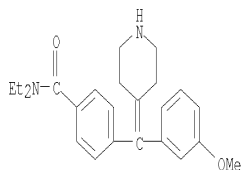
RN 209807-49-8 CAPLUS  
CN Benzamide, 4-[[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyldene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)



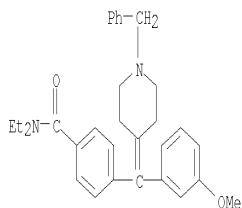
RN 209807-49-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(1-methyl-4-piperidinyldene)phenylmethyl]- (CA INDEX NAME)



RN 209807-51-2 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

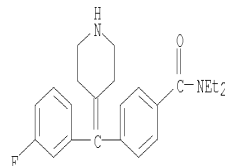


RN 209807-52-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]- (CA INDEX NAME)

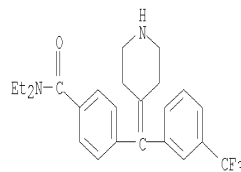


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RN 209807-53-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-fluorophenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

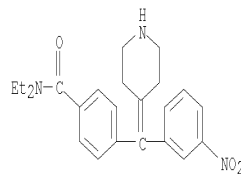


RN 209807-55-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[3-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



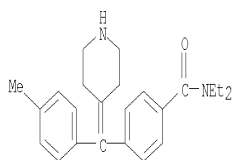
● HCl

RN 209807-56-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-nitrophenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

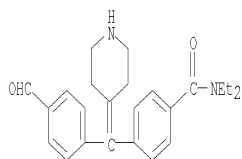


RN 209807-57-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(4-methylphenyl)-4-piperidinyldenemethyl]- (CA INDEX NAME)

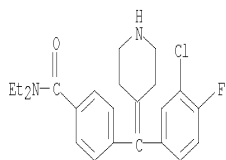
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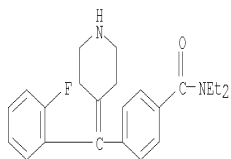
RN 209807-58-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(4-formylphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



RN 209807-59-0 CAPLUS  
CN Benzamide, 4-[(3-chloro-4-fluorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)

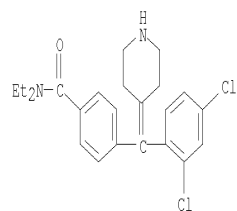


RN 209807-60-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(2-fluorophenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)



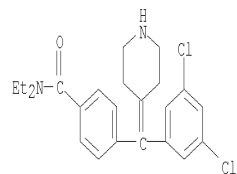
Print selected from 10541656.trn

RN 209807-61-4 CAPLUS  
CN Benzamide, 4-[(2,4-dichlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



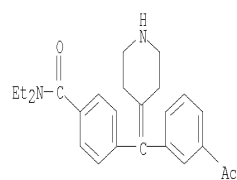
● HCl

RN 209807-62-5 CAPLUS  
CN Benzamide, 4-[(3,5-dichlorophenyl)-4-piperidinylidenemethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



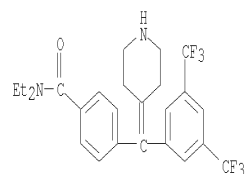
● HCl

RN 209807-63-6 CAPLUS  
CN Benzamide, 4-[(3-acetylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



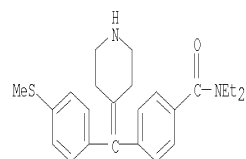
Print selected from 10541656.trn

RN 209807-64-7 CAPLUS  
CN Benzamide, 4-[[3,5-bis(trifluoromethyl)phenyl]-4-piperidinyldenemethyl]-  
N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)

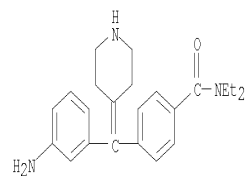


● HCl

RN 209807-68-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[4-(methylthio)phenyl]-4-piperidinyldenemethyl]-  
(CA INDEX NAME)

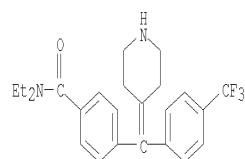


RN 209807-69-2 CAPLUS  
CN Benzamide, 4-[(3-aminophenyl)-4-piperidinyldenemethyl]-N,N-diethyl- (CA  
INDEX NAME)



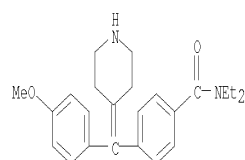
RN 209807-70-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[4-(  
trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

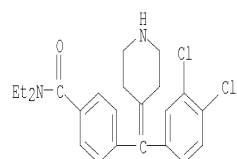


● HCl

RN 209807-71-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(4-methoxyphenyl)-4-piperidinyldenemethyl]-  
(CA INDEX NAME)



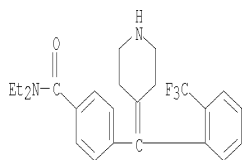
RN 209807-72-7 CAPLUS  
CN Benzamide, 4-[(3,4-dichlorophenyl)-4-piperidinyldenemethyl]-N,N-diethyl-  
(CA INDEX NAME)



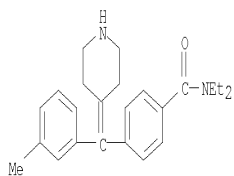
RN 209807-73-8 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinyldene[2-(  
trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



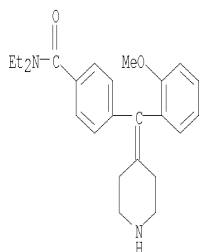
Print selected from 10541656.trn



RN 209807-74-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methylphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

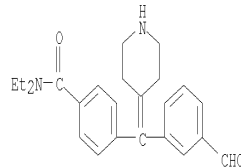


RN 209807-75-0 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(2-methoxyphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

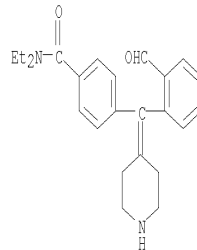


RN 209807-76-1 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-formylphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

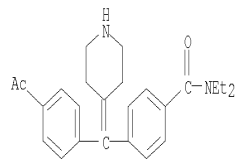
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RN 209807-78-3 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(2-formylphenyl)-4-piperidinylidenemethyl]- (CA INDEX NAME)

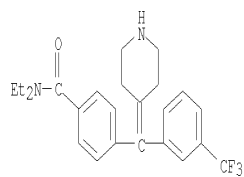


RN 209807-79-4 CAPLUS  
CN Benzamide, 4-[(4-acetylphenyl)-4-piperidinylidenemethyl]-N,N-diethyl- (CA INDEX NAME)



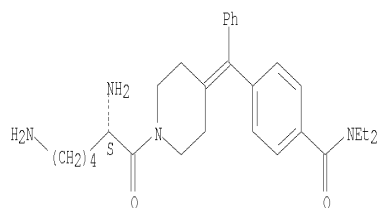
RN 209807-80-7 CAPLUS  
CN Benzamide, N,N-diethyl-4-[4-piperidinylidene[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

Print selected from 10541656.trn

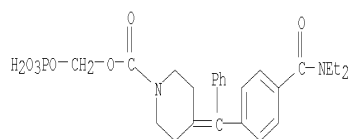


RN 209807-81-8 CAPLUS  
 CN Benzamide, 4-[[1-[(2S)-2,6-diamino-1-oxohexyl]-4-piperidinyldene]phenylmethyl]-N,N-diethyl- (CA INDEX NAME)

Absolute stereochemistry.



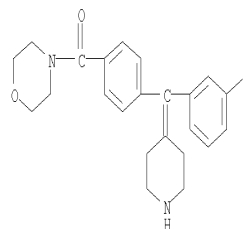
RN 209807-82-9 CAPLUS  
 CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]phenylmethylene]-, (phosphonoxy)methyl ester, disodium salt (9CI) (CA INDEX NAME)



● 2 Na

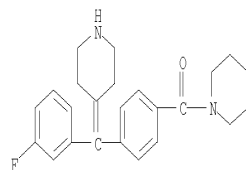
RN 209807-83-0 CAPLUS  
 CN Morpholine, 4-[4-[(3-fluorophenyl)-4-piperidinyldienemethyl]benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

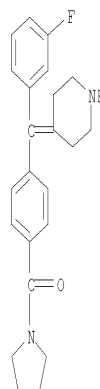


● HCl

RN 209807-84-1 CAPLUS  
 CN Piperidine, 1-[4-[(3-fluorophenyl)-4-piperidinyldienemethyl]benzoyl]- (9CI) (CA INDEX NAME)

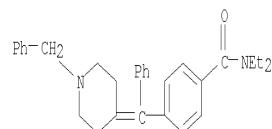


RN 209807-85-2 CAPLUS  
 CN Pyrrolidine, 1-[4-[(3-fluorophenyl)-4-piperidinyldienemethyl]benzoyl]- (9CI) (CA INDEX NAME)



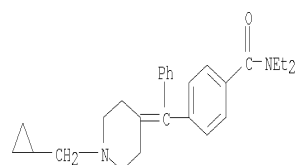
Print selected from 10541656.trn

RN 209808-27-5 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[1-(phenylmethyl)-4-piperidinyldene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



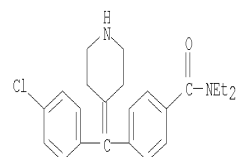
● HCl

RN 209808-29-7 CAPLUS  
CN Benzamide, 4-[[1-(cyclopropylmethyl)-4-piperidinyldene]phenylmethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

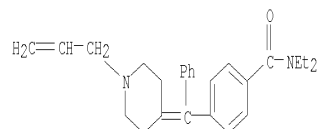
RN 209808-33-3 CAPLUS  
CN Benzamide, 4-[[4-(4-chlorophenyl)-4-piperidinyldene]methyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

Print selected from 10541656.trn

RN 209808-34-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[phenyl[1-(2-propenyl)-4-piperidinyldene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

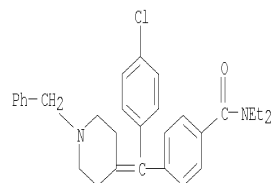


● HCl

RN 209808-35-5 CAPLUS  
CN Benzamide, 4-[(4-chlorophenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-N,N-diethyl-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

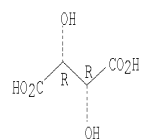
CRN 209807-43-2  
CMF C30 H33 Cl N2 O



CM 2

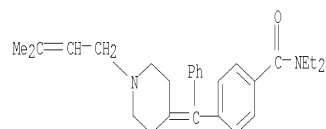
CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



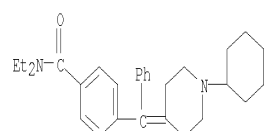
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RN 209808-36-6 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[1-(3-methyl-2-butenyl)-4-piperidinyldene]phenylmethyl]-, hydrochloride (5:9) (9CI) (CA INDEX NAME)



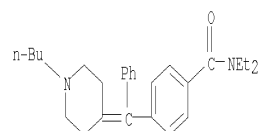
● 9/5 HCl

RN 209808-37-7 CAPLUS  
CN Benzamide, 4-[[1-(cyclohexyl-4-piperidinyldene)phenylmethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

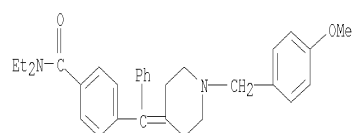
RN 209808-38-8 CAPLUS  
CN Benzamide, 4-[[1-(1-butyl-4-piperidinyldene)phenylmethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

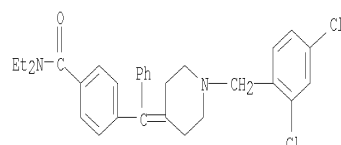
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RN 209808-39-9 CAPLUS  
CN Benzamide, N,N-diethyl-4-[[1-[(4-methoxyphenyl)methyl]-4-piperidinyldene]phenylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



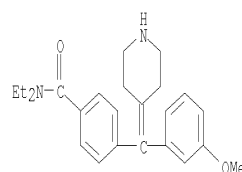
● HCl

RN 209808-40-2 CAPLUS  
CN Benzamide, 4-[[1-[(2,4-dichlorophenyl)methyl]-4-piperidinyldene]phenylmethyl]-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 209808-42-4 CAPLUS  
CN Benzamide, N,N-diethyl-4-[(3-methoxyphenyl)-4-piperidinyldenemethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

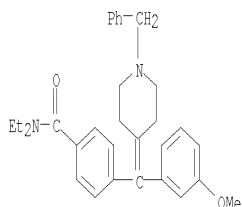


● HCl

Print selected from 10541656.trn

RN 209808-43-5 CAPLUS

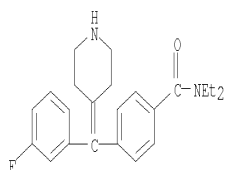
CN Benamide, N,N-diethyl-4-[(3-methoxyphenyl)[1-(phenylmethyl)-4-piperidinyldene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 209808-44-6 CAPLUS

CN Benamide, N,N-diethyl-4-[(3-fluorophenyl)-4-piperidinyldene]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



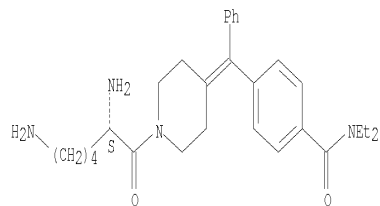
● HCl

RN 209808-46-8 CAPLUS

CN Benamide, 4-[[1-[(2S)-2,6-diamino-1-oxohexyl]-4-piperidinyldene]phenylmethyl]-N,N-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Print selected from 10541656.trn

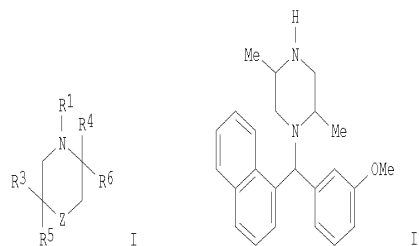


● 2 HCl

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1997:506552 Document No. 127:149159 Preparation of N-diarylmethylpiperazines as analgesics. Roberts, Edward; Plobeck, Niklas; Wahlestedt, Claes (Astra Pharma Inc., Can.; Astra Aktiebolag (Publ); Roberts, Edward; Plobeck, Niklas; Wahlestedt, Claes). PCT Int. Appl. WO 9723466 A1 19970703, 110 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1996-SE1635 19961211. PRIORITY: SE 1995-4661 19951222.

GI



AB Title compds. [I; R1 = H, alkyl, (hetero)aryl, etc.; R3-R6 = groups cited for R1, amino(alkyl), carbamoyl(alkyl), etc.; Z = CHCR2R7R8 or NCR2R7R8; R2 = H, Me, OR1, CO2R1, CH2CO2R1; R7 = aminophenyl, acylphenyl, quinolyl, etc.; R8 = (hetero)aryl] were prepared as analgesics (no data). Thus, 3-(MeO)C6H4Br was treated with BuLi and the product condensed with 1-naphthaldehyde to give, after chlorination and amination with trans-2,5-dimethylpiperazine, title compound trans-II.

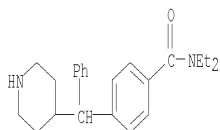
IT 193217-06-0P

Print selected from 10541656.trn

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-diarylmethylpiperazines as analgesics)

RN 193217-06-0 CAPLUS

CN Benzamide, N,N-diethyl-4-(phenyl-4-piperidinylmethyl)- (CA INDEX NAME)

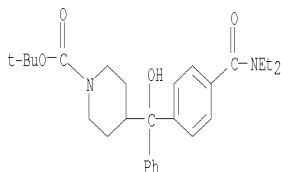


IT 193217-40-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N-diarylmethylpiperazines as analgesics)

RN 193217-40-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl]hydroxyphenylmethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

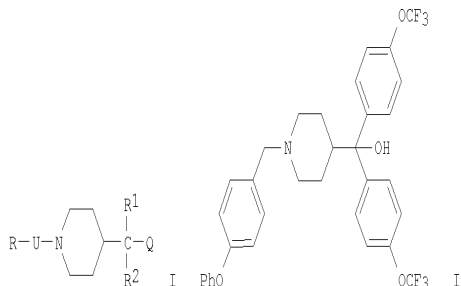


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1997:411068 Document No. 127:108852 Insecticidal N-(substituted arylmethyl)-4-[bis(substituted phenyl)methyl]piperidines. Silverman, Ian R.; Cohen, Daniel H.; Lyga, John W.; Szczepanski, Steven W.; Ali, Syed F. (FMC Corp., USA). U.S. US 5639763 A 19970617, 47 pp., Cont.-in-part of U.S. Ser. No. 204,033, abandoned. (English). CODEN: USXXAM.  
APPLICATION: US 1995-389675 19950216. PRIORITY: US 1994-204033 19940301.

GI

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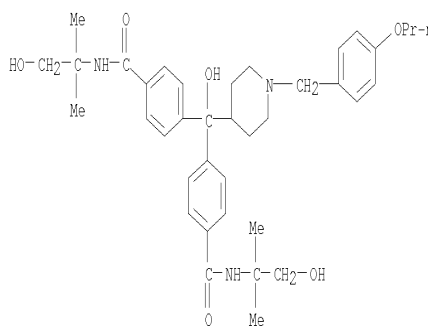
AB Title compds. I and the corresponding N-oxides and agriculturally acceptable salts are disclosed as effective insecticides [in which U = (CH2)n, CHMe, CO, NHCO, etc.; Q = H, OH, SH, F; R = 5- or 6-membered heterocycle with optional benzo fusion, (un)substituted Ph or hetero-fused Ph; R1, R2 = 5- or 6-membered heterocyclyl, (un)substituted Ph; n = 1, 2, or 3; with provisos]. For instance, reductive N-alkylation of Et piperidin-4-ylcarboxylate with 4-PhOC6H4CHO and NaBH3CN, and Grignard reaction of the resultant ester with 4-CF3OC6H4Br, gave title compound II. At 10-4 M in the diet of the tobacco budworm (*Heliothis virescens*), II gave 100% mortality and 100% growth inhibition.

IT 183993-48-8P 183993-49-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of insecticidal (arylmethyl)bis(phenylmethyl)piperidines)

RN 183993-48-8 CAPLUS

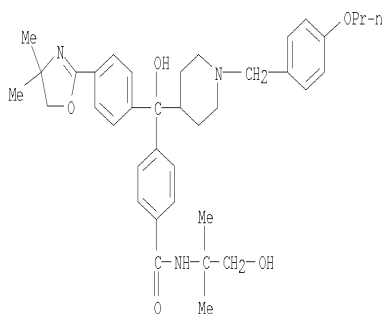
CN Benzamide, 4,4'-[hydroxy[1-[(4-propoxyphenyl)methyl]-4-piperidinyl]methylene]bis[N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)



RN 183993-49-9 CAPLUS

Print selected from 10541656.trn

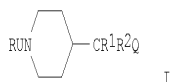
CN Benzamide, 4-[[4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]hydroxy[1-[(4-propoxyphenyl)methyl]-4-piperidinyl)methyl]-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)



L11 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

1996:701933 Document No. 126:3093 Insecticidal N-(substituted arylmethyl)-4-[bis(substituted phenyl)methyl]piperidines. Silverman, Ian R.; Cohen, Daniel H.; Lyga, John W.; Szczepanski, Steven W.; Ali, Syed F. (FMC Corp., USA). U.S. US 5569664 A 19961029, 45 pp., Division of U.S. Ser. No. 389, 675. (English). CODEN: USXXAM. APPLICATION: US 1995-445315 19950519. PRIORITY: US 1995-389675 19950216.

GI



AB Substituted piperidines I [U = H3CCH<sub>2</sub>, (CH<sub>2</sub>)<sub>n</sub>, etc.; Q = H, OH, SH, F; R = heterocyclyl, (substituted) Ph; R<sub>1</sub>, R<sub>2</sub> = Ph substituted with haloalkyl, haloalkoxy, alkoxyalkyl, dialkylaminosulfonyl, hydroxyalkylaminocarbonyl, alkylsulfonyloxy, haloalkylsulfonyloxy; n = 1-3], the corresponding N-oxides, and agriculturally acceptable salts are prepared for use as agricultural insecticides. Thus, 4-trifluoromethoxyphenyl bromide reacted with Mg and Et N-(4-methoxyphenylmethyl)piperidine-4-carboxylate to form I (U = CH<sub>2</sub>, Q = OH, R = 4-MeOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = R<sub>2</sub> = 4-F<sub>3</sub>COC<sub>6</sub>H<sub>4</sub>) (II). II, applied as a foliar spray at 100 ppm to pinto bean plants, gave 100% control of cabbage loopers (*Trichoplusia ni*).

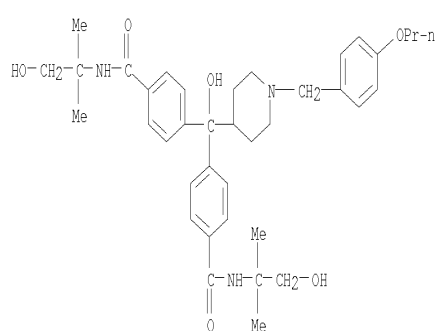
IT 183993-48-8P 183993-49-9P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (insecticidal bis(substituted phenyl)methylpiperidines)

RN 183993-48-8 CAPLUS

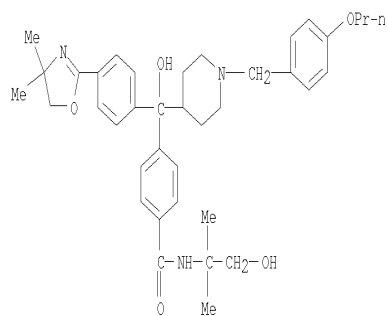
Print selected from 10541656.trn

CN Benzamide, 4,4'-[hydroxy[1-[(4-propoxyphenyl)methyl]-4-piperidinyl)methylene]bis[N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)



RN 183993-49-9 CAPLUS

CN Benzamide, 4-[[4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]hydroxy[1-[(4-propoxyphenyl)methyl]-4-piperidinyl)methyl]-N-(2-hydroxy-1,1-dimethylethyl)- (CA INDEX NAME)

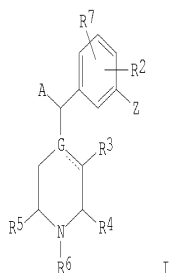


L11 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

1994:483367 Document No. 121:83367 Analgesic diarylmethylpiperazines and piperidines. Chang, Kwen Jen; Boswell, Grady Evan; Bubacz, Dulce Garrido; Collins, Mark Allan; Davis, Ann Otstot; McNutt, Robert Walton (Wellcome Foundation Ltd., UK). PCT Int. Appl. WO 9315062 A1 19930805, 214 pp. DESIGNATED STATES: RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1993-GB216 19930202. PRIORITY: GB 1992-2238 19920203.

GI

Print selected from 10541656.trn



AB The title compds. [I; A = 5- or 6-membered carbocyclic or heterocyclic aromatic ring; G = C, N; R<sub>2</sub> = H, halogen, C1-4 alkyl; R<sub>3</sub>-R<sub>5</sub> = H, Me (so long as the total number of Me groups is not greater than 2); R<sub>6</sub> = H, C1-6 alkyl, C3-6 cycloalkyl, aralkyl, etc.; R<sub>7</sub> = H, F; Z = HO, esters, hydroxymethyl, NH<sub>2</sub>, carboximides, sulfonimides; R<sub>1</sub> = R<sub>2</sub> = R<sub>7</sub> = F only when Z = OH and G = C when R<sub>6</sub> ≠ aralkyl], useful as mu and/or delta receptor opioid compds. for mediating analgesia, are prepared and I-containing formulations presented. Thus, (±)-4-[(α-R)-α-[(2S,5R)]-4-allyl-2,5-dimethyl-1-piperazinyl-3-hydroxybenzyl]-N,N-diethylbenzamide, prepared from 3-bromophenol in a multi-step reaction, demonstrated 50% inhibitory

concentration

against rat brain delta receptors at 1.8 nM and 50% Mu receptor inhibitory concentration of 15 nM.

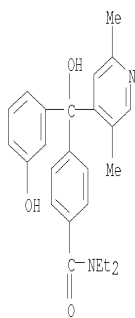
IT 155766-56-6P 155766-57-7P 155766-59-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of analgesics)

RN 155766-56-6 CAPLUS

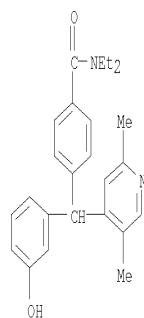
CN Benzamide, 4-[(2,5-dimethyl-4-pyridinyl)hydroxy(3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



Print selected from 10541656.trn

RN 155766-57-7 CAPLUS

CN Benzamide, 4-[(2,5-dimethyl-4-pyridinyl)(3-hydroxyphenyl)methyl]-N,N-diethyl- (CA INDEX NAME)



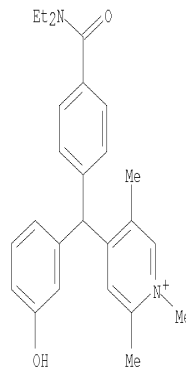
RN 155766-59-9 CAPLUS

CN Pyridinium, 4-[[4-[(diethylamino)carbonyl]phenyl](3-hydroxyphenyl)methyl]-1,2,5-trimethyl-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155766-58-8

CMF C26 H31 N2 O2



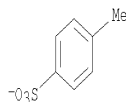
CM 2

CRN 16722-51-3



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CMF C7 H7 O3 S



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=>

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of publication  
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NEWS 8 JAN 28 MEDLINE and LMEEDLINE reloaded with enhancements  
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U.S. National Patent Classification  
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spectra  
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applications updated  
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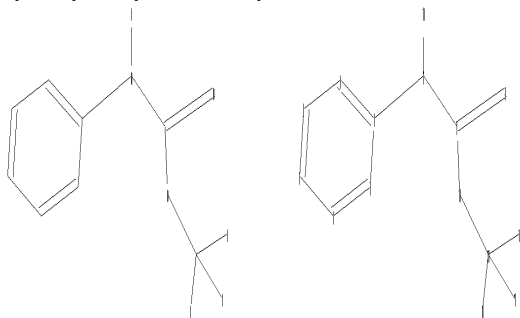
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chain nodes :  
7 8 10 11  
ring nodes :  
1 2 3 4 5 6  
ring/chain nodes :  
9 12 13 14 15  
chain bonds :  
4-7 7-8 7-15 8-9 8-10 10-11 11-12 11-13 11-14  
ring bonds :  
1-6 1-2 2-3 3-4 4-5 5-6  
exact/norm bonds :  
4-7 7-8 8-9 8-10 10-11  
exact bonds :  
7-15 11-12 11-13 11-14  
normalized bonds :  
1-6 1-2 2-3 3-4 4-5 5-6

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS

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L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> s l1

SAMPLE SEARCH INITIATED 17:22:01 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 10676 TO ITERATE

18.7% PROCESSED 2000 ITERATIONS 50 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 207328 TO 219712  
PROJECTED ANSWERS: 6018 TO 8286

L3 50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 17:22:06 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 213888 TO ITERATE

100.0% PROCESSED 213888 ITERATIONS 8171 ANSWERS  
SEARCH TIME: 00.00.01

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=> s piperidine/rsd  
'RSD' IS NOT A VALID FIELD CODE  
L5 0 PIPERIDINE/RSD

=> s piperidine/cn  
L6 1 PIPERIDINE/CN

=> d rsd l6

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

Ring System Data

Elemental	Elemental	Size of	Ring System	Ring	RID
Analysis	Sequence	the Rings	Formula	Identifier	Occurrence
EA	ES	SZ	RF	RID	Count
C5N	NC5	6	C5N	46.156.1	1

=> s 46.156.1  
L7 0 46.156.1

Print selected from 10541656.trn

=> s 46.156.1/rid  
L8 1326576 46.156.1/RID

=> s piperazine/cn  
L9 1 PIPERAZINE/CN

=> d rsd l9

L9 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

Ring System Data

Elemental	Elemental	Size of	Ring System	Ring	RID
Analysis	Sequence	the Rings	Formula	Identifier	Occurrence
EA	ES	SZ	RF	RID	Count
C4N2	INC2NC2	6	C4N2	46.383.1	1

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L10 0 46.383.1

=> s 46.383.1/rid  
L11 1011064 46.383.1/RID

=> s l8 and l4  
L12 336 L8 AND L4

=> s l11 and l4  
L13 401 L11 AND L4

=> file caplus  
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L14 96 L12

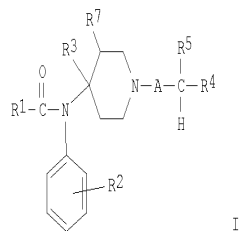
=> s l13  
L15 57 L13

=> s l12 and opioid  
96 L12  
38368 OPIOID  
25722 OPIOIDS  
45107 OPIOID  
(OPIOID OR OPIOIDS)  
L16 7 L12 AND OPIOID

=> d cbib abs hitstr 1-7

L16 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
2006:1005694 Document No. 145:377208 Preparation of N-substituted-N-(4-piperidinyl)amide derivatives as analgesics. Takahashi, Toshihiro; Endo, Tsuyoshi; Shiota, Katsutoshi; Sakuma, Syogo; Yamakawa, Tomio; Shika, Kiichi; Kawasaki, Toru; Imai, Toshiyasu; Hirate, Kenji (Nippon Chemiphar Co., Ltd., Japan). PCT Int. Appl. WO 2006101245 A1 20060928, 101pp.  
DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, A2, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (Japanese). CODEN: PTXXD2. APPLICATION: WO 2006-JP306381 20060322. PRIORITY: JP 2005-83653 20050323.

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AB The title compds. I [A = (CHR<sub>6</sub>)<sub>m</sub>; m = 1 or 2; R<sub>1</sub> = alkyl, cycloalkyl, (un)substituted 5- or 6-membered heterocyclic group, etc.; R<sub>2</sub> = acylamino, ureido, thioureido, etc.; R<sub>3</sub> = H, alkoxycarbonyl, etc.; R<sub>4</sub> = (un)substituted Ph, (un)substituted 5- or 6-membered heterocyclic group; R<sub>5</sub> = H, alkyl, OH, etc.; R<sub>6</sub> = H, alkyl; R<sub>7</sub> = H, methyl] are prepared Thus,

Print selected from 10541656.trn

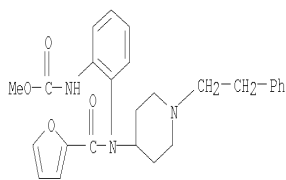
N-(2-acetylaminophenyl)-N-(1-phenethylpiperidin-4-yl)propionic acid amide oxalic acid salt was prepared in several steps from 1-phenethyl-4-piperidone and 2'-aminoacetanilide. In a binding assay for the  $\mu$  opioid receptor, one compound of this invention showed IC50 value of 2.4 nM, vs. IC50 value of 21 nM shown by fentanyl.

IT 910876-65-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of N-substituted-N-(4-piperidinyl)amide derivs. as analgesics)

RN 910876-65-2 CAPLUS

CN Carbamic acid, [2-[(2-furanylcarbonyl)[1-(2-phenylethyl)-4-piperidinyl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 910876-66-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of N-substituted-N-(4-piperidinyl)amide derivs. as analgesics)

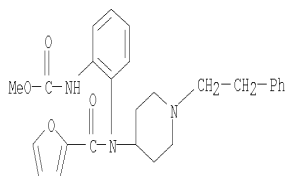
RN 910876-66-3 CAPLUS

CN Carbamic acid, [2-[(2-furanylcarbonyl)[1-(2-phenylethyl)-4-piperidinyl]amino]phenyl]-, methyl ester, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 910876-65-2

CMF C26 H29 N3 O4



CM 2

Print selected from 10541656.trn

CRN 144-62-7

CMF C2 H2 O4



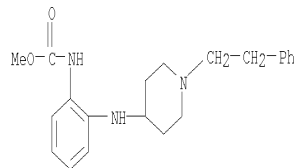
IT 910876-64-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-substituted-N-(4-piperidinyl)amide derivs. as analgesics)

RN 910876-64-1 CAPLUS

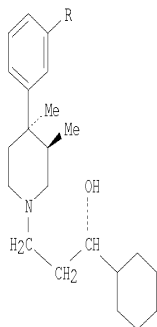
CN Carbamic acid, [2-[[1-(2-phenylethyl)-4-piperidinyl]amino]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

2005:708470 Document No. 143:221840 SAR and biological evaluation of novel trans-3,4-dimethyl-4-aryl piperidine derivatives as opioid antagonists. Diaz, Nuria; Benvenga, Mark; Emmerson, Paul; Favors, Ryan; Mangold, Michael; McKinzie, Jamie; Patel, Nita; Peters, Steven; Quimby, Steven; Shannon, Harlan; Siegel, Miles; Statnick, Michael; Thomas, Elizabeth; Woodland, Joseph; Surface, Peggy; Mitch, Charles (Discovery Research, Eli Lilly and Company, Indianapolis, IN, 46285, USA). Bioorganic & Medicinal Chemistry Letters, 15(17), 3844-3848 (English) 2005. CODEN: BMCL88. ISSN: 0960-894X. OTHER SOURCES: CASREACT 143:221840. Publisher: Elsevier B.V..

GI



I

AB The phenolic hydroxy group of opiate-derived ligands is of known importance for biol. activity. We have developed a SAR study around LY255582 (I; R = OH) by comparing the effect of the hydroxy group in the 2- and 4-position of the Ph ring. Also, we have proved that the 3-position of the Ph ring is optimal for opioid activity. Furthermore, we have successfully replaced the hydroxy group in I by carbamate and carboxamide groups. The new analogs have high affinity for the opioid receptors comparable to the corresponding phenol. Carboxamide analog I (R = CONH<sub>2</sub>) has an improved metabolism profile and proved to be efficacious in in vivo studies.

IT 862911-47-5P

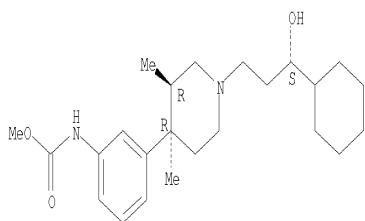
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(trans-3,4-dimethyl-4-arylpiperidine derivs. as opioid antagonists)

RN 862911-47-5 CAPLUS

CN Carbamic acid, [3-[(3R,4R)-1-[(3S)-3-cyclohexyl-3-hydroxypropyl]-3,4-dimethyl-4-piperidinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

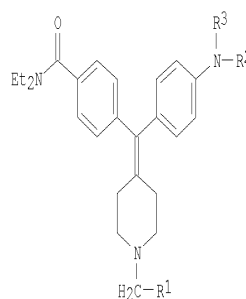
Absolute stereochemistry.



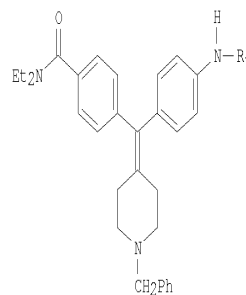
L16 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

2005:638846 Document No. 143:153295 Preparation of diarylmethylidenepiperidines for the management of pain. Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2005066128 A1 20050721, 56 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-SE13 20050105. PRIORITY: SE 2004-25 20040109.

GI



I

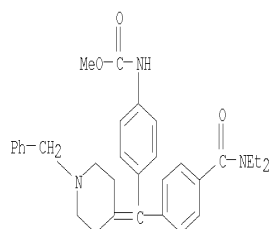


II

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2 = alkyl, H; R3 = H, COR<sub>4</sub>, SO<sub>2</sub>R<sub>4</sub>, etc.; R4 = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, N-acetylation of aniline II (R2 = H) with acetic anhydride afforded the TFA salt of diarylmethylidenepiperidine II (R2 = COCH<sub>3</sub>) in 100% yield. In human  $\delta$  receptor assays, certain examples of compds. I exhibited IC<sub>50</sub>

Print selected from 10541656.trn

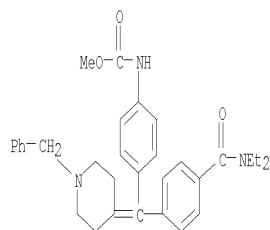
values ranging from 0.22-2.34 nM, with an average of 0.98 nM (sic).  
IT 859911-52-7P 859911-53-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of diarylmethylidenepiperidines for the management of pain)  
RN 859911-52-7 CAPLUS  
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-  
piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-53-8 CAPLUS  
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-  
piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

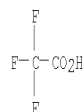
CRN 859911-52-7  
CMF C32 H37 N3 O3



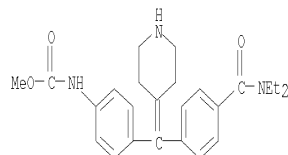
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



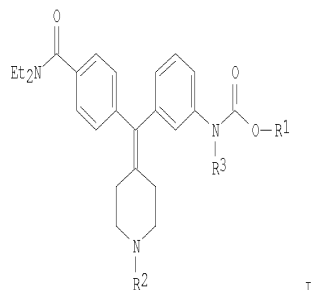
IT 859911-61-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of diarylmethylidenepiperidines for the management of pain)  
RN 859911-61-8 CAPLUS  
CN Carbamic acid, [4-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-  
piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



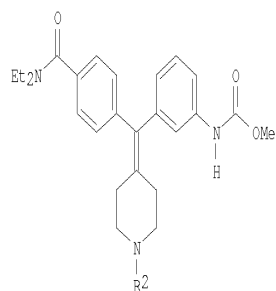
L16 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
2005:638845 Document No. 143:153294 Preparation of  
diarylmethylidenepiperidines for the management of pain. Brown, William;  
Griffin, Andrew (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2005066127 A1  
20050721, 67 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA,  
BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC,  
EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,  
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,  
NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT,  
BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE,  
IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English).  
CODEN: PIXXD2. APPLICATION: WO 2005-SE12 20050105. PRIORITY: SE 2004-26  
20040109.

GI

Print selected from 10541656.trn



I



II

AB Title compds. I [R1, R3 = H, alkyl, cycloalkyl, etc.; R2 = alkyl, alkenyl, cycloalkyl, etc.] and their pharmaceutically acceptable salts were prepared. For example, N-alkylation of piperidine II (R2 = H) with 1-iodopropane afforded the TFA salt of diarylmethylidenylpiperidine II (R2 = CH2CH2CH3) in 54% yield. In human  $\delta$  receptor assays, certain examples of compds. I exhibited IC50 values ranging from 0.18-3.7 nM, with an average of 0.56 nM (sic).

IT 859911-03-8P 859911-04-9P 859911-05-0P  
859911-06-1P 859911-07-2P 859911-08-3P  
859911-15-2P 859911-16-3P 859911-17-4P  
859911-18-5P 859911-19-6P 859911-20-9P  
859911-21-0P 859911-22-1P 859911-23-2P  
859911-24-3P 859911-25-4P 859911-26-5P  
859911-33-4P 859911-34-5P 859911-35-6P,

[3-[[4-[(Diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene]methyl]phenyl]carbamic acid methyl ester

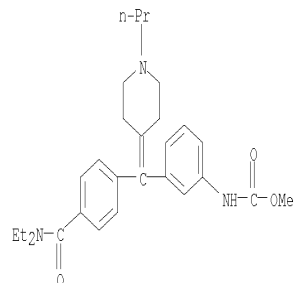
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidenylpiperidines for the management of pain)

RN 859911-03-8 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-propyl-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



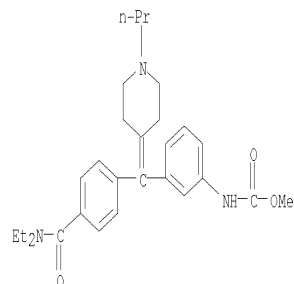
RN 859911-04-9 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-propyl-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-03-8

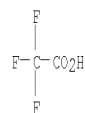
CMF C28 H37 N3 O3



CM 2

CRN 76-05-1

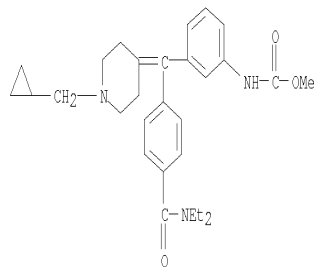
CMF C2 H F3 O2



Print selected from 10541656.trn

RN 859911-05-0 CAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-  
[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA  
INDEX NAME)



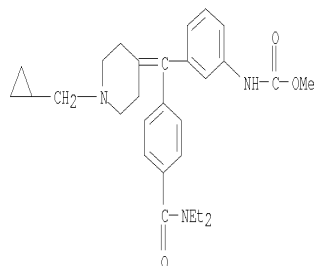
RN 859911-06-1 CAPLUS

CN Carbamic acid, [3-[[1-(cyclopropylmethyl)-4-piperidinyldene][4-  
[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester,  
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-05-0

CMF C29 H37 N3 O3

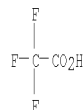


CM 2

CRN 76-05-1

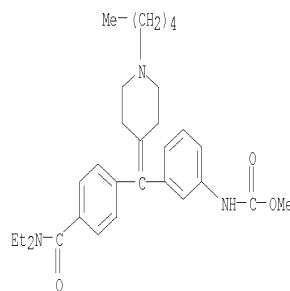
CMF C2 H F3 O2

Print selected from 10541656.trn



RN 859911-07-2 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-  
piperidinyldene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



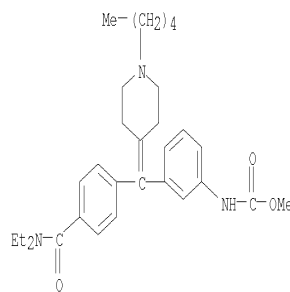
RN 859911-08-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-pentyl-4-  
piperidinyldene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate)  
(9CI) (CA INDEX NAME)

CM 1

CRN 859911-07-2

CMF C30 H41 N3 O3

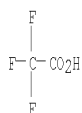


CM 2

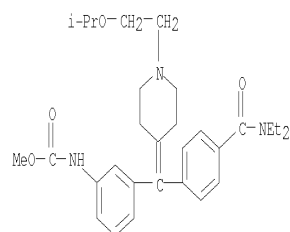


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CMF C2 H F3 O2



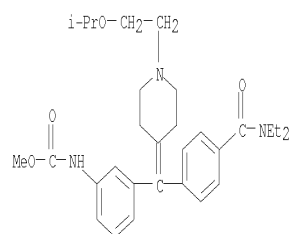
RN 859911-15-2 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



RN 859911-16-3 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-[2-(1-methylethoxy)ethyl]-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

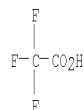
CRN 859911-15-2  
CMF C30 H41 N3 O4



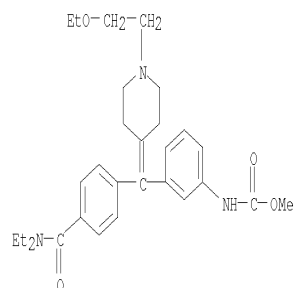
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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-17-4 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

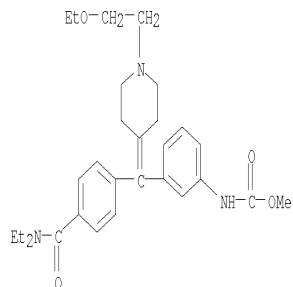


RN 859911-18-5 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-ethoxyethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-17-4  
CMF C29 H39 N3 O4

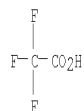
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CM 2

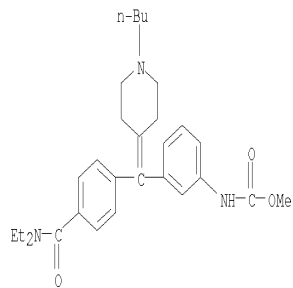
CRN 76-05-1

CMF C2 H F3 O2



RN 859911-19-6 CAPLUS

CN Carbamic acid, [3-[[1-butyl-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

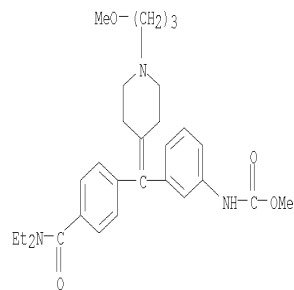


● HCl

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RN 859911-20-9 CAPLUS

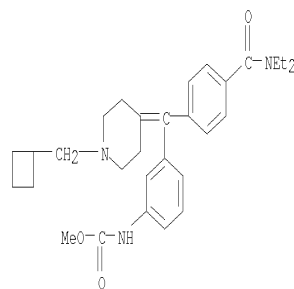
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 859911-21-0 CAPLUS

CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 859911-22-1 CAPLUS

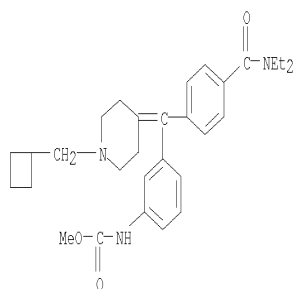
CN Carbamic acid, [3-[[1-(cyclobutylmethyl)-4-piperidinylidene][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 859911-21-0

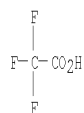
CMF C30 H39 N3 O3

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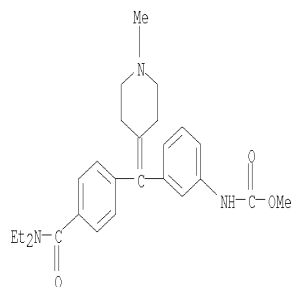


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-23-2 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

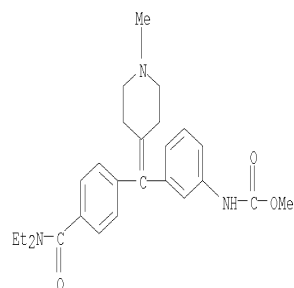


RN 859911-24-3 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-methyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

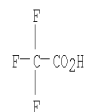
CM 1

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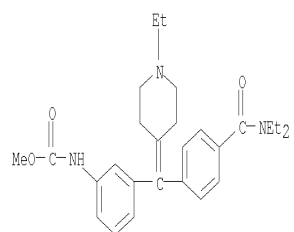


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 859911-25-4 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



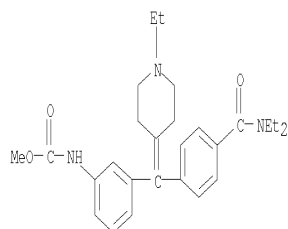
RN 859911-26-5 CAPLUS

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CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl](1-ethyl-4-piperidinylidene)methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

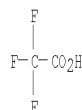
CM 1

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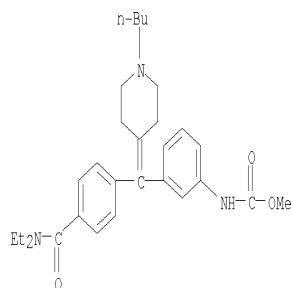


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



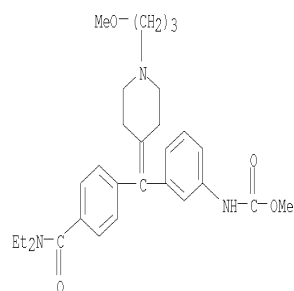
RN 859911-33-4 CAPLUS  
CN Carbamic acid, [3-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



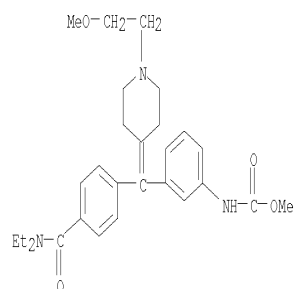
Page 551

Print selected from 10541656.trn

RN 859911-34-5 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(3-methoxypropyl)-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



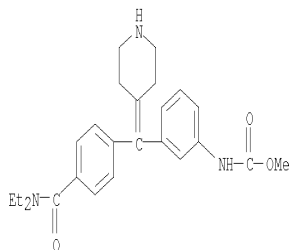
RN 859911-35-6 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-methoxyethyl)-4-piperidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 725229-85-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylidenepiperidines for the management of pain)  
RN 725229-85-6 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

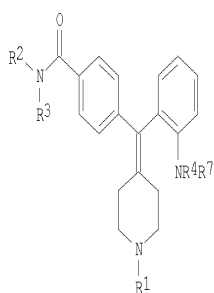
Page 552

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L16 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:1016017 Document No. 142:6430 Preparation of diarylmethylidene  
piperidine derivatives as opioid  $\delta$  receptor ligands for  
treating pain, anxiety and functional gastrointestinal disorders. Brown,  
William L.; Griffin, Andrew; Jin, Shujuan (Astrazeneca AB, Swed.;  
Astrazeneca UK Limited). PCT Int. Appl. WO 2004101522 A1 20041125, 131  
pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR,  
BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,  
FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,  
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ,  
CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC,  
ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2.  
APPLICATION: WO 2004-GB2074 20040513. PRIORITY: SE 2003-1444 20030516; SE  
2004-24 20040109.

GI



AB The title compds. [I; R1 = H, (un)substituted alkyl, aryl, etc.; R2-R4 =  
H, (un)substituted alkyl, cycloalkyl; R7 = H, OH, alkyl, etc.] which are  
useful in therapy, in particular in the management of pain, were prepared  
E.g., a multi-step synthesis of I [R1 = H; R2, R3 = Et; R4 = C(=O)Ph; R7 =  
H], starting from Me 4-(bromomethyl)benzoate, was given. The compds. I

Print selected from 10541656.trn

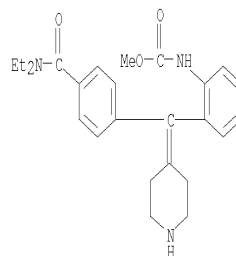
were found to be active toward human  $\delta$  receptors. Generally, for  
most of the compds. I the IC50 values are in the range of 0.48 nM to 17.9  
nM. The pharmaceutical composition comprising the compound I is disclosed.

IT 798549-78-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of diarylmethylidene piperidine derivs. as opioid  
 $\delta$  receptor ligands for treating pain, anxiety and functional  
gastrointestinal disorders)

RN 798549-78-7 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl]-4-  
piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



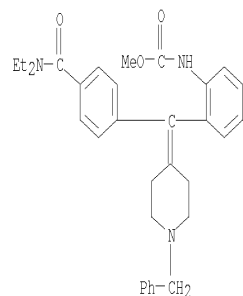
IT 798549-81-2P 798549-82-3P 798549-87-8P  
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798550-07-9P 798550-08-0P 798550-09-1P  
798550-32-0P 798550-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of diarylmethylidene piperidine derivs. as opioid  
 $\delta$  receptor ligands for treating pain, anxiety and functional  
gastrointestinal disorders)

RN 798549-81-2 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-  
piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

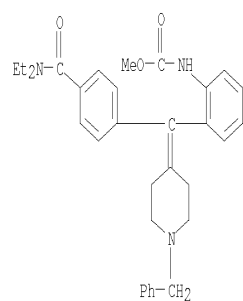
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RN 798549-82-3 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

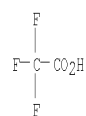
CM 1

CRN 798549-81-2  
CMF C32 H37 N3 O3



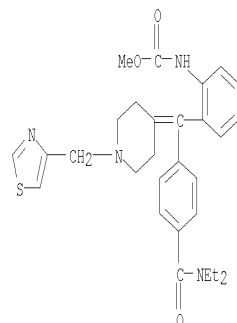
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



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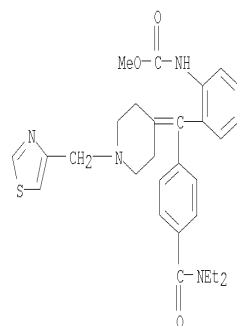
RN 798549-87-8 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 798549-88-9 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-87-8  
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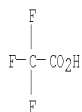


CM 2

CRN 76-05-1

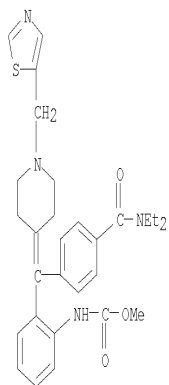
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CMF C2 H F3 O2



RN 798549-91-4 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



RN 798549-92-5 CAPLUS

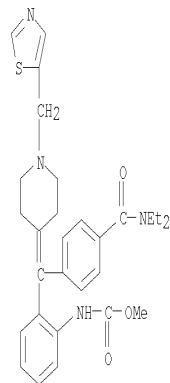
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 798549-91-4

CMF C29 H34 N4 O3 S

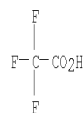
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CM 2

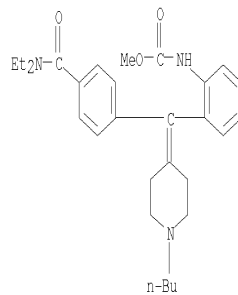
CRN 76-05-1

CMF C2 H F3 O2



RN 798550-04-6 CAPLUS

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Print selected from 10541656.trn

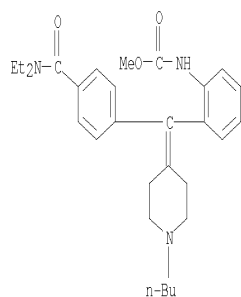
RN 798550-05-7 CAPLUS

CN Carbamic acid, [2-[(1-butyl-4-piperidinylidene)[4-[(diethylamino)carbonyl]phenyl)methyl]phenyl]-, methyl ester, trifluoroacetate (10:27) (9CI) (CA INDEX NAME)

CM 1

CRN 798550-04-6

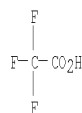
CMF C29 H39 N3 O3



CM 2

CRN 76-05-1

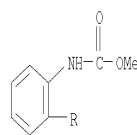
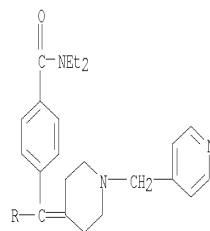
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RN 798550-06-8 CAPLUS

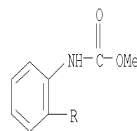
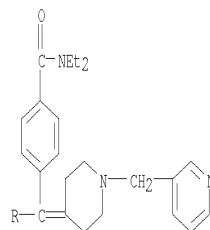
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 798550-07-9 CAPLUS

CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 798550-08-0 CAPLUS

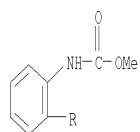
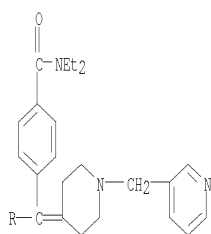
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(3-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1



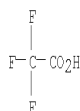
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CRN 798550-07-9  
CMF C31 H36 N4 O3



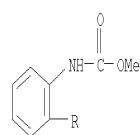
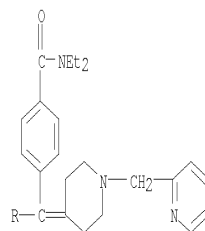
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 798550-09-1 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)

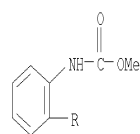
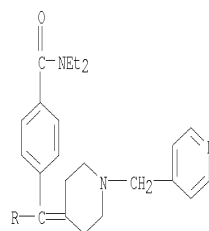
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RN 798550-32-0 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(4-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (5:11) (9CI) (CA INDEX NAME)

CM 1

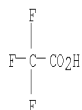
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CMF C31 H36 N4 O3



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CM 2

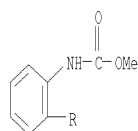
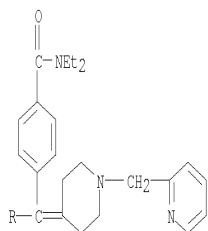
CRN 76-05-1  
CMF C2 H F3 O2



RN 798550-33-1 CAPLUS  
CN Carbamic acid, [2-[[4-[(diethylamino)carbonyl]phenyl][1-(2-pyridinylmethyl)-4-piperidinylidene]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

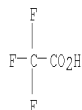
CRN 798550-09-1  
CMF C31 H36 N4 O3



CM 2

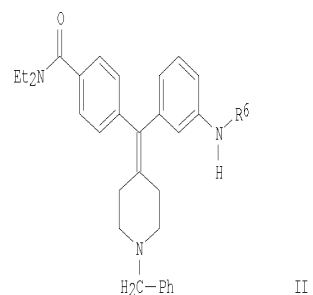
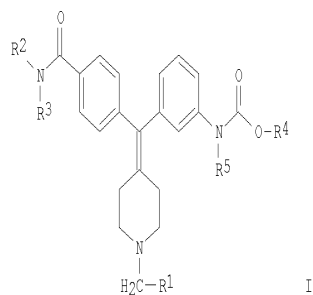
CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



L16 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
2004:606441 Document No. 141:140324 Preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.. Brown, William; Griffin, Andrew; Walpole, Christopher (Astrazeneca Ab, Swed.; Astrazeneca UK Limited). PCT Int. Appl. WO 2004063157 A1 20040729, 56 pp. DESIGNATED STATES: W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KP, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX. (English). CODEN: PIXXD2. APPLICATION: WO 2004-GB116 20040113. PRIORITY: SE 2003-103 20030116.

GI



Print selected from 10541656.trn

AB Title compds. I [R1 = (un)substituted aryl, heteroaryl; R2, R3, R4, R5 = H, alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared For example, acylation of aniline II [R6 = H], e.g., prepared from 4-(bromomethyl)benzoic acid Me ester in 8-steps, with Me chloroformate, afforded piperidine II [R6 = COOMe] as the trifluoroacetic acid salt in 38% yield. In human  $\delta$ - opioid receptor binding assays, 4-examples of compds. I exhibited IC50 values ranging from 0.30-0.48 nM, e.g., the IC50 value of piperidine II [R6 = COOMe] was 0.48 nM. Compds. I are claimed useful in the management of pain.

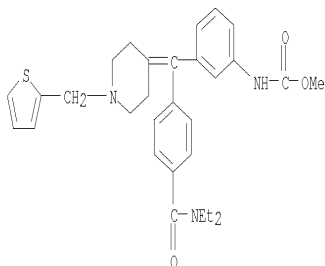
IT 725229-70-9P 725229-71-0P 725229-72-1P  
725229-73-2P 725229-74-3P 725229-75-4P  
725229-76-5P 725229-78-7P 725229-79-8P  
725229-80-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylidene piperidines as  $\delta$ - opioid receptor ligands for the treatment of pain.)

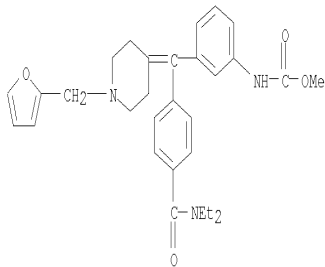
RN 725229-70-9 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 725229-71-0 CAPLUS

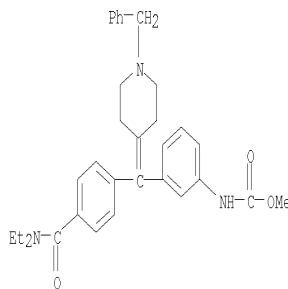
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



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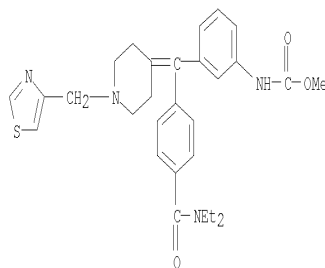
RN 725229-72-1 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



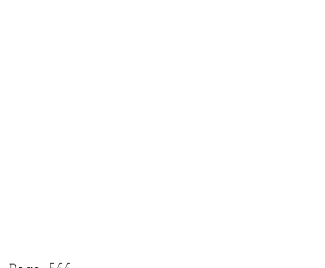
RN 725229-73-2 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

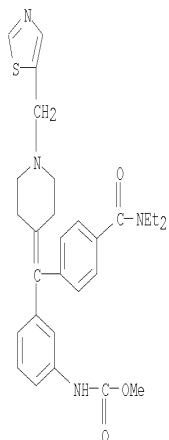


RN 725229-74-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



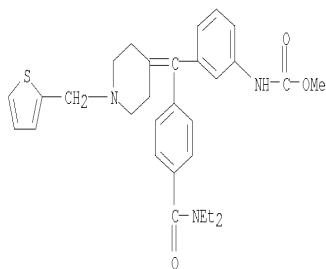
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RN 725229-75-4 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-thienylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

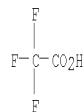
CRN 725229-70-9  
CMF C30 H35 N3 O3 S



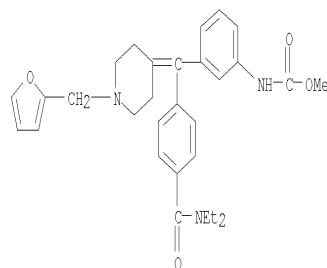
CM 2

CRN 76-05-1  
CMF C2 H F3 O2

Print selected from 10541656.trn



RN 725229-76-5 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(2-furanylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

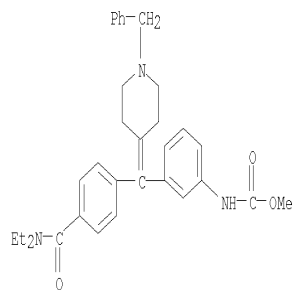


● HCl

RN 725229-78-7 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][1-(phenylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-72-1  
CMF C32 H37 N3 O3

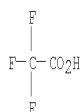


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CM 2

CRN 76-05-1

CMF C2 H F3 O2



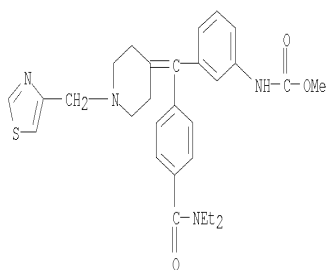
RN 725229-79-8 CAPLUS

CN Carbamic acid, [3-[[[4-[(diethylamino)carbonyl]phenyl][1-(4-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-73-2

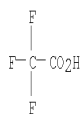
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



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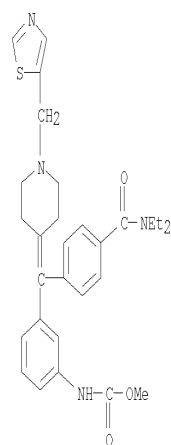
RN 725229-80-1 CAPLUS

CN Carbamic acid, [3-[[[4-[(diethylamino)carbonyl]phenyl][1-(5-thiazolylmethyl)-4-piperidinyldene]methyl]phenyl]-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 725229-74-3

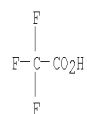
CMF C29 H34 N4 O3 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 725229-85-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

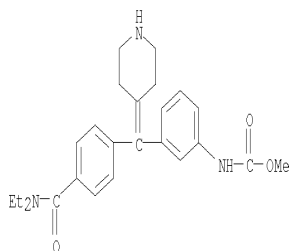
(preparation of diarylmethylidene piperidines as  $\delta$ -opioid receptor ligands for the treatment of pain.)

RN 725229-85-6 CAPLUS

CN Carbamic acid, [3-[[[4-[(diethylamino)carbonyl]phenyl]-4-

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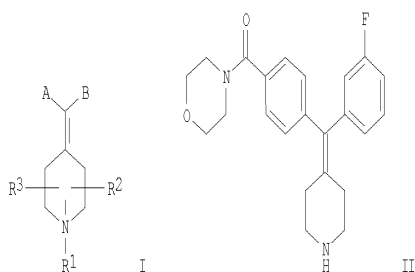
piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

1998:479508 Document No. 129:95406 Preparation of 4-[diaryl- or (arylheteroaryl)methylene]piperidine derivatives with analgesic effect. Delorme, Daniel; Roberts, Edward; Wei, Zhongyong (Astra Pharma Inc., Can.; Astra Aktiebolag (Publ)). PCT Int. Appl. WO 9828275 A1 19980702, 129 pp. DESIGNATED STATES: W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG. (English). CODEN: PIXXD2. APPLICATION: WO 1997-SE2050 19971209. PRIORITY: SE 1996-4785 19961220; SE 1997-2535 19970701.

GI



AB Compds. of general formula [I; R1 = H, linear or branched C1-6 alkyl, C1-6 alkenyl, C3-8 cycloalkyl, C3-6 cycloalkyl-C1-2 alkyl, C6-10 aryl, heteroaryl having 5 to 10 atoms selected from C, S, N, and O, C1-2 alkyl-(un)substituted C6-10 aryl, C1-2 alkyl-(un)substituted heteroaryl having 5 to 10 atoms selected from C, S, N, and O; R2, R3 = H, C1-6 alkyl;

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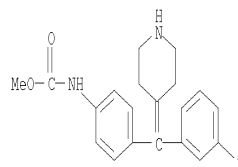
A = N and/or benzene-ring 4-carbamoylphenyl, 4-sulfamoylphenyl, acylaminophenyl, or acylphenyl wherein N and/or benzene-ring are optionally substituted; B = (un)substituted aromatic, heteroarom., hydroarom., or heterohydroarom. moieties having 5 to 10 atoms selected from C, S, N, and O atoms] are disclosed and claimed in the present application, as well as their pharmaceutically acceptable salts, pharmaceutical compns. comprising the novel compds., their use in therapy, in particular in the management of pain and in the treatment of gastrointestinal disorders, spinal injuries, disorders of the sympathetic nervous system, and isotopically labeled I as diagnostic agents. The compds. are ligands for opioid receptor, have analgesic effect, and are useful for the treatment of various pain conditions such as chronic pain, acute pain, cancer pain, pain caused by rheumatoid arthritis, migraine, visceral pain, etc. (no data). Thus, tert-Bu 4-[bromo[4-(morpholinocarbonyl)phenyl]methylene]-1-piperidinecarboxylate (preparation given) was coupled with 3-fluorophenylboronic acid in the presence of (PPh3)4Pd and Na2CO3 in aqueous EtOH at 80° for 2 h under N followed by treatment with CF3CO2H and acidification with aqueous HCl to give the title compound (II.HCl).

IT 209807-97-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of [diaryl- or (arylheteroaryl)methylene]piperidine derivs. with analgesic effect)

RN 209807-97-6 CAPLUS

CN Carbamic acid, [4-[(3-fluorophenyl)-4-piperidinylidenemethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 17:21:21 ON 14 APR 2008)

FILE 'REGISTRY' ENTERED AT 17:21:42 ON 14 APR 2008

L1 STRUCTURE UPLOADED  
L2 QUE L1  
L3 50 S L1  
L4 8171 S L1 FULL  
L5 0 S PIPERIDINE/RSD  
L6 1 S PIPERIDINE/CN  
L7 0 S 46.156.1  
L8 1326576 S 46.156.1/RID  
L9 1 S PIPERAZINE/CN  
L10 0 S 46.383.1

Print selected from 10541656.trn

L11 1011064 S 46.383.1/RID  
L12 336 S L8 AND L4  
L13 401 S L11 AND L4

FILE 'CAPLUS' ENTERED AT 17:23:52 ON 14 APR 2008

L14 96 S L12  
L15 57 S L13  
L16 7 S L12 AND OPIOID

=> s l15 and opioid  
38368 OPIOID  
25722 OPIOIDS  
45107 OPIOID  
(OPIOID OR OPIOIDS)  
L17 3 L15 AND OPIOID

=> s l17 not l16  
L18 3 L17 NOT L16

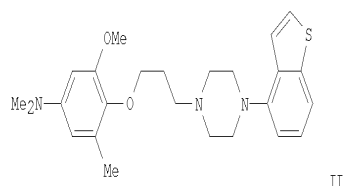
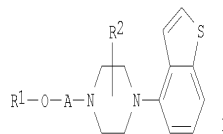
=> d cbib abs hitstr 1-3

L18 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

2007:257347 Document No. 146:316939 Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds as antipsychotic agents for the treatment of mental disorders. Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Kazumi; Itotani, Motohiro; Bando, Masahiko; Fukushima, Tae; Oshiro, Yasuo; Takahashi, Haruka; Sakurai, Yohji; Kuroda, Takeshi; Shimada, Jun; Maeda, Kenji; Tadori, Yoshihiro; Amada, Naoki; Akazawa, Hitomi; Yamashita, Junko; Mori, Atsushi; Uwahodo, Yasufumi; Masumoto, Takumi; Sugino, Haruhiko; Kikuchi, Tetsuro; Hashimoto, Kazuya (Otsuka Pharmaceutical Co., Ltd., Japan). PCT Int. Appl. WO 2007026959 A2 20070308, 686pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2006-JP317704 20060831. PRIORITY: JP 2005-251055 20050831.

GI

Print selected from 10541656.trn



AB Title compds. I [R1 = cycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H or lower alkyl; A = lower alkylene or lower alkenylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antipsychotic agents for the treatment of mental disorders. Thus, e.g., II·HCl was prepared via nucleophilic substitution of [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-Bu ester (preparation given) with 1-benzo[b]thiophen-4-yl-piperazine hydrochloride (preparation given) followed by deprotection and dimethylation. Binding assays were used to determine Ki values for I, e.g., II·HCl demonstrated Ki values of 0.4 nM in Dopamine D2 receptor and 5.9 nM in Serotonin 5-HT2A receptor. Serotonin uptake inhibitory activity of II·HCl was also determined as 95.3%. The invention compds. may be widely used in the treatment and prevention of mental disorders including central nervous system disorders, while demonstrating no side effects.

IT 928221-80-1P

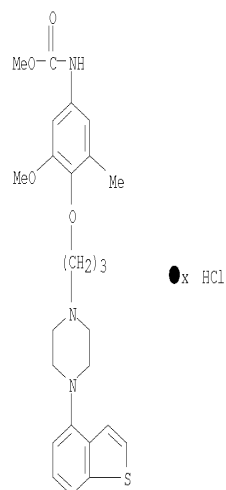
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)

RN 928221-80-1 CAPLUS

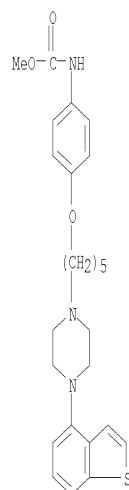
CN Carbamic acid, N-[4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-3-methoxy-5-methylphenyl]-, methyl ester, hydrochloride (1:?) (CA INDEX NAME)

Print selected from 10541656.trn

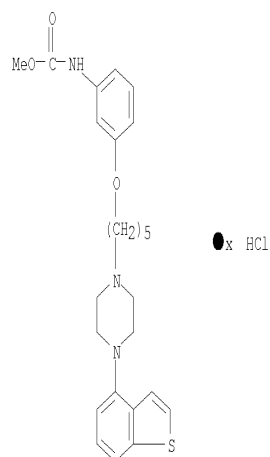


IT 928223-12-5P 928223-13-6P 928225-06-3P  
928225-19-8P 928225-27-8P 928226-35-1P  
928226-36-2P 928226-59-9P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as  
antipsychotic agents for the treatment of mental disorders)  
RN 928223-12-5 CAPLUS  
CN Carbamic acid, N-[4-[[5-(4-benzo[b]thien-4-yl-1-  
piperazinyl)pentyl]oxy]phenyl]-, methyl ester (CA INDEX NAME)

Print selected from 10541656.trn



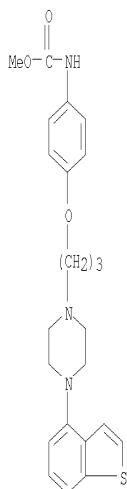
RN 928223-13-6 CAPLUS  
CN Carbamic acid, N-[3-[[5-(4-benzo[b]thien-4-yl-1-  
piperazinyl)pentyl]oxy]phenyl]-, methyl ester, hydrochloride (1:?) (CA  
INDEX NAME)



RN 928225-06-3 CAPLUS  
CN Carbamic acid, N-[4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]phenyl]-  
, methyl ester (CA INDEX NAME)

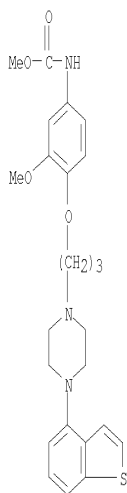


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RN 928225-19-8 CAPLUS

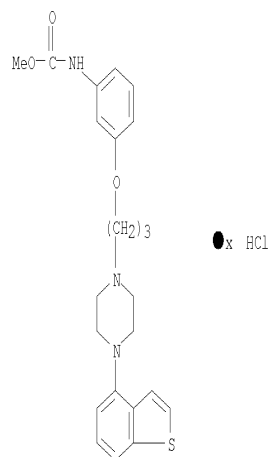
CN Carbamic acid, N-[4-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-3-methoxyphenyl]-, methyl ester (CA INDEX NAME)



RN 928225-27-8 CAPLUS

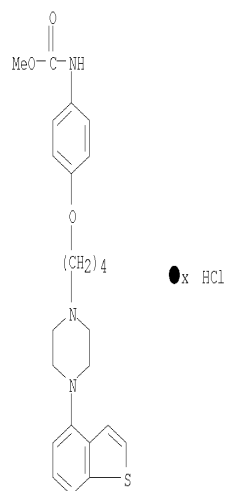
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CN Carbamic acid, N-[3-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]phenyl]-, methyl ester, hydrochloride (1:?) (CA INDEX NAME)



RN 928226-35-1 CAPLUS

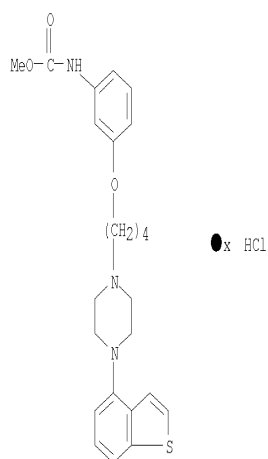
CN Carbamic acid, N-[4-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]phenyl]-, methyl ester, hydrochloride (1:?) (CA INDEX NAME)



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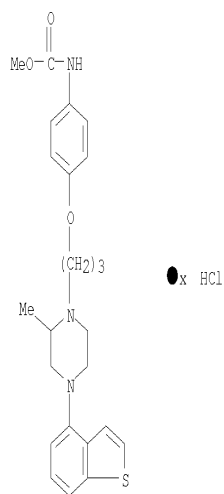
RN 928226-36-2 CAPLUS

CN Carbamic acid, N-[3-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]phenyl]-, methyl ester, hydrochloride (1:?) (CA INDEX NAME)



RN 928226-59-9 CAPLUS

CN Carbamic acid, N-[4-[3-(4-benzo[b]thien-4-yl-2-methyl-1-piperazinyl)propoxy]phenyl]-, methyl ester, hydrochloride (1:?) (CA INDEX NAME)

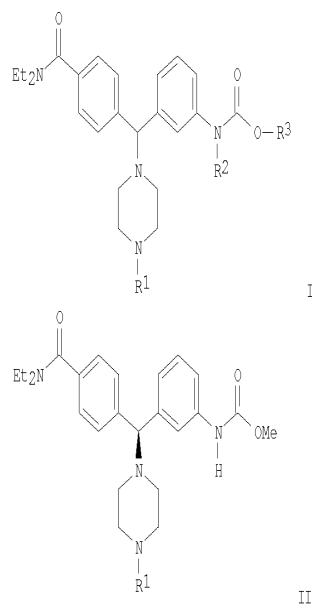


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L18 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

2005:638860 Document No. 143:153402 Preparation of diarylmethylpiperazines as  $\delta$  receptor ligands for the treatment of pain. Brown, William; Griffin, Andrew (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2005066148 A1 20050721, 69 pp. DESIGNATED STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IS, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2005-SE14 20050105. PRIORITY: SE 2004-27 20040109.

GI



AB Title compds. I [R<sup>1</sup> = alkyl, alkenyl, cycloalkyl, etc.; R<sup>2</sup> = H, alkyl, cycloalkyl, etc.; R<sup>3</sup> = alkyl, cycloalkyl] and their pharmaceutically acceptable salts were prepared. For example, N-alkylation of piperazine II (R<sup>1</sup> = H) with bromoethyl Me ether afforded the hCL salt of claimed diarylmethylpiperazine II (R<sup>1</sup> = CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>) in 68% yield. In human

Print selected from 10541656.trn

$\delta$  receptor assays, certain examples of compds. I exhibited IC<sub>50</sub> values ranging from 0.2-3.7 nM, with an average of 1 nM (sic).

IT 859634-99-4P 859635-00-0P 859635-01-1P  
859635-02-2P 859635-03-3P 859635-04-4P  
859635-05-5P 859635-06-6P 859635-07-7P  
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859843-97-3P

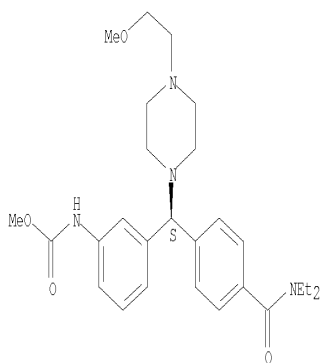
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diarylmethylpiperazines as  $\delta$  receptor ligands for treatment of pain)

RN 859634-99-4 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



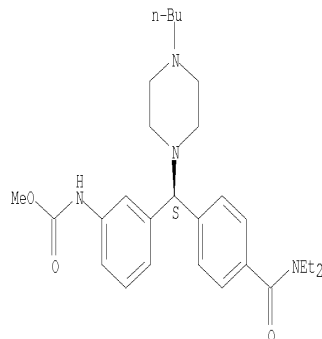
● HCl

RN 859635-00-0 CAPLUS

CN Carbamic acid, [3-[(S)-(4-butyl-1-piperazinyl)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

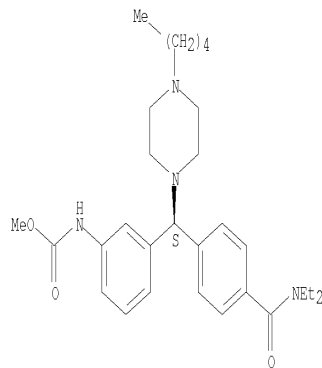
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RN 859635-01-1 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-pentyl-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

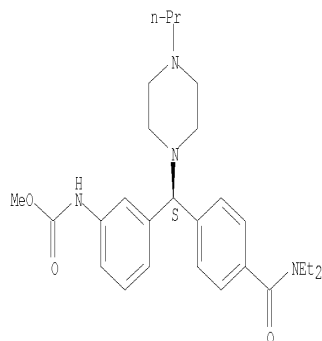


RN 859635-02-2 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-propyl-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

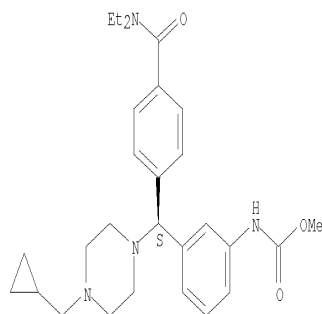
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RN 859635-03-3 CAPLUS

CN Carbamic acid, [3-[(S)-[4-(cyclopropylmethyl)-1-piperazinyl][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

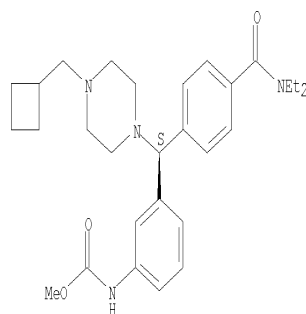


RN 859635-04-4 CAPLUS

CN Carbamic acid, [3-[(S)-[4-(cyclobutylmethyl)-1-piperazinyl][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

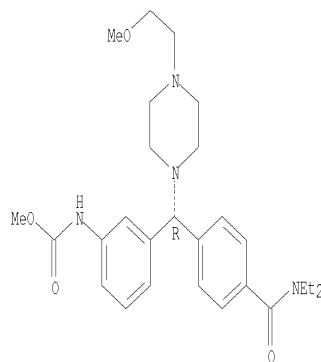
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RN 859635-05-5 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

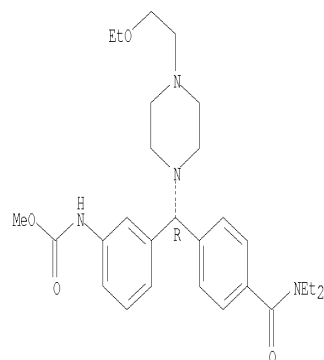


RN 859635-06-6 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn

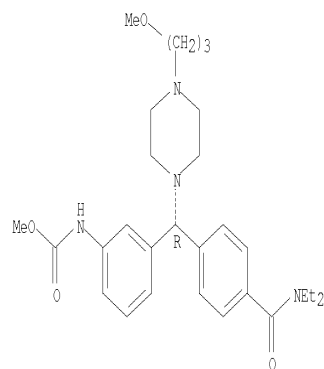


● HCl

RN 859635-07-7 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-methoxypropyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

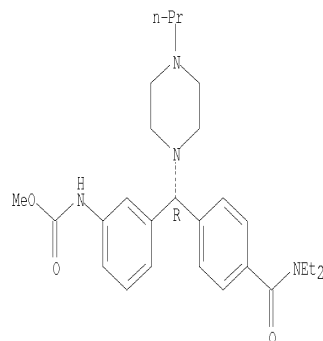


RN 859635-08-8 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-propyl-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

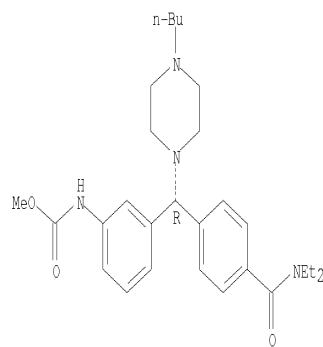
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RN 859635-09-9 CAPLUS

CN Carbamic acid, [3-[(R)-(4-butyl-1-piperazinyl)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

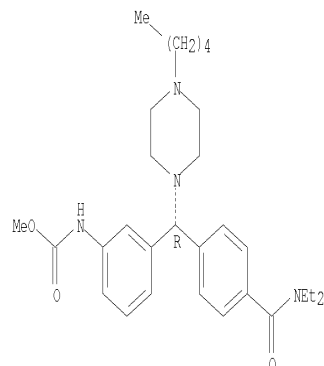


RN 859635-10-2 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-pentyl-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

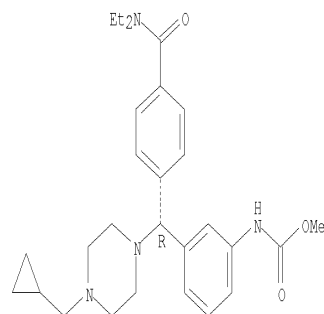
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RN 859635-11-3 CAPLUS

CN Carbamic acid, [3-[(R)-[4-(cyclopropylmethyl)-1-piperazinyl]4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

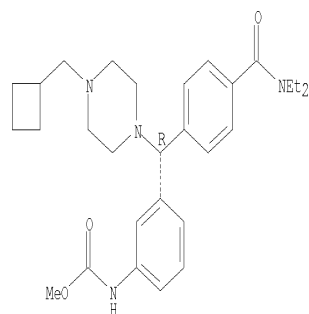


RN 859635-12-4 CAPLUS

CN Carbamic acid, [3-[(R)-[4-(cyclobutylmethyl)-1-piperazinyl]4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

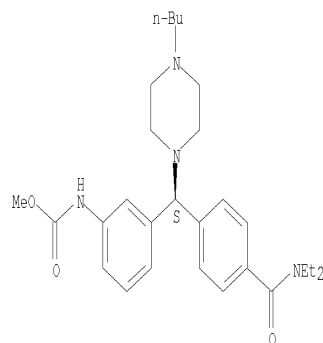
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RN 859843-90-6 CAPLUS

CN Carbamic acid, [3-[(S)-[4-(4-methyl-1-piperazinyl)]4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



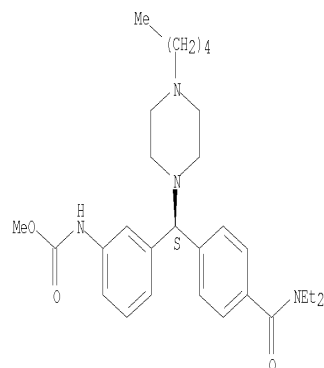
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RN 859843-91-7 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl]4-(4-methyl-1-piperazinyl)methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn

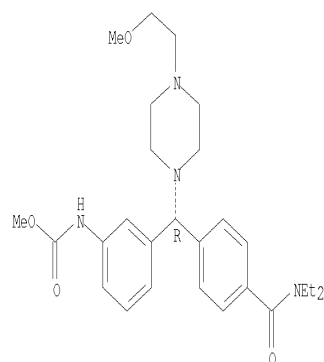


● HCl

RN 859843-92-8 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-methoxyethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

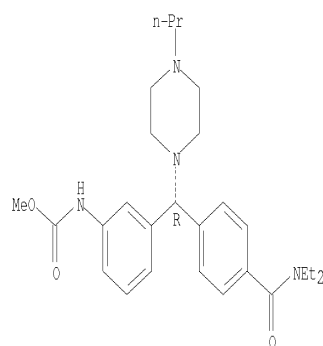
RN 859843-93-9 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl](4-propyl-1-piperazinyl)methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA

Print selected from 10541656.trn

INDEX NAME)

Absolute stereochemistry. Rotation (-).

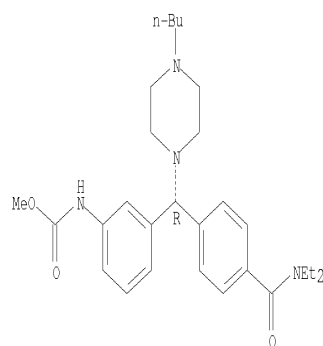


● HCl

RN 859843-94-0 CAPLUS

CN Carbamic acid, [3-[(R)-(4-butyl-1-piperazinyl)[4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



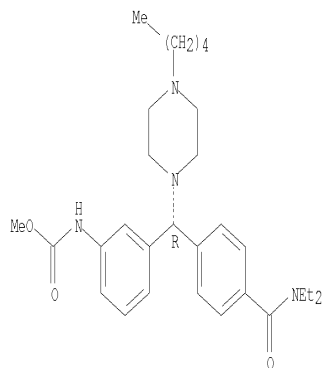
● HCl

RN 859843-95-1 CAPLUS

Print selected from 10541656.trn

RN 859843-97-3 CAPLUS  
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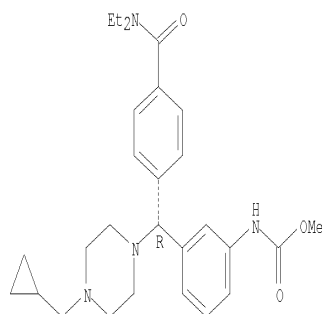
Absolute stereochemistry. Rotation (-).



● HCl

RN 859843-96-2 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-(cyclopropylmethyl)-1-piperazinyl][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

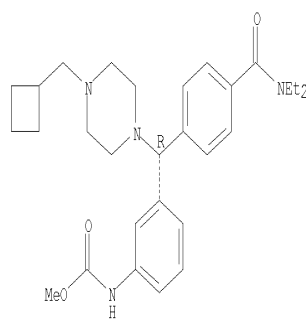


● HCl

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RN 859843-97-3 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-(cyclobutylmethyl)-1-piperazinyl][4-[(diethylamino)carbonyl]phenyl]methyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

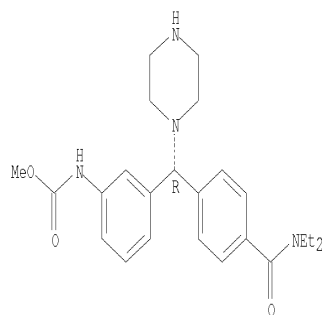
Absolute stereochemistry. Rotation (-).



● HCl

IT 691890-45-6P 691890-46-7P 859635-21-5P  
859844-02-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of diarylmethylpiperazines as  $\delta$  receptor ligands for treatment of pain)  
RN 691890-45-6 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



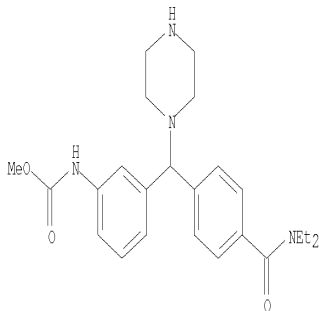


Print selected from 10541656.trn

RN 691890-46-7 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)

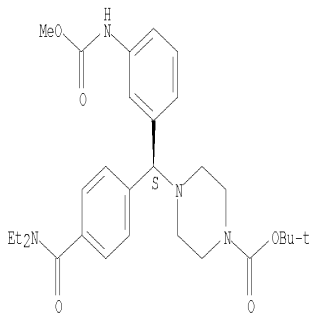
Rotation (+).



RN 859635-21-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[(S)-[4-[(diethylamino)carbonyl]phenyl][3-[(methoxycarbonyl)amino]phenyl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.

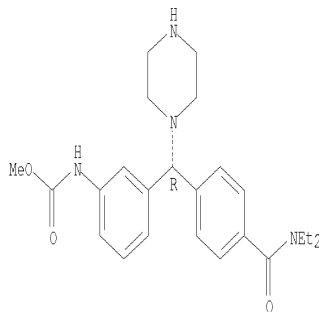


RN 859844-02-3 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn



● HCl

L18 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

2004:412932 Document No. 140:423709 Preparation of N-[4-

(phenylpiperazinylmethyl)phenyl]carbamates for treatment of pain, anxiety, or gastrointestinal disorders. Brown, William; Griffin, Andrew; Jones, Paul; Page, Daniel; Plobeck, Niklas; Walpole, Christopher (Astrazeneca AB, Swed.). PCT Int. Appl. WO 2004041802 A1 20040521, 72 pp. DESIGNATED

STATES: W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW; RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR. (English). CODEN: PIXXD2. APPLICATION: WO 2003-SE1707 20031105. PRIORITY: SE 2002-3303 20021107.

GI

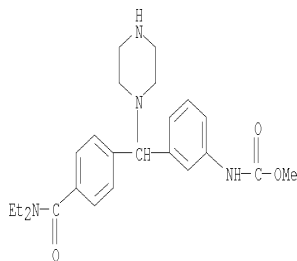
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = (un)substituted (hetero)aryl(alkyl); R2 and R3 = independently H or (un)substituted (cyclo)alkyl; or pharmaceutically acceptable salts, diastereomers, enantiomers, or mixts. thereof] were prepared as opioid  $\delta$  receptor ligands. For example, 4-carboxybenzaldehyde was amidated with diethylamine using SOCl<sub>2</sub> in CH<sub>2</sub>Cl<sub>2</sub> to give N,N-diethyl-4-formylbenzamide (90%). Coupling of the amide with N-Boc-piperazine in the presence of benzotriazole in toluene, followed by reaction with 3-bromophenylzinc iodide in THF, afforded tert-Bu 4-[(3-bromophenyl)[4-[(diethylamino)carbonyl]phenyl]methyl]-1-piperazinecarboxylate (33%). Coupling with Me carbamate (62%) using xantphos, Cs<sub>2</sub>CO<sub>3</sub>, and Pd<sub>2</sub>(dba)<sub>3</sub> in dioxane, deprotection (89%) with TFA in CH<sub>2</sub>Cl<sub>2</sub>, and chiral HPLC separation of the enantiomers provided

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(-)-[3-[[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]carbamic acid Me ester. Reaction of the piperazine with benzaldehyde in the presence of NaBH(OAc)3 in CH2Cl2 gave (R)-II. In binding assays using human 293S cells expressing cloned human opioid receptors and neomycin resistance, (R)-II and ten other exemplified compds. exhibited strong binding to the  $\delta$  receptor with IC50 values in the range of 0.25-0.74 nM and showed some activity toward the  $\kappa$  (IC50 = 247-1636 nM) and  $\mu$  (IC50 = 93-1100 nM) receptors. In functional assays, (R)-II demonstrated  $\delta$  receptor agonist activity by activating the binding of GTP to G-proteins. Thus, I and their pharmaceutical compns. are useful in therapy, in particular for the treatment of gastrointestinal disorders, anxiety, or pain (no data).

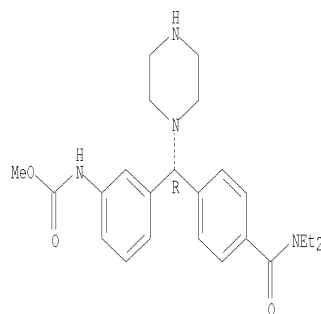
IT 691890-44-5P, [3-[[4-[(Diethylamino)carbonyl]phenyl]piperazin-1-ylmethyl]phenyl]carbamic acid methyl ester  
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (intermediate,  $\delta$  receptor agonist; preparation of N-[(phenylpiperazinylmethyl)phenyl]carbamates as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)  
RN 691890-44-5 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



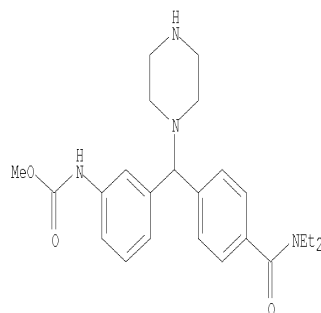
IT 691890-45-6P 691890-46-7P  
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of N-[(phenylpiperazinylmethyl)phenyl]carbamates as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)  
RN 691890-45-6 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn

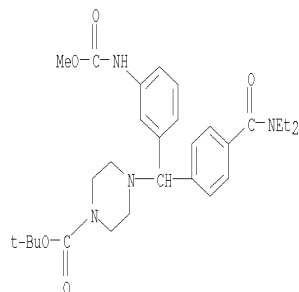


RN 691890-46-7 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl]-1-piperazinylmethyl]phenyl]-, methyl ester, (+)- (9CI) (CA INDEX NAME)  
Rotation (+).



IT 691890-43-4P, 4-[[4-[(Diethylamino)carbonyl]phenyl][3-[(methoxycarbonyl)amino]phenyl]methyl]-1-piperazinecarboxylic acid 1,1-dimethylethyl ester  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; preparation of N-[(phenylpiperazinylmethyl)phenyl]carbamates as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)  
RN 691890-43-4 CAPLUS  
CN 1-Piperazinecarboxylic acid, 4-[[4-[(diethylamino)carbonyl]phenyl][3-[(methoxycarbonyl)amino]phenyl]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

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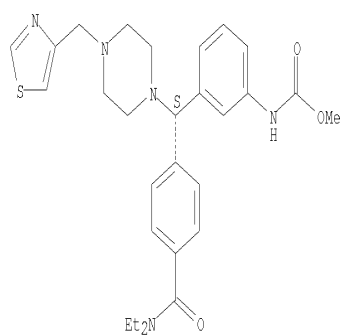
IT 691890-72-9P 691890-74-1P 691890-76-3P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
( $\delta$  receptor agonist; preparation of N-[(phenylpiperazinylmethyl)phenyl]carbamates as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 691890-72-9 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(4-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:9) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-71-8  
CMF C28 H35 N5 O3 S

Absolute stereochemistry. Rotation (+).

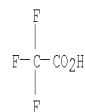


CM 2

CRN 76-05-1

Print selected from 10541656.trn

CMF C2 H F3 O2

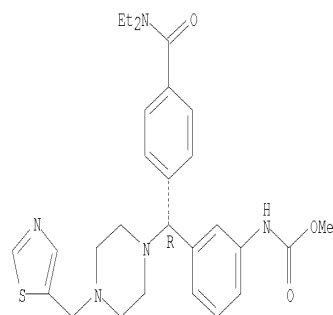


RN 691890-74-1 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(5-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:13) (9CI) (CA INDEX NAME)

CM 1

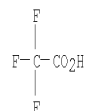
CRN 691890-73-0  
CMF C28 H35 N5 O3 S

Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 691890-76-3 CAPLUS

Print selected from 10541656.trn

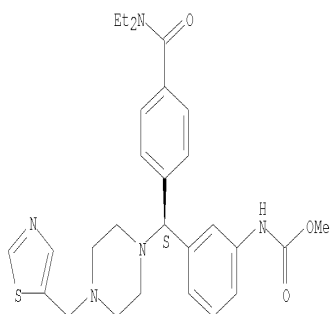
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(5-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 691890-75-2

CMF C28 H35 N5 O3 S

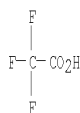
Absolute stereochemistry. Rotation (+).



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 691890-51-4P, (R)-Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-benzylpiperazin-1-yl)methyl]phenyl]carbamate 691890-52-5P, (S)-Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-benzylpiperazin-1-yl)methyl]phenyl]carbamate 691890-53-6P 691890-54-7P 691890-55-8P 691890-56-9P 691890-57-0P 691890-58-1P 691890-59-2P 691890-60-5P 691890-61-6P 691890-62-7P 691890-63-8P 691890-64-9P 691890-65-0P 691890-66-1P 691890-68-3P 691890-69-4P 691890-70-7P 691890-71-8P 691890-73-0P 691890-75-2P 691890-77-4P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-benzylpiperazin-1-yl)methyl]phenyl]carbamate 691890-78-5P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(thien-2-

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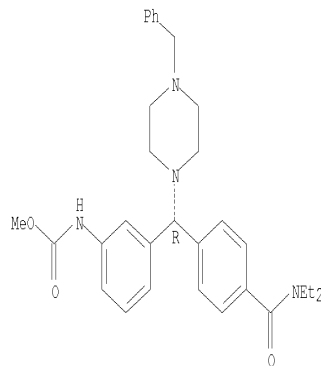
ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-79-6P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(thien-3-ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-80-9P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(2-furylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-81-0P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(3-furylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-82-1P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(1H-imidazol-2-ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-83-2P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(pyridin-2-ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-84-3P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(pyridin-4-ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-85-4P, Methyl [3-[[4-[(diethylamino)carbonyl]phenyl](4-(1,3-thiazol-2-ylmethyl)piperazin-1-yl)methyl]phenyl]carbamate 691890-86-5P 691890-87-6P 691890-88-7P 691890-89-8P 691890-90-1P 691890-91-2P 691890-92-3P 691890-93-4P 691890-94-5P 691890-95-6P 691890-96-7P 691890-97-8P 691890-98-9P 691890-99-0P 691891-00-6P 691891-01-7P 691891-02-8P 691891-03-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

[ $\delta$  receptor agonist; preparation of N-[(phenylpiperazinylmethyl)phenyl]carbamates as  $\delta$  receptor agonists for treatment of pain, anxiety, or gastrointestinal disorders)

RN 691890-51-4 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

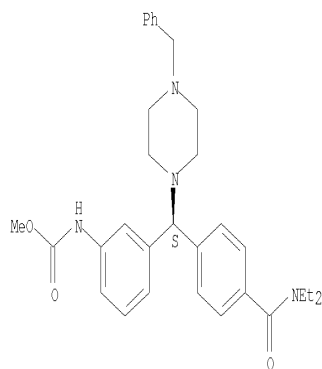


RN 691890-52-5 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn

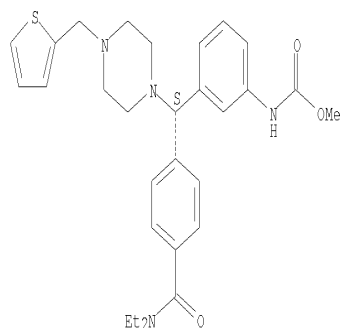
Absolute stereochemistry. Rotation (+).



RN 691890-53-6 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

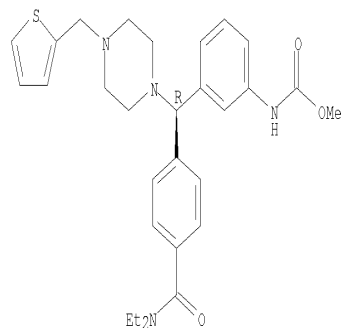


RN 691890-54-7 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

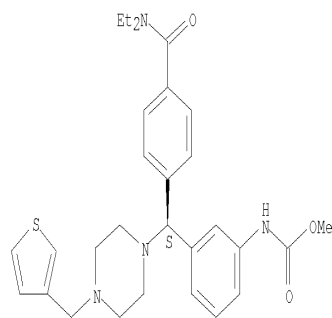
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RN 691890-55-8 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

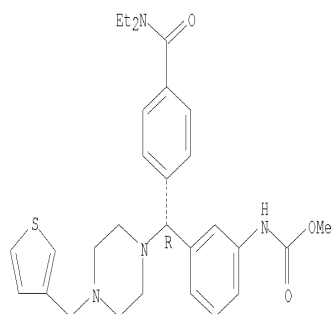


RN 691890-56-9 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

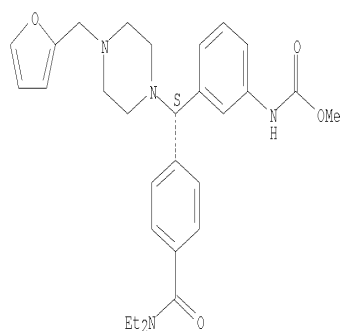
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RN 691890-57-0 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

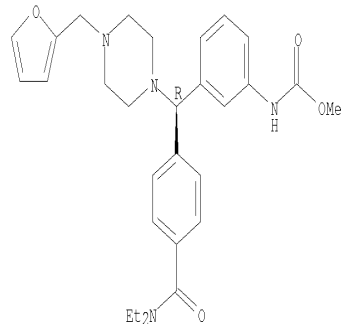


RN 691890-58-1 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

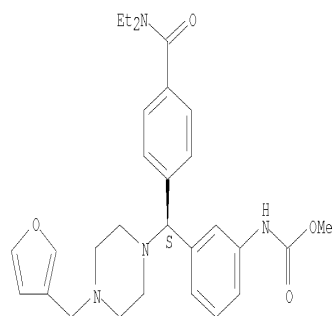
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RN 691890-59-2 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

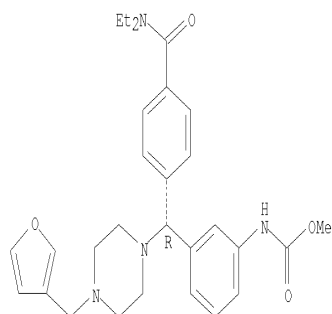


RN 691890-60-5 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

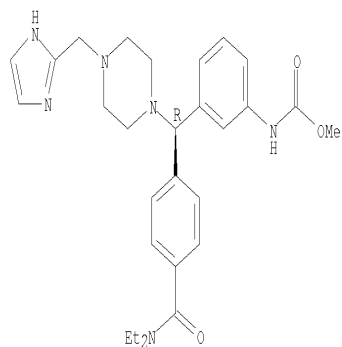
Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn



RN 691890-61-6 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(1H-imidazol-2-ylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

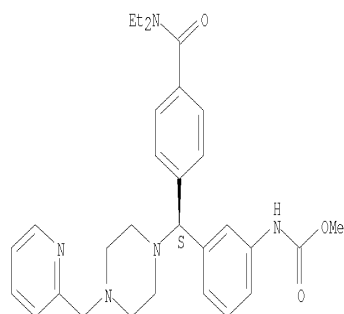
Absolute stereochemistry. Rotation (-).



RN 691890-62-7 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

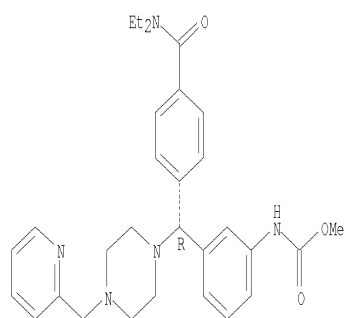
Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn



RN 691890-63-8 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

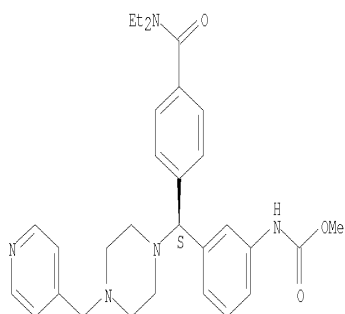
Absolute stereochemistry. Rotation (-).



RN 691890-64-9 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(4-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

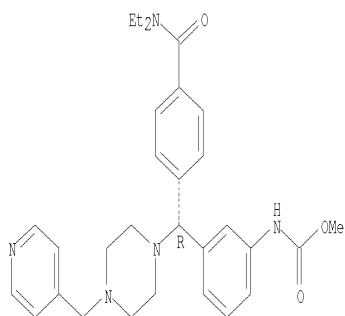
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RN 691890-65-0 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(4-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

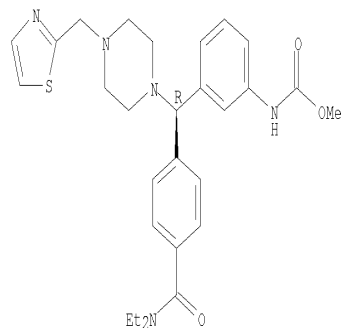


RN 691890-66-1 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

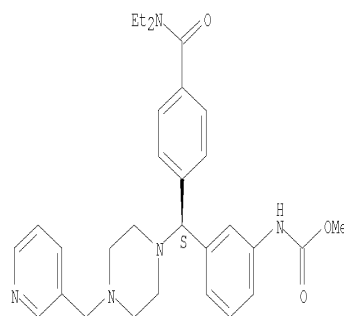
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RN 691890-68-3 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



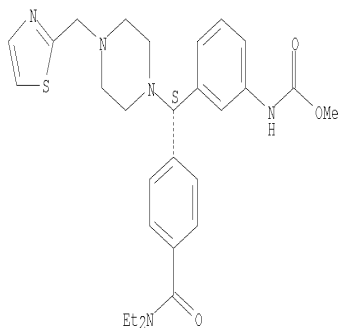
RN 691890-69-4 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



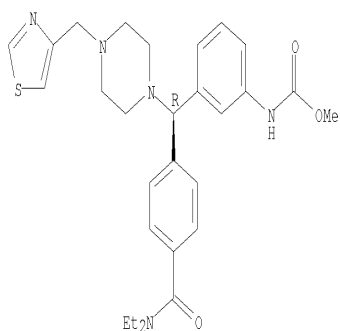
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RN 691890-70-7 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(4-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

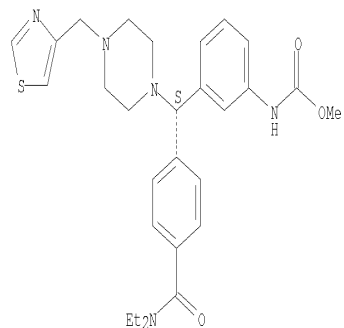


RN 691890-71-8 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(4-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

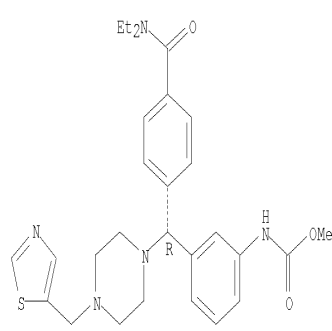
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RN 691890-73-0 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(5-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

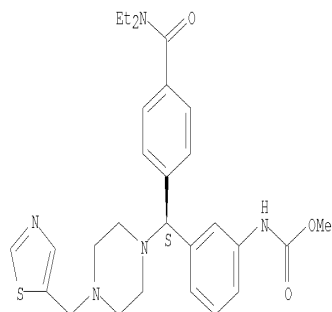


RN 691890-75-2 CAPLUS

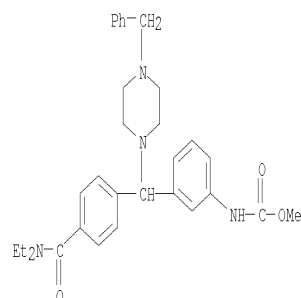
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(5-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

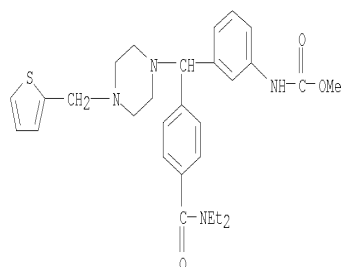
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RN 691890-77-4 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

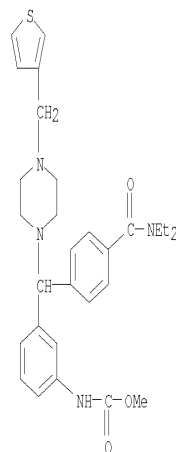


RN 691890-78-5 CAPLUS  
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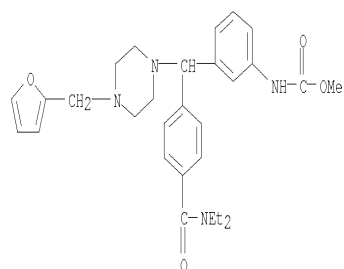


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RN 691890-79-6 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(3-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

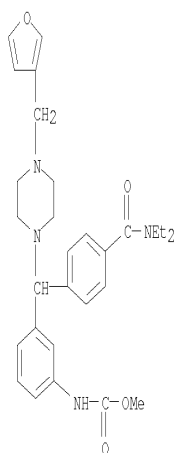


RN 691890-80-9 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(2-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



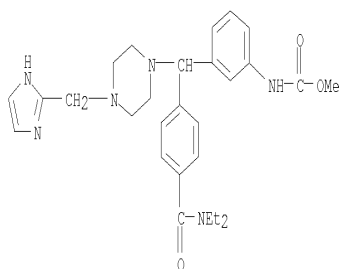
RN 691890-81-0 CAPLUS  
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(3-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



RN 691890-82-1 CAPLUS

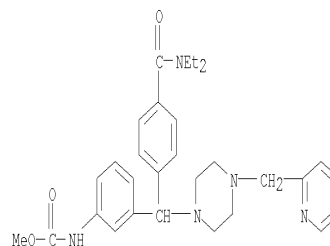
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(1H-imidazol-2-ylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 691890-83-2 CAPLUS

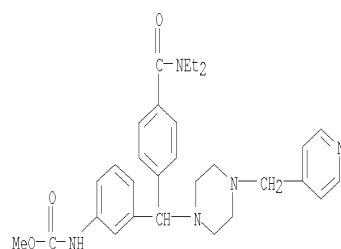
CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(2-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Print selected from 10541656.trn



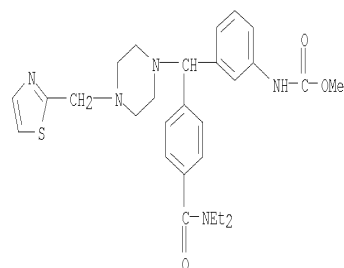
RN 691890-84-3 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(4-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 691890-85-4 CAPLUS

CN Carbamic acid, [3-[[4-[(diethylamino)carbonyl]phenyl][4-(2-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 691890-86-5 CAPLUS

Print selected from 10541656.trn

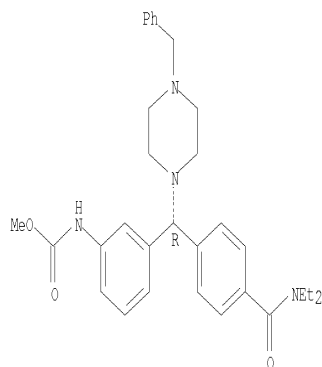
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-51-4

CMF C31 H38 N4 O3

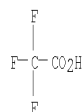
Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 691890-87-6 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

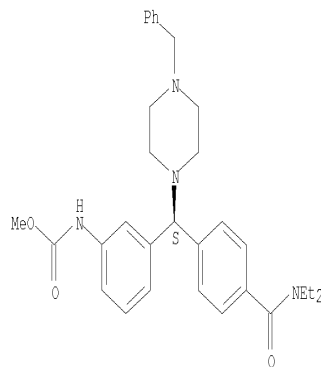
CM 1

CRN 691890-52-5

CMF C31 H38 N4 O3

Absolute stereochemistry. Rotation (+).

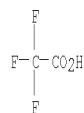
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 691890-88-7 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

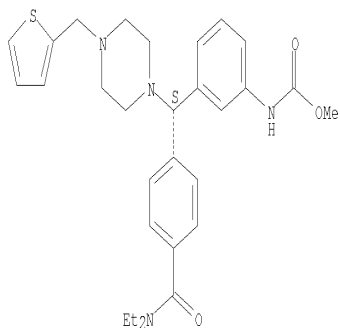
CM 1

CRN 691890-53-6

CMF C29 H36 N4 O3 S

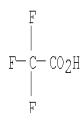
Absolute stereochemistry. Rotation (+).

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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



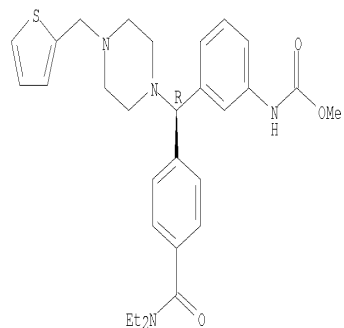
RN 691890-89-8 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-54-7  
CMF C29 H36 N4 O3 S

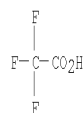
Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



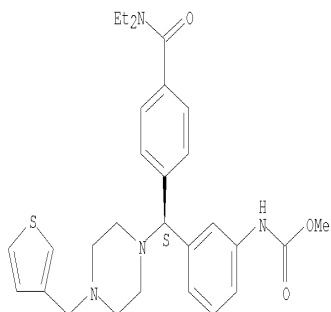
RN 691890-90-1 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-55-8  
CMF C29 H36 N4 O3 S

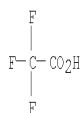
Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



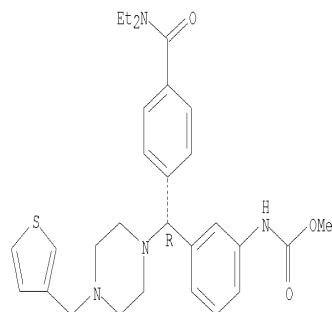
RN 691890-91-2 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-thienylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:7) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-56-9  
CMF C29 H36 N4 O3 S

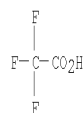
Absolute stereochemistry. Rotation (-).

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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



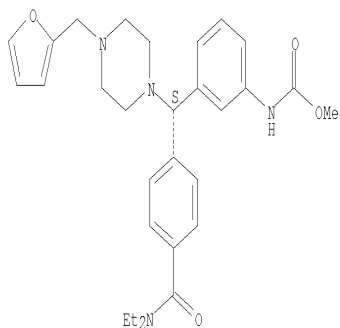
RN 691890-92-3 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-57-0  
CMF C29 H36 N4 O4

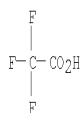
Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



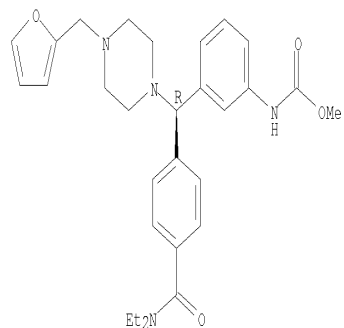
RN 691890-93-4 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:8) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-58-1  
CMF C29 H36 N4 O4

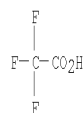
Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



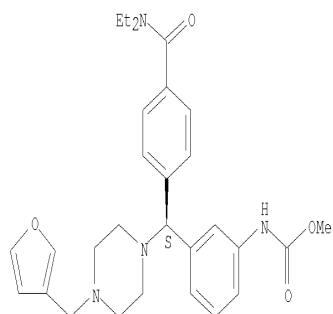
RN 691890-94-5 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-59-2  
CMF C29 H36 N4 O4

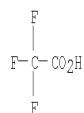
Absolute stereochemistry. Rotation (+).

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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



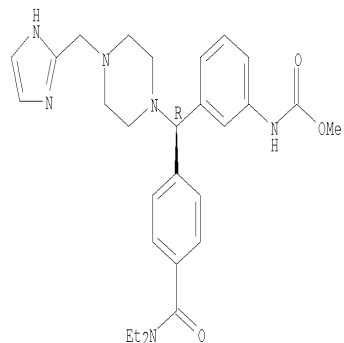
RN 691890-95-6 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(1H-imidazol-2-ylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:21) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-61-6  
CMF C28 H36 N6 O3

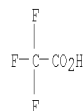
Absolute stereochemistry. Rotation (-).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



RN 691890-96-7 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

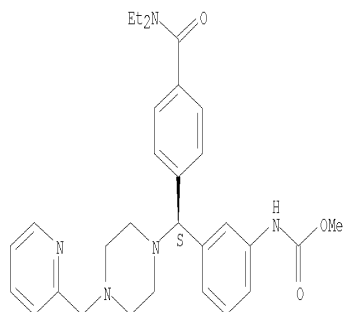
CM 1

CRN 691890-62-7  
CMF C30 H37 N5 O3

Absolute stereochemistry. Rotation (+).

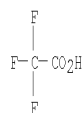


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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



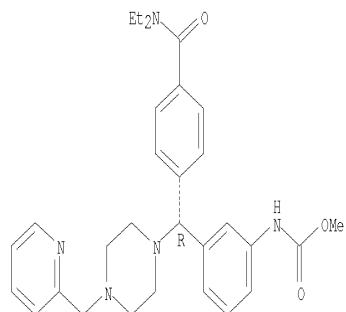
RN 691890-97-8 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(2-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:17) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-63-8  
CMF C30 H37 N5 O3

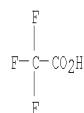
Absolute stereochemistry. Rotation (-).

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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



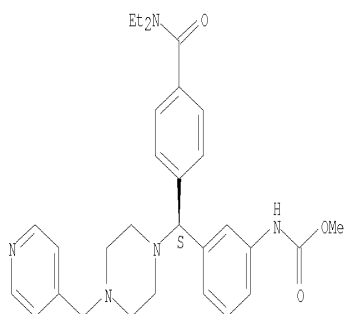
RN 691890-98-9 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(4-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (10:19) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-64-9  
CMF C30 H37 N5 O3

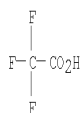
Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



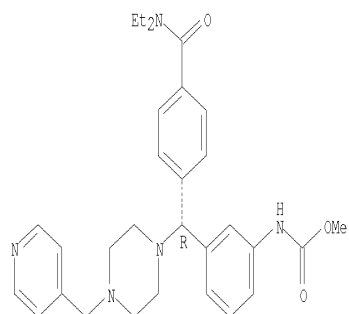
RN 691890-99-0 CAPLUS  
CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (5:13) (9CI) (CA INDEX NAME)

CM 1

CRN 691890-65-0  
CMF C30 H37 N5 O3

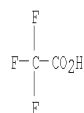
Absolute stereochemistry. Rotation (-).

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CM 2

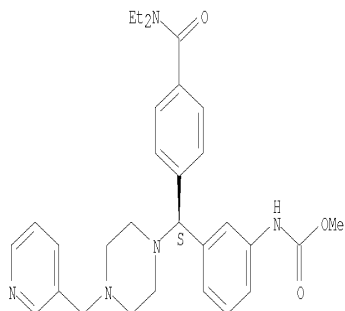
CRN 76-05-1  
CMF C2 H F3 O2



RN 691891-00-6 CAPLUS  
CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(3-pyridinylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, hydrochloride (10:29) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Print selected from 10541656.trn

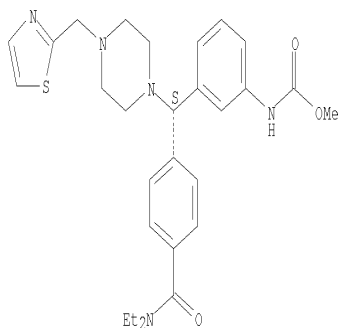


●29/10 HCl

RN 691891-01-7 CAPLUS

CN Carbamic acid, [3-[(S)-[4-[(diethylamino)carbonyl]phenyl][4-(2-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, hydrochloride (2:3) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



●3/2 HCl

RN 691891-02-8 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(4-thiazolylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

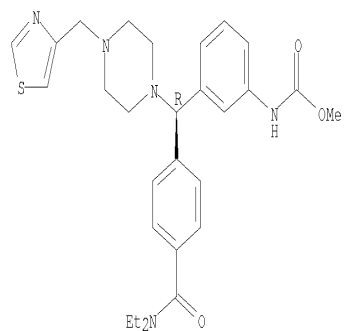
CM 1

Print selected from 10541656.trn

CRN 691890-70-7

CMF C28 H35 N5 O3 S

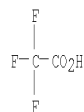
Absolute stereochemistry. Rotation (-).



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 691891-03-9 CAPLUS

CN Carbamic acid, [3-[(R)-[4-[(diethylamino)carbonyl]phenyl][4-(3-furanylmethyl)-1-piperazinyl]methyl]phenyl]-, methyl ester, trifluoroacetate (2:3) (9CI) (CA INDEX NAME)

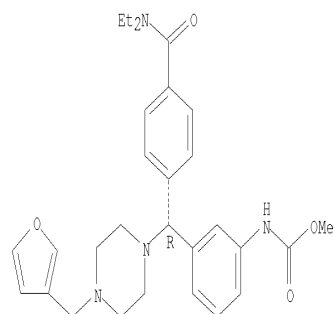
CM 1

CRN 691890-60-5

CMF C29 H36 N4 O4

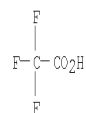
Absolute stereochemistry. Rotation (-).

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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



=> d his

(FILE 'HOME' ENTERED AT 17:21:21 ON 14 APR 2008)

FILE 'REGISTRY' ENTERED AT 17:21:42 ON 14 APR 2008

L1 STRUCTURE UPLOADED  
L2 QUE L1  
L3 50 S L1  
L4 8171 S L1 FULL  
L5 0 S PIPERIDINE/RSD  
L6 1 S PIPERIDINE/CN  
L7 0 S 46.156.1  
L8 1326576 S 46.156.1/RID  
L9 1 S PIPERAZINE/CN  
L10 0 S 46.383.1  
L11 1011064 S 46.383.1/RID  
L12 336 S L8 AND L4  
L13 401 S L11 AND L4

FILE 'CAPLUS' ENTERED AT 17:23:52 ON 14 APR 2008

L14 96 S L12  
L15 57 S L13

Print selected from 10541656.trn

L16 7 S L12 AND OPIOID  
L17 3 S L15 AND OPIOID  
L18 3 S L17 NOT L16

=> FIL STNGUIDE

FILE 'STNGUIDE' ENTERED AT 17:28:11 ON 14 APR 2008  
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
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